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* * * * * * * * * * * * * * * Welcome to STN International * * * * * * * * * * *

| | | |
|--------------|--|---|
| NEWS 1 | Web Page URLs for STN Seminar Schedule - N. America | |
| NEWS 2 | "Ask CAS" for self-help around the clock | |
| NEWS 3 | JAN 17 | Pre-1988 INPI data added to MARPAT |
| NEWS 4 | FEB 21 | STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results |
| NEWS 5 | FEB 22 | The IPC thesaurus added to additional patent databases on STN |
| NEWS 6 | FEB 22 | Updates in EPFULL; IPC 8 enhancements added |
| NEWS 7 | FEB 27 | New STN AnaVist pricing effective March 1, 2006 |
| NEWS 8 | MAR 03 | Updates in PATDPA; addition of IPC 8 data without attributes |
| NEWS 9 | MAR 22 | EMBASE is now updated on a daily basis |
| NEWS 10 | APR 03 | New IPC 8 fields and IPC thesaurus added to PATDPAFULL |
| NEWS 11 | APR 03 | Bibliographic data updates resume; new IPC 8 fields and IPC thesaurus added in PCTFULL |
| NEWS 12 | APR 04 | STN AnaVist \$500 visualization usage credit offered |
| NEWS 13 | APR 12 | LINSPEC, learning database for INSPEC, reloaded and enhanced |
| NEWS 14 | APR 12 | Improved structure highlighting in FQHIT and QHIT display in MARPAT |
| NEWS 15 | APR 12 | Derwent World Patents Index to be reloaded and enhanced during second quarter; strategies may be affected |
| NEWS 16 | MAY 10 | CA/CAplus enhanced with 1900-1906 U.S. patent records |
| NEWS 17 | MAY 11 | KOREAPAT updates resume |
| NEWS 18 | MAY 19 | Derwent World Patents Index to be reloaded and enhanced |
| NEWS 19 | MAY 30 | IPC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2 |
| NEWS 20 | MAY 30 | The F-Term thesaurus is now available in CA/CAplus |
| NEWS 21 | JUN 02 | The first reclassification of IPC codes now complete in INPADOC |
| NEWS EXPRESS | FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
http://download.cas.org/express/v8.0-Discover/ | |
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability | |
| NEWS LOGIN | Welcome Banner and News Items | |
| NEWS IPC8 | For general information regarding STN implementation of IPC 8 | |
| NEWS X25 | X25 communication option no longer available after June 2006 | |

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 09:09:41 ON 26 JUN 2006

FILE 'REGISTRY' ENTERED AT 09:09:46 ON 26 JUN 2006
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 25 JUN 2006 **HIGHEST RN** 889359-45-9
DICTIONARY FILE UPDATES: 25 JUN 2006 **HIGHEST RN** 889359-45-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

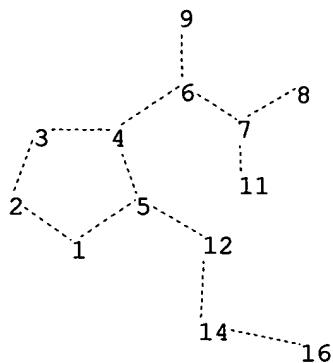
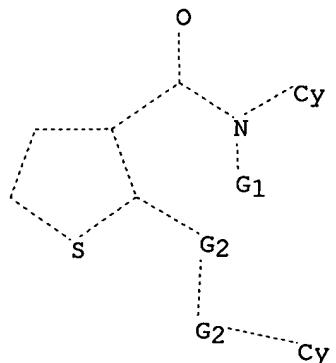
* The CA roles and document type information have been removed from
* the IDE default display format and the ED field has been added,
* effective March 20, 2005. A new display format, IDERL, is now
* available and contains the CA role and document type information.

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/reqprops.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10781442amend.str



chain nodes :

6 7 8 9 11 12 14 16

ring nodes :

1 2 3 4 5

chain bonds :

4-6 5-12 6-7 6-9 7-8 7-11 12-14 14-16

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5 4-6 5-12 6-7 6-9 7-8 7-11 12-14 14-16

isolated ring systems :

containing 1 :

G1:H,Ak

G2:N,SO2

Match level :

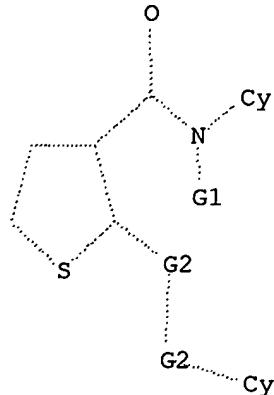
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:CLASS 11:CLASS
12:CLASS 14:CLASS 16:Atom

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1 H,AK

G2 N,SO2

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 09:10:17 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1645 TO ITERATE100.0% PROCESSED 1645 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 30467 TO 35333
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 full
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FULL SCREEN SEARCH COMPLETED - 33156 TO ITERATE100.0% PROCESSED 33156 ITERATIONS 10 ANSWERS
SEARCH TIME: 00.00.02

L3 10 SEA SSS FUL L1

=> fil hcaplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 166.94 167.15FILE 'HCAPLUS' ENTERED AT 09:10:39 ON 26 JUN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 26 Jun 2006 VOL 145 ISS 1
FILE LAST UPDATED: 25 Jun 2006 (20060625/ED)

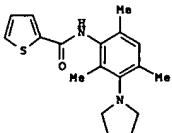
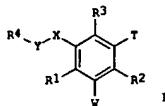
New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s 13
L4 14 L3

=> d ed abs ibib hitstr 1-14

L4 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 04 Mar 2005
 GI



AB The invention relates to a preparation of urotensin II receptor antagonists and CCR-9 antagonists of formula I (wherein: R1, R2, and R3 are independently selected from H, halogen, alkyl, aryl, or CN, etc.; X is CH₂, O, or NH, etc.; Y is SO₂, C(=O), CH₂SO₂, NHC(=O), or NHCO₂, etc.; T and W are independently selected from H, (cyclo)alkyl, alkoxy, aryl, or halogen, etc.; R4 is aryl, heterocyclic, or cycloalkyl). For instance, thiophene-carboxamide derivative II was prepared via amidation of thiophene-2-carboxylic acid by [2,4,6-trimethyl-3-(pyrrolidin-1-yl)phenyl]amine. The invention compds. were tested for inhibition of human urotensin II-induced Ca²⁺ mobilization in UTR cells (IC₅₀ > 0.5 μM).

ACCESSION NUMBER: 2005:185392 HCAPLUS
 DOCUMENT NUMBER: 142:280229

TITLE: A preparation of urotensin II receptor antagonists and CCR-9 antagonists

INVENTOR(S): Wu, Chengde; Anderson, C. Eric; Bui, Huong; Gao, Daxin; Kassir, Jamal; Li, Wen; Wang, Junmei; Biediger, Ronald; Chen, Jie; Market, Robert V.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 33 pp., Cont.-in-part of U.S. Ser. No. 781,442.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

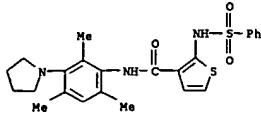
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

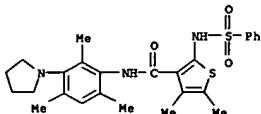
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|-------|-------|-----------------|-------|
| ----- | ----- | ----- | ----- | ----- |

L4 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 ED 2005049286 A1 20050303 US 2004-524180 20040823
 US 2004180892 A1 20040916 US 2004-781442 20040218
 PRIORITY APPLN. INFO.: US 2003-448791P P 20030220
 US 2004-781442 A2 20040218

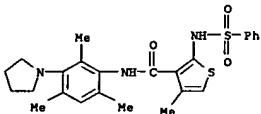
OTHER SOURCE(S): MARPAT 142:280229
 IT 847414-56-6P 847414-58-8P 847414-59-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of urotensin II receptor antagonists and CCR-9 antagonists)
 RN 847414-56-6 HCAPLUS
 CN 3-Thiophene-carboxamide, 2-[[(phenylsulfonyl)amino]-N-[2,4,6-trimethyl-3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 847414-58-8 HCAPLUS
 CN 3-Thiophene-carboxamide, 4,5-dimethyl-2-[[(phenylsulfonyl)amino]-N-[2,4,6-trimethyl-3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 847414-59-9 HCAPLUS
 CN 3-Thiophene-carboxamide, 4-methyl-2-[[(phenylsulfonyl)amino]-N-[2,4,6-trimethyl-3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 14 Dec 2004

AB Two extensive studies quantifying the ability of topomer shape similarity to forecast a variety of biol. similarities are described. In a prospective trial of "lead hopping", using topomer similarity for virtual screening and queries from the patent literature, biol. assays of 308 selected compds. (representing 0.03% of those available, per assay type) yielded 11 successful "lead hops" in the 13 assays attempted. The hit rate averaged over all assays was 39% ("activity" defined as inhibition ≥20% at 10 μM), significantly greater than an unexpectedly high neg. control hit rate of 15%. The average "Tanimoto 2D fingerprint similarity" between query and "lead hop" structures (0.36) was little more than the Tanimoto similarity between random drug-like structures. Topomer shape and Tanimoto 2D fingerprint similarities were also compared retrospectively, in their tendencies to concentrate together potential and actual drugs reported to belong to the same "activity class", for twenty classes. Among the most similar 3% of structures (corresponding to "20.85 Tanimoto" for these structures), an average of 62% of the topomer similar selection possessed a near neighbor belonging to the same activity class, roughly a one-third superiority over the "Tanimoto ≥0.85" selection containing 48% actives in avoiding false positives. Conversely, the least similar 75% of structures contained 0.3% actives for topomer similarity vs. 1.0% actives for Tanimoto 2D fingerprint similarity, a 3-fold superiority for topomers in avoiding false negatives.

ACCESSION NUMBER: 2004:1068075 HCAPLUS

DOCUMENT NUMBER: 142:168975

TITLE: "Lead Hopping": Validation of Topomer Similarity as a Superior Predictor of Similar Biological Activities

AUTHOR(S): Cramer, Richard D.; Jilek, Robert J.; Guessregeen, Stefan; Clark, Stephanie J.; Wendt, Bernd; Clark, Robert D.

CORPORATE SOURCE: Tripos Discovery Research, Cornwall, EX23 8LY, UK
 SOURCE: Journal of Medicinal Chemistry (2004), 47(27), 6777-6791

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

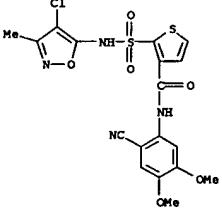
LANGUAGE: English

IT 832131-75-6, DE 9704611
 RL: PAC (Pharmacological activity); BIOL (Biological study)
 (validation of topomer similarity as a superior predictor of similar biol. activities of "Lead hopping")

RN 832131-75-6 HCAPLUS

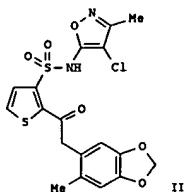
CN 3-Thiophene-carboxamide, 2-[[(4-chloro-3-methyl-5-isoxazolyl)amino]sulfonyl]-N-(2-cyano-4,5-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 15 Aug 2002
 GI



AB The title sulfonamides Ar2-SO2-NH-Arl [I; Arl = (un)substituted 5-6 membered heteroaryl; Ar2 = thieryl, furyl, pyrrolyl] and their pharmaceutically acceptable salts, useful for modulating or altering the activity of the endothelin family of peptides, were prepared and formulated. In particular, formulations of sodium salts of N-(isoxazolyl)thienylsulfonamides, N-(isoxazolyl)furylsulfonamides and N-(isoxazolyl)pyrrolylsulfonamides, are provided. A table of approx. 300 compds. I, and over 30 detailed synthetic examples, are given. For instance, 5-methylbenzo[d][1,3]dioxole in CH₂Cl₂ reacted with HCl and formaldehyde in the presence of Bu4NBr to give 5-(chloromethyl)-6-methylbenzo[d][1,3]dioxole. Grignard reaction of this with N-methoxy-N-methyl-4-chloro-3-methyl-5-isoxazolylsulfamoyl)-2-thiophenecarboxamide gave title compound II, which was isolated as the free acid, dissolved in EtOAc, and treated with saturated aqueous NaHCO₃, to give the sodium salt II-Na in 98.2% purity. Alternatively, treatment of II with an equimolar amount of Na2HPo4 in aqueous MeCN gave the salt II-H₂PO4·2Na. A solution of II-Na and USP dextrose in phosphate buffer was filtered into vials and lyophilized, to give injectable II-Na for use at 25 mg/mL or 12.5 mg/mL. The aforementioned salts both showed improved solubility and stability in various aqueous media, such as Labrasol, compared to the free acid II.

ACCESSION NUMBER: 2002:610408 HCAPLUS
 DOCUMENT NUMBER: 137:154844
 TITLE: Preparation of heterocyclic sulfonamides for treatment of endothelin-mediated disorders
 INVENTOR(S): Wu, Changde; Blok, Natalie; Patricia, Woodard Timothy; Kehler, Karin; Woodard, Patricia
 PATENT ASSIGNEE(S): Texas Biotechnology Corporation, USA
 SOURCE: U.S., 65 pp., Cont.-in-part of U.S. 6,248,767.
 CODEN: USXKAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| US 6432994 | B1 | 20020813 | US 2000-403599 | 20000327 |

L4 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 17 Jul 2002
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

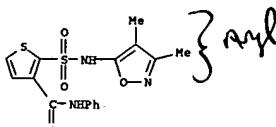
AB Thieryl-, furyl-, and pyrrolylsulfonamides, formulations of pharmaceutically acceptable salts thereof, and methods for modulating or altering the activity of the endothelin family of peptides are provided. In particular, disclosures include N-(isoxazolyl)thienylsulfonamides, N-(isoxazolyl)furylsulfonamides, and N-(isoxazolyl)pyrrolylsulfonamides, and methods using these sulfonamides for inhibiting the binding of an endothelin peptide to an endothelin receptor. The compds. are described by the formula Ar2SO2NHAr1 [I; wherein Ar1 = (un)substituted monocyclic or polycyclic heteroaryl, particularly isoxazolyl; Ar2 = G1 or G2; M = (CH₂)_nCO(CH₂)_n, (CH₂)_nC(=O)NH(CH₂)_n, (CH₂)_nCH(CH₂)_n, C:N(OH)(CH₂)_n, (CH₂)_nCO(CH₂)_npNH(CH₂)_n, CH(OH)(CH₂)_n, CH(CH₂)_nCO(CH₂)_n, CH(CH₂)_nCO(CH₂)_nCH(CH₂)_n, (CH₂)_nNO, CH₂SO₂-2, or CO₂-n, n, and p = independently 0-6; R1-R5 = independently H, OH, NO₂, CN, halo, alkyl, alkenyl, alkynyl, (hetero)aryl, arylalkyl, alkylamino, alkylthio, haloalkyl, alkoxyl, alkylsulfonyl, (un)substituted amino, carbamoyl, etc.; or 2 adjacent R1-R5 form alklenediory, alklenethiory, or alklenedithiory; with provisos; X = S, O, or NR11, R11 = H, (cyclo)alkyl, alkenyl, alkynyl, (alkyl)aryl, heterocyclic, aralkyl, aralkoxy, alkylalkenyl, alkylalkynyl, OH, CN, acyl, acyloxy, carboxy, SH, NHOH, (un)substituted amino, carbamoyl, etc.]. Methods for treating endothelin-mediated disorders by administering effective amounts of I or their prodrugs are also provided. Such disorders include hypertension, cardiovascular disease, asthma, hypertension, inflammatory disease, glaucoma, etc. Twenty synthetic examples are given, and numerous example compds. were prepared, tested, and/or claimed. For instance, 3-cyanomethyl-2,4,6-trimethylphenylacetate (88%). Amidation with N-(4-chloro-3-methyl-5-isoxazolyl)-3-sulfamoylthiophene-2-carboxylic acid using 1,1'-carbonyldiimidazole in DMF afforded II (15%). The similarly prepared title compound III exhibited IC₅₀ values of 0.0015 ± 0.0014 μM for ETA receptors and 0.324 ± 0.78 μM for ETB receptors. Claimed compds. also exhibited improved oral half-life, bioavailability, and/or in vivo activity over those disclosed previously.

ACCESSION NUMBER: 2002:534073 HCAPLUS
 DOCUMENT NUMBER: 137:93741
 TITLE: Preparation of N-isoxazolyl aryl-substituted thieryl-, furyl-, and pyrrolylsulfonamides and derivatives as endothelin activity modulators
 INVENTOR(S): Wu, Changde; Raju, Bore Gowda; Kogan, Timothy; Blok, Natalie
 PATENT ASSIGNEE(S): Texas Biotechnology Corporation, USA
 SOURCE: U.S., 59 pp., Cont.-in-part of U.S. 5,962,490.
 CODEN: USXKAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 10
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| US 6420567 | B1 | 20020716 | US 1997-938325 | 19970926 |
| US 5962490 | A | 19991005 | US 1996-721183 | 19960927 |
| AU 9935803 | A1 | 19990916 | AU 1999-35803 | 19990622 |
| AU 726595 | B2 | 20001116 | | |

L4 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 US 5783705 A 19980721 US 1997-847797 19970428
 US 6248767 B1 20010619 US 1997-938444 19970926
 WO 9849162 A1 19981105 WO 1998-US6680 19980402
 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
 DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,
 KP, KR, KZ, LC, LK, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
 NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
 CM, GA, GN, ML, MR, NE, SN, TD, TG
 US 2002091270 A1 20020711 US 2001-29561 20011220
 US 6683103 B2 20040127
 PRIORITY APPLN. INFO.: US 1997-847797 A2 19970428
 US 1997-938444 A2 19970926
 WO 1998-US6680 W 19980402
 US 2000-403599 A3 20000327

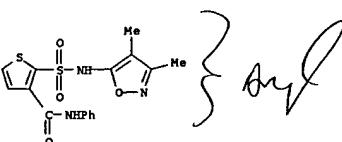
OTHER SOURCE(S): MARPAT 137:154844
 IT 184035-85-6P, N-(3,4-Dimethyl-5-isoxazolyl)-3-(phenylaminocarbonyl)thiophene-2-sulfonamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of heterocyclic sulfonamides for treatment of endothelin-mediated disorders)
 RN 184035-85-6 HCAPLUS
 CN 3-Thiophenecarboxamide, 2-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 271 THERE ARE 271 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

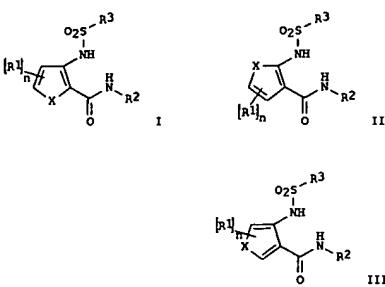
L4 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 US 2002091272 A1 20020711 US 2001-11610 20011105
 US 6632829 B2 20031014
 US 2003208084 A1 20031106 US 2003-447763 20030528
 PRIORITY APPLN. INFO.: US 1996-721183 A2 19960927
 US 1987-100865 A2 19870925
 US 1990-416199 A2 19900515
 US 1993-65202 B2 19930520
 US 1993-100125 B2 19930730
 US 1993-100565 A2 19930730
 US 1993-142159 A2 19931021
 US 1993-142552 A2 19931021
 US 1993-142631 B2 19931021
 US 1994-222287 A2 19940405
 US 1994-247072 A2 19940520
 US 1995-417075 A2 19950404
 US 1995-477223 A2 19950606
 AU 1996-55367 A 19960404
 WO 1996-US4759 A2 19960404
 US 1997-938325 A3 19970926
 US 2001-11610 A3 20011105

OTHER SOURCE(S): MARPAT 137:93741
 IT 184035-85-6P, N-(3,4-Dimethyl-5-isoxazolyl)-3-(phenylaminocarbonyl)thiophene-2-sulfonamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (endothelin modulator; preparation of N-isoxazolyl aryl-substituted thieryl-, furyl-, and pyrrolylsulfonamides and derivs. as endothelin activity modulators)
 RN 184035-85-6 HCAPLUS
 CN 3-Thiophenecarboxamide, 2-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 211 THERE ARE 211 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 12 Apr 2002
 GI



AB The title compds. [I-III; X = S, O; R1 = H, alkyl, aryl, etc.; R2, R3 = alkyl, haloalkyl, alky; interrupted by one or more O or S atoms, etc.; n = 0-3], useful for treatment of chronic renal failure and uremic bone disease, were prepared. E.g., a 4-step synthesis of I [X = S; R1 = H; R2 = 4-FCGH4; R3 = Ph], starting with Me 3-aminothiophene-2-carboxylate, was presented. Biol. data were given.

ACCESSION NUMBER: 2002275753 HCAPLUS

DOCUMENT NUMBER: 136:309843

TITLE: Preparation of thiophenes as phosphate transport inhibitors

INVENTOR(S): Weinstock, Joseph; Franz, Robert G.

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2002028353 | A2 | 20020411 | WO 2001-US31318 | 20011005 |
| WO 2002028353 | A3 | 20020711 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TG, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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| WO 2001049289 | A1 | 20010712 | WO 2000-US35280 | 20001227 |
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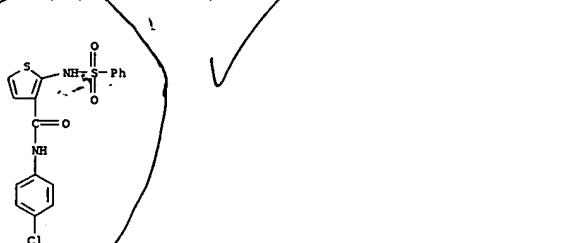
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| AU 2001024567 | A5 | 20010716 | US 1999-174125P | P 19991231 |
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PRIORITY APPN. INFO.: AU 2001024567 AU 20010716 US 1999-174125P P 19991231

OTHER SOURCE(S): MARPAT 135:102580
 IT 184035-85-6
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); TRU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (endothelin antagonists for veterinary or pharmaceutical use in treatment of laminitis and other conditions)
 RN 184035-85-6 HCAPLUS
 CN 3-Thiophene carboxamide, 2-[[((3,4-dimethyl-5-isoxazolyl)amino)sulfonyl]-N-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GV, ML, MR, NE, SN, TD, TG
 AU 2002013048 A5 20020415 AU 2002-13048 20011005
 PRIORITY APPN. INFO.: US 2000-238068P P 20001005
 WO 2001-US31318 W 20011005

OTHER SOURCE(S): MARPAT 136:309843
 IT 409364-73-4P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of thiophenes as phosphate transport inhibitors)
 RN 409364-73-4 HCAPLUS
 CN 3-Thiophene carboxamide, N-(4-chlorophenyl)-2-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 13 Jul 2001

AB Pharmaceutical and veterinary uses of endothelin antagonists are provided. In particular, methods of treatment of laminitis, such as equine and bovine laminitis, by administration of one or more endothelin antagonists are provided. Methods are also provided for the treatment, prevention, or amelioration of one or more symptoms of menopause; osteoporosis and metabolic bone disorders; climacteric disorders, including hot flushes or flashes, abnormal clotting patterns, urogenital discomfort and increased incidence of cardiovascular disease, and other disorders associated with the reduction in ovarian function in women; pre-eclampsia; and control and management of labor during pregnancy by administration of endothelin antagonists.

ACCESSION NUMBER: 2001507533 HCAPLUS

DOCUMENT NUMBER: 135:102580

TITLE: Pharmaceutical and veterinary uses of endothelin antagonists for treatment of laminitis and other conditions, and preparation thereof

INVENTOR(S): Brock, Thomas A.; Ward, Patrick R.

PATENT ASSIGNEE(S): Texas Biotechnology Corporation, USA

SOURCE: PCT Int. Appl., 363 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

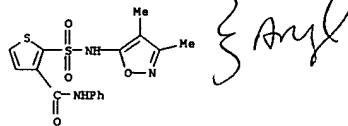
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2001049289 | A1 | 20010712 | WO 2000-US35280 | 20001227 |
| W: AE, AG, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TG, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GV, ML, MR, NE, SN, TD, TG | | | | |

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|---------------|----|----------|-----------------|------------|
| AU 2001024567 | A5 | 20010716 | US 1999-174125P | P 19991231 |
|---------------|----|----------|-----------------|------------|

PRIORITY APPN. INFO.: AU 2001024567 AU 20010716 US 1999-174125P P 19991231

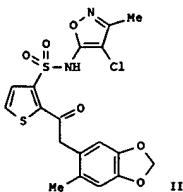
OTHER SOURCE(S): MARPAT 135:102580
 IT 184035-85-6
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); TRU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (endothelin antagonists for veterinary or pharmaceutical use in treatment of laminitis and other conditions)
 RN 184035-85-6 HCAPLUS
 CN 3-Thiophene carboxamide, 2-[[((3,4-dimethyl-5-isoxazolyl)amino)sulfonyl]-N-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 21 Jun 2001
 GI

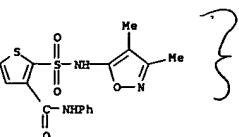


AB Formulations of pharmaceutically acceptable salts of thienyl-, furyl- and pyrrolyl-sulfonamides, and methods for modulating or altering the activity of the endothelin family of peptides using the formulations, are provided. In particular, formulations of sodium salts of N-(isoxazolyl)thienylsulfonamides, N-(isoxazolyl)furylsulfonamides and N-(isoxazolyl)pyrrolylsulfonamides, and methods using these sulfonamide salts for inhibiting the binding of an endothelin peptide to an endothelin receptor, by contacting the receptor with the sulfonamide salt, are provided. Methods for treating endothelin-mediated disorders by administering effective amts. of one or more of these sulfonamide salts or prodrugs thereof, that inhibit or increase the activity of endothelin, are also provided. In particular, pharmaceutically acceptable salts of compds. Ar2-SO2-NH-Arl [I], where Ar1 = 5-membered heteroaryl; Ar2 = thienyl or thiophenyl; salt is with an alkali metal or mineral acid] are claimed. A table of approx. 300 compds. I, and over 30 detailed synthetic examples, are given. For instance, 5-methylbenzo[d][1,3]dioxole in CH2Cl2 reacted with HCl and formaldehyde in the presence of Bu4NBr to give 5-(chloromethyl)-6-methylbenzo[d][1,3]dioxole. Grignard reaction of this with N-methoxy-N-methyl-3-(4-chloro-3-methyl-5-isoxazolyl)sulfamoyl)-2-thiophencarboxamide gave title compound II, which was isolated as the free acid, dissolved in EtOAc, and treated with saturated aqueous NaHCO3, to give the sodium salt II.Na in 98.2% purity. Alternatively, treatment of II with an equimolar amount of Na2HPO4 in aqueous MeCN gave the salt II.H3PO4.2Na. A solution of II.Na and USP dextrose in phosphate buffer was filtered into vials and lyophilized, to give injectable II.Na for use at 25 mg/mL or 12.5 mg/mL. The aforementioned salts both showed improved solubility and stability in various aqueous media, such as Labrasol, compared to the free acid II.

ACCESSION NUMBER: 2001:449271 HCAPLUS
 DOCUMENT NUMBER: 135:46080
 TITLE: Formulation of heterocyclic sulfonamides for treatment of endothelin-mediated disorders
 INVENTOR(S): Blok, Natalie; Wu, Chengde; Woodard, Patricia; Keller, Karin; Kogan, Timothy
 PATENT ASSIGNEE(S): Texas Biotechnology Corp., USA
 SOURCE: U.S., 58 pp., Cont.-in-part of U.S. 5,783,705.

L4 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 US 2002091270 A1 20020711 US 2001-29561 20011220
 US 6683103 B2 20040127
 PRIORITY APPLN. INFO.: US 1997-847797 A2 19970428
 IT 184035-85-SP. N-(3,4-Dimethyl-5-isoxazolyl))-3-(phenylaminocarbonyl)thiophene-2-sulfonamide
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (drug candidate; preparation and formulation of heterocyclic sulfonamides for treatment of endothelin-mediated disorders)

RN 184035-85-6 HCAPLUS
 CN 3-Thiophencarboxamide, 2-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-N-phenyl- (9CI) (CA INDEX NAME)



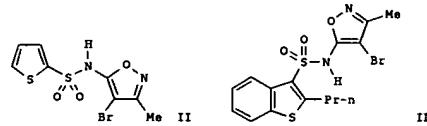
REFERENCE COUNT: 219 THERE ARE 219 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CODEN: USXKAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-------------------|----------|
| US 6248767 | B1 | 20010619 | US 1997-938444 | 19970926 |
| US 5783705 | A | 19980721 | US 1997-847797 | 19970428 |
| CA 2281090 | AA | 19981105 | CA 1998-2281090 | 19980402 |
| CA 2496680 | AA | 19981105 | CA 1998-2496680 | 19980402 |
| WO 9849162 | A1 | 19981105 | WO 1998-US6680 | 19980402 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9869504 | A1 | 19981124 | AU 1998-69504 | 19980402 |
| AU 749167 | B2 | 20020620 | | |
| EP 980369 | A1 | 20000223 | EP 1998-915281 | 19980402 |
| EP 980369 | B1 | 20050330 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| EE 9900469 | A | 20000615 | EE 1999-169 | 19980402 |
| EE 4156 | B1 | 20031015 | | |
| BR 9812258 | A | 20000725 | BR 1998-12258 | 19980402 |
| TR 9902401 | T2 | 20000821 | TR 1999-2401 | 19980402 |
| NZ 336898 | A | 20011026 | NZ 1998-336898 | 19980402 |
| JP 2001520643 | T2 | 20011030 | JP 1998-540982 | 19980402 |
| JP 3455233 | B2 | 20031014 | | |
| TR 200101905 | T2 | 20020621 | TR 2001-200101905 | 19980402 |
| TR 200207378 | T2 | 20030321 | TR 2002-200207378 | 19980402 |
| JP 2003176288 | A2 | 20030624 | JP 2003-352236 | 19980402 |
| EE 200300214 | A | 20030815 | EE 2003-200300214 | 19980402 |
| SG 100766 | A1 | 20031226 | SG 2001-200106590 | 19980402 |
| SG 100767 | A1 | 20031226 | SG 2001-200106591 | 19980402 |
| IL 131318 | A1 | 20040831 | IL 1998-131318 | 19980402 |
| EP 1498418 | A1 | 20050119 | EP 2004-24998 | 19980402 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, MK, CY, AL | | | | |
| EP 1498419 | A1 | 20050119 | EP 2004-24999 | 19980402 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, MK, CY, AL | | | | |
| IL 156977 | A1 | 20050320 | IL 1998-156977 | 19980402 |
| AT 292129 | E | 20050415 | AT 1998-915281 | 19980402 |
| CN 1636994 | A | 20050713 | CN 2004-10092312 | 19980402 |
| ES 2241133 | T3 | 20051016 | ES 1998-915281 | 19980402 |
| NO 9905221 | A | 19991228 | NO 1999-5221 | 19991026 |
| MX 9909860 | A | 20000331 | MX 1999-9860 | 19991027 |
| US 6432994 | B1 | 20020813 | US 2000-403599 | 20000327 |
| HK 1028033 | A1 | 20050506 | HK 2000-107366 | 20001117 |
| US 2001039289 | A1 | 20011108 | US 2001-792237 | 20010223 |
| US 6458805 | B2 | 20021001 | | |

L4 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 08 Oct 1999
 GI



AB Thienyl-, furyl- and pyrrolyl-sulfonamides, and methods for modulating or altering the activity of the endothelin family of peptides, are provided. In particular, the disclosure includes N-(isoxazolyl)thienylsulfonamides, N-(isoxazolyl)furylsulfonamides, and N-(isoxazolyl)pyrrolylsulfonamides, and methods using these sulfonamides for inhibiting the binding of an endothelin peptide to an endothelin receptor. The compds. are described by the formula Ar2SO2NH-Arl [I; Ar1 = (un)substituted aryl, particularly isoxazolyl; Ar2 = biol. effective group for inhibiting endothelin binding by ≥ 50% at ≤100 μM, notably thienyl, furyl, pyrrolyl, etc.]. Methods for treating endothelin-mediated disorders by administering effective amts. of I or their prodrugs are also provided. Such disorders include hypertension, cardiovascular disease, asthma, hypertension, inflammatory disease, glaucoma, etc. Approx. 190 synthetic examples are given, and numerous example compds. were prepared, tested, and/or claimed. For instance, 5-amino-4-bromo-3-methylisoxazole was treated with NaH in THF, followed by thiophene-2-sulfonyl chloride, to give 34% title compound II. The similarly prepared title compound III had IC50 values of 0.42 μM for ETA receptors and 0.75 μM for ETB receptors, indicating substantial selectivity for ETA.

ACCESSION NUMBER: 1999:640160 HCAPLUS
 131:271803
 DOCUMENT NUMBER:
 TITLE: Thienyl-, furyl- and pyrrolyl-sulfonamides and derivatives thereof that modulate the activity of endothelin
 INVENTOR(S): Chan, Ming Fai; Wu, Chengde; Raju, Bore Gowda; Kogan, Timothy; Kois, Adam; Verner, Erik Joel; Castillo, Rosario Silvestre; Yalamorri, Venkatachalamathipathi; Balaji, Vitukudi Narayanaswamy
 PATENT ASSIGNEE(S): Texas Biotechnology Corp., USA
 SOURCE: U.S., 82 pp., Cont.-in-part of U.S. Ser. No. 477,223.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 10
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| US 5962490 | A | 19991005 | US 1996-721183 | 19960927 |
| US 5464853 | A | 19951107 | US 1993-142159 | 19931021 |
| US 5514691 | A | 19960507 | US 1993-142552 | 19931021 |
| US 5591761 | A | 19970107 | US 1994-222287 | 19940405 |
| US 5571821 | A | 19961105 | US 1994-247072 | 19940520 |
| US 5594021 | A | 19970114 | US 1995-477223 | 19950606 |

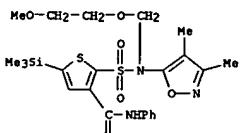
L4 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

WO 9631492 A1 19961010 WO 1996-US4759 19960404
 W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI
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 CA 2261760 AA 19980402 CA 1997-2261760 19970926
 CA 2261760 C 20050329
 WO 9813366 A1 19980402 WO 1997-US17402 19970926
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 AU 9745059 A1 19980417 AU 1997-45059 19970926
 AU 736269 B2 20010726
 EP 946552 A1 19991006 EP 1997-943629 19970926
 EP 946552 B1 20040707
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 CN 1231664 A 19991013 CN 1997-198343 19970926
 BR 9711550 A 20000118 BR 1997-11550 19970926
 JP 2000507607 T2 20000620 JP 1998-515979 19970926
 JP 3743520 B2 20060208
 NZ 334797 A 20010223 NZ 1997-334797 19970926
 US 6420567 B1 20020716 US 1997-938325 19970926
 JP 20022308075 A2 20021023 JP 2002-101613 19970926
 EP 1342721 A1 20030910 EP 2003-7240 19970926
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, AL
 AT 270669 E 20040715 AT 1997-943629 19970926
 CN 1530366 A 20040922 CN 2003-2003158478 19970926
 PT 946552 T 20041029 PT 1997-943629 19970926
 ES 2224271 T3 20050301 ES 1997-943629 19970926
 NO 9901388 A 19990527 NO 1999-1388 19990322
 US 6331637 B1 20011218 US 1999-274280 19990322
 KR 2000048681 A 20000725 KR 1999-702629 19990326
 AU 9935803 A1 19990916 AU 1999-35803 19990622
 AU 726595 B2 20001116
 US 2002091272 A1 20020711 US 2001-11610 20011105
 US 6632829 B2 20031014
 US 2003208084 A1 2003106 US 2003-447763 20030528
 PRIORITY APPLN. INFO.: US 1987-100865 A2 19870925
 US 1990-416199 A2 19900515
 US 1993-65202 B2 19930520
 US 1993-100125 B2 19930730
 US 1993-100565 A2 19930730
 US 1993-142159 A2 19931021
 US 1993-142552 A2 19931021
 US 1993-142631 B2 19931021
 US 1994-222287 A2 19940405
 US 1994-247072 A2 19940520
 US 1995-417075 A2 19950404
 US 1995-477223 A2 19950606

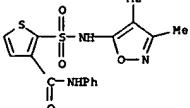
L4 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

WO 1996-US4759 A2 19960404
 US 1995-416199 A 19950404
 AU 1996-55367 A 19960404
 US 1996-721183 A 19960927
 EP 1997-943629 A3 19970926
 JP 1998-515979 A3 19970926
 US 1997-938325 A3 19970926
 WO 1997-US17402 W 19970926
 US 2001-11610 A3 20011105

OTHER SOURCE(S): MARPAT 131:271803
 IT 184041-00-7P, N-[2-(2-Methoxyethoxy)methyl]-N-(3-(4-dimethyl-5-isoxazolyl)-3-[(phenylamino)carbonyl]-5-(trimethylsilyl)thiophene-2-sulfonamide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of thiienyl-, furyl- and pyrrolyl-based sulfonamides and analogs as endothelin agonists and antagonists)
 RN 184041-00-7 HCAPLUS
 CN 3-Thiophenecarboxamide, 2-[(3,4-dimethyl-5-isoxazolyl)[(2-methoxyethoxy)methyl]amino]sulfonyl-N-phenyl-5-(trimethylsilyl)- (9CI) (CA INDEX NAME)



IT 184035-85-6P, N-(3,4-Dimethyl-5-isoxazolyl)-3-[(phenylamino)carbonyl]thiophene-2-sulfonamide
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (target compound; preparation of thiienyl-, furyl- and pyrrolyl-based sulfonamides and analogs as endothelin agonists and antagonists)
 RN 184035-85-6 HCAPLUS
 CN 3-Thiophenecarboxamide, 2-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl-N-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

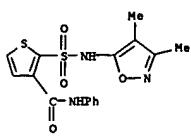
L4 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 13 Nov 1998
 AB R2502NHR1 [1]: R1 = bi- or tricycloalkyl, heterocycl, (hetero)aryl; R2 = CH:CHPh, thiienyl, (iso)quinolinyl, indolyl, etc.) were prepared. Thus, 5-amino-4-bromo-3-methylisoxazole was amidated by thiophene-2-sulfonyl chloride to give I (R1 = 4-bromo-3-methyl-5-isoxazolyl, R2 = 2-thienyl). Data for bioil. activity of I were given.

ACCESSION NUMBER: 1998:721695 HCAPLUS
 DOCUMENT NUMBER: 129:343488
 TITLE: Preparation of heteroaromatic sulfonamides as endothelin antagonists
 INVENTOR(S): Wu, Chengde; Blok, Natalie; Kogan, Timothy; Keller, Karin; Woodard, Patricia
 PATENT ASSIGNEE(S): Texas Biotechnology Corp., USA
 SOURCE: PCT Int. Appl., 205 pp.
 CODEN: PIXKD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-----------------|-----------------|------|
| WO 9849162 A1 19981105 | | WO 1998-US6680 | 19980402 | |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| US 5783705 A 19980721 | | US 1997-847797 | 19970428 | |
| US 6248767 B1 20010619 | | US 1997-938444 | 19970926 | |
| CA 2281090 AA 19981105 | | CA 1998-2281090 | 19980402 | |
| CA 2281090 C 20050607 | | | | |
| AU 9869504 A1 19981124 | | AU 1998-69504 | 19980402 | |
| AU 749167 B2 20020620 | | | | |
| EP 980369 A1 2000223 | | EP 1998-915281 | 19980402 | |
| EP 980369 B1 20050330 | | | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| EE 9900469 A 20000615 | | EE 1999-469 | 19980402 | |
| EE 4156 B1 20031015 | | | | |
| BR 9812258 A 20000725 | | BR 1998-12258 | 19980402 | |
| NZ 336898 A 20011026 | | NZ 1998-336898 | 19980402 | |
| JP 2001520643 T2 20011030 | | JP 1998-540982 | 19980402 | |
| JP 3455233 B2 20031014 | | | | |
| IL 131318 A1 20040831 | | IL 1998-131318 | 19980402 | |
| IL 156977 A1 20050320 | | IL 1998-156977 | 19980402 | |
| AT 292129 E 20050415 | | AT 1998-915281 | 19980402 | |
| NO 9905221 A 19991228 | | NO 1999-5221 | 19991026 | |
| MX 9909860 A 20000331 | | MX 1999-9860 | 19991027 | |
| US 6432994 B1 20020813 | | US 2000-403599 | 20000327 | |
| HK 1028033 A1 20050506 | | HK 2000-107366 | 20001117 | |
| PRIORITY APPLN. INFO.: US 1998-US6680 | | US 1997-847797 | A 19970428 | |
| | | US 1997-938444 | A 19970927 | |
| | | IL 1998-131318 | A 19980402 | |
| | | WO 1998-US6680 | W 19980402 | |

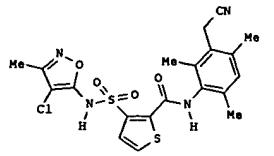
OTHER SOURCE(S): MARPAT 129:343488
 IT 184035-85-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

L4 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of heterocarb. sulfonamides as endothelin antagonists)
 RN 184035-85-6 HCAPLUS
 CN 3-Thiophene-carboxamide, 2-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 GI Entered STN: 15 Apr 1998



I

AB R1SO2NHR [I; R = (un)substituted (hetero)aryl; R1 = R22221; R2 = (un)substituted Ph; 21 = thiophene-, furan-, pyrrole-2,3- or -3,2-diyl, etc.; 22 = COCH2, CONH, CO2, CH:CH, CH2O, etc.] were prepared. Thus, 2-methoxycarbonyl-3-thiophenesulfonyl chloride was amidated by 5-amino-4-chloro-3-methylisoxazole and the product converted in 5 steps to title compound II. Data for biol. activity of I were given.
 ACCESSION NUMBER: 1998:210751 HCAPLUS
 DOCUMENT NUMBER: 128:270601
 TITLE: Preparation of N-isoxazolylthiophenesulfonamides and analogs as endothelin activity modulators
 INVENTOR(S): Wu, Chengde; Raju, Bore Gowda; Kogan, Timothy P.; Blok, Natalie; Woodard, Patricia
 PATENT ASSIGNEE(S): Texas Biotechnology Corp., USA
 SOURCE: PCT Int. Appl., 172 pp.
 CODEN: PIXKD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 10
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9813366 | A1 | 19980402 | WO 1997-US17402 | 19970926 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| US 5962490 | A | 19991005 | US 1996-721183 | 19960927 |
| CA 2261760 | AA | 19980402 | CA 1997-2261760 | 19970926 |
| CA 2261760 | C | 20050329 | | |
| AU 9745059 | A1 | 19980417 | AU 1997-45059 | 19970926 |
| AU 736269 | B2 | 20010726 | | |
| EP 946552 | A1 | 19991006 | EP 1997-943629 | 19970926 |
| EP 946552 | B1 | 20040707 | | |

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
 BR 9711550 A 20000118 BR 1997-11550 19970926
 JP 2000507607 T2 20000620 JP 1998-515979 19970926
 JP 3743520 B2 20060208

NZ 334797 A 20010223 NZ 1997-334797 19970926

AT 270669 E 20040715 AT 1997-943629 19970926

NO 9901388 A 19990527 NO 1999-1388 19990322

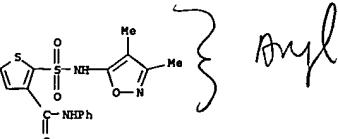
AU 9935803 A1 19990916 AU 1999-35803 19990622

AU 726595 B2 20001116

PRIORITY APPLN. INFO.: US 1996-721183 A 19960927
 US 1987-100865 A2 19870925
 US 1990-416199 A2 19900515
 US 1993-65202 B2 19930520
 US 1993-100125 B2 19930730
 US 1993-100565 A2 19930730
 US 1993-142159 A2 19931021
 US 1993-142552 A2 19931021
 US 1993-142631 B2 19931021
 US 1994-222287 A2 19940405
 US 1994-247072 A2 19940520
 US 1995-417075 A2 19950404
 US 1995-477223 A2 19950506
 AU 1996-55367 A 19960404
 WO 1996-US4759 A2 19960404
 WO 1997-US17402 W 19970926

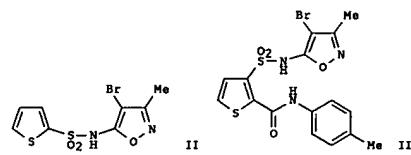
OTHER SOURCE(S): MARPAT 128:270601

IT 184035-85-6P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-isoxazolylthiophenesulfonamides and analogs as endothelin activity modulators)
 RN 184035-85-6 HCAPLUS
 CN 3-Thiophene-carboxamide, 2-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 GI Entered STN: 12 Feb 1997



AB Thienyl-, furyl- and pyrrolyl-sulfonamides and methods for modulating or altering the activity of the endothelin family of peptides are provided. The compds. include sulfonamides Ar2SO2NHAr1 [I; Ar1 = (un)substituted (cyclo)alk(en)yl, aryl, heterocycl, bi- or tricycl; Ar2 = (un)substituted thiienyl, furyl, pyrrolyl, benzothienyl, benzofuryl, indolyl]. In particular, N-(isoxazolyl) amides, and methods using them to inhibit binding of endothelin peptides to endothelin receptors, are provided. Methods for treating endothelin-mediated disorders by administering effective amt. of one or more compds. I, or produgs thereof, are also provided. Over 160 synthetic examples and the results of a variety of bioassays are given. For instance, amidation of thiophene-2-sulfonyl chloride with 5-amino-4-bromo-3-methylisoxazole after treatment of the latter with NaH in dry THF gave 34% of the amide II. In an endothelin receptor assay, the amide III had IC50 values of 0.0006 μM and 1.99 μM at ETA and ETB receptors, resp.

ACCESSION NUMBER: 1997:97729 HCAPLUS
 DOCUMENT NUMBER: 126:171477
 TITLE: Thienyl-, furyl- and pyrrolyl sulfonamides and derivatives thereof that modulate the activity of endothelin
 INVENTOR(S): Chan, Ming F.; Raju, Bore G.; Koiz, Adams; Verner, Erik J.; Wu, Chengde; Castillo, Rosario S.; Valamoori, Venkatachalam; Balaji, Vitukudi N.
 PATENT ASSIGNEE(S): Texas Biotechnology Corporation, USA
 SOURCE: U.S., 77 pp., Cont.-in-part of U.S. Ser. No. 247,072.
 CODEN: USXKAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 10
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| US 5594021 | A | 19970114 | US 1995-477223 | 19950606 |
| US 5464853 | A | 19951107 | US 1993-142159 | 19931021 |
| US 5514691 | A | 19960507 | US 1993-142552 | 19931021 |
| US 5591761 | A | 19970107 | US 1994-222287 | 19940405 |
| US 5571821 | A | 19961105 | US 1994-247072 | 19940520 |
| CA 2217169 | AA | 19961010 | CA 1996-2217169 | 19960404 |
| CA 2217169 | C | 20050329 | | |
| CA 2288439 | AA | 19961010 | CA 1996-2288439 | 19960404 |
| CA 2288439 | C | 20030401 | | |

L4 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CA 2420614 AA 19961010 CA 1996-2420614 19960404
WO 9631492 AI 19961010 WO 1996-US4759 19960404
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LX, LS, LT, LU, LV, MD, MG, MK, MN, MW, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN
AU 9655367 A1 19961023 AU 1996-55367 19960404
AU 711968 B2 19991028 19960404
EP 819125 A1 19980121 EP 1996-912600 19960404
EP 819125 B1 20030618 19960404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI
CN 1184470 A 19980610 CN 1996-193973 19960404
CN 1130355 B 20031210 19960404
JP 11507015 T2 19990622 JP 1996-530524 19960404
JP 3233642 B2 20011126 19960404
NZ 306734 A 20000128 NZ 1996-306734 19960404
NZ 500282 A 20000128 NZ 1996-500282 19960404
EP 1048657 A1 20010102 EP 2000-113076 19960404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI
JP 2002030075 A2 20020129 JP 2001-171692 19960404
JP 3527217 B2 20040517 19960404
AT 243203 E 20030715 AT 1996-912600 19960404
PT 819125 T 20031128 PT 1996-912600 19960404
ES 2201181 T3 20040316 ES 1996-912600 19960404
PL 186854 B1 20040331 PL 1996-322707 19960404
US 5962490 A 19991005 US 1996-721183 19960927
TW 492966 B 20020701 TW 1996-85112218 19961004
NO 9704577 A 19971204 NO 1997-4577 19971003
NO 315607 B1 20030929 19961004
MX 9707630 A 20000331 MX 1997-7630 19971003
HK 1001769 A1 20040130 HK 1998-100844 19980205
US 6331637 B1 20011128 US 1999-274280 19990322
AU 9935803 A1 19990916 AU 1999-35803 19990622
AU 726595 B2 20001116 19960404
US 200205041 A1 20020718 US 2001-6256 20011204
US 6613804 B2 20030902 19960404
JP 2004043495 A2 20040212 JP 2003-318261 20030910
PRIORITY APPLN. INFO.:
US 1993-65202 B2 19930520
US 1993-100125 B2 19930730
US 1993-100565 B2 19930730
US 1993-142159 A2 19931021
US 1993-142552 A2 19931021
US 1993-142631 B2 19931021
US 1994-222287 A2 19940405
US 1994-247072 A2 19940520
US 1995-417075 B2 19950404
US 1987-100865 A2 19870925
US 1990-416199 A2 19900515
US 1995-416199 A 19950404
US 1995-477223 A 19950606
AU 1996-55367 A 19960404
CA 1996-2217169 A3 19960404
EP 1996-912600 A3 19960404
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L4 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

JP 2001-171692 A3 19960404
WO 1996-US4759 V 19960404
US 1996-721183 A1 19960927
US 1997-913331 A3 19971107
OTHER SOURCE(S): MARPAT 126:171477
IT 180441-00-7P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (Reactant or reagent); USES (Uses) (preparation of heterocyclic sulfonamides as endothelin agonists and antagonists)
RN 180441-00-7 HCAPLUS
CN 3-Thiophene carboxamide, 2-[(3,4-dimethyl-5-isoxazolyl)({(2-methoxyethyl)methyl}amino)sulfonyl]-N-phenyl-5-(trimethylsilyl)- (9CI) (CA INDEX NAME)

c1cc(C(=O)N(C()*)C(*)*)c2c(c1)SC(=O)c3ccccc3N2C(=O)NPh

IT 184035-85-6P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of heterocyclic sulfonamides as endothelin agonists and antagonists)
RN 184035-85-6 HCAPLUS
CN 3-Thiophene carboxamide, 2-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-N-phenyl- (9CI) (CA INDEX NAME)

c1cc(C(=O)N(C()*)C(*)*)c2c(c1)SC(=O)c3ccccc3N2C(=O)NPh

L4 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 06 Feb 1997
AB Thiophenyl-, furyl-, and pyrrolyl-sulfonamides and methods for modulating or altering the activity of the endothelin family of peptides are provided. In particular, isoxazolyl-thiophenyl-sulfonamides, isoxazolyl-furyl-sulfonamides and isoxazolyl-pyrrolyl-sulfonamides and methods using these sulfonamides for inhibiting the binding of an endothelin peptide to an endothelin receptor by contacting the receptor with the sulfonamide are provided. Methods for treating endothelin-mediated disorders by administering effective amt.s. of one or more of these sulfonamides or prodrugs thereof that inhibit or increase the activity of endothelin are also provided.
ACCESSION NUMBER: 1997:85523 HCAPLUS
DOCUMENT NUMBER: 1261166488
TITLE: Thiophenyl-, furyl- and pyrrolyl-sulfonamides and derivatives thereof that modulate the activity of endothelin
INVENTOR(S): Chan, Ming F.; Raju, Bore G.; Kois, Adam; Verner, Erik J.; Wu, Chengde; Castillo, Rosario S.; Yalamoori, Venkatachalam; Balaji, Vitukudi N.
PATENT ASSIGNEE(S): Texas Biotechnology Corporation, USA
SOURCE: U.S., 29 pp., Cont.-in-part of U.S. 5,514,691.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

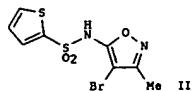
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| US 5591761 | A | 19970107 | US 1994-222287 | 19940405 |
| US 5464853 | A | 19951107 | US 1993-142159 | 19931021 |
| US 5514691 | A | 19960507 | US 1993-142552 | 19931021 |
| CA 2161346 | AA | 19941208 | CA 1994-2161346 | 19940520 |
| CA 2161346 | C | 20041123 | | |
| WO 9427979 | A1 | 19941208 | WO 1994-US5755 | 19940520 |
| W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GR, GE, HU, JP, KG, KP, KR, KZ, LX, LV, MD, MG, MN, MW, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9469646 | A1 | 19941220 | AU 1994-69646 | 19940520 |
| AU 691813 | B2 | 19980528 | | |
| GB 2285625 | A1 | 19950719 | GB 1995-3693 | 19940520 |
| GB 2285625 | B2 | 19971210 | | |
| EP 699191 | A1 | 19960306 | EP 1994-918081 | 19940520 |
| EP 699191 | B1 | 19981216 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| US 5571821 | A | 19961105 | US 1994-247072 | 19940520 |
| JP 08510744 | T2 | 19961112 | JP 1995-500856 | 19940520 |
| EP 870764 | A1 | 19981014 | EP 1998-109339 | 19940520 |
| R: AT, BE, CH, DE, DK, ES, FR, GR, IE, IT, LI, LU, NL, SE, MC, PT, IE | | | | |
| AT 174592 | E | 19990115 | AT 1994-918081 | 19940520 |
| ES 2127397 | T3 | 19990416 | ES 1994-918081 | 19940520 |
| RU 2151144 | C1 | 20000620 | RU 1995-121744 | 19940520 |
| EP 1069114 | A2 | 20010117 | EP 2000-119107 | 19940520 |
| EP 1069114 | A3 | 20010131 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GR, IE, IT, LI, LU, NL, SE, MC, PT, IE | | | | |
| US 5594021 | A | 19970114 | US 1995-477223 | 19950606 |
| US 5962490 | A | 19991005 | US 1996-721183 | 19960927 |
| US 6030991 | A | 20000229 | US 1996-730633 | 19961206 |
| AU 9860585 | A1 | 19980604 | AU 1998-60585 | 19980331 |
| AU 724575 | B2 | 20000928 | | |

L4 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

US 6331637 B1 20011218 US 1999-274280 19990322
AU 9935803 A1 19990916 AU 1999-35803 19990622
AU 726595 B2 20001116 20001101 US 2000-749716 20001227
US 2001036958 A1 20030401 20030401
PRIORITY APPLN. INFO.: US 1993-65202 B2 19930520
US 1993-100125 B2 19930730
US 1993-100565 B2 19930730
US 1993-142159 A2 19931021
US 1993-142552 A2 19931021
US 1993-142631 B2 19931021
US 1987-100865 A2 19870925
US 1990-416199 A2 19900515
US 1994-222287 A 19940405
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EP 1998-109339 A3 19940520
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US 1995-416199 B1 19950404
US 1995-417075 B2 19950404
US 1995-477223 A2 19950606
AU 1996-55367 A 19960404
WO 1996-US4759 A2 19960404
US 1996-721183 A1 19960927
US 1996-730633 A1 19961206
US 1999-439802 A1 19991112
OTHER SOURCE(S): MARPAT 126:166488
IT 187218-38-8P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of sulfonamides and modulation of endothelin binding to receptor and treatment of endothelin-mediated disorders)
RN 187218-38-8 HCAPLUS
CN 3-Thiophene carboxamide, 2-[(4-bromo-3-methyl-5-isoxazolyl)amino]sulfonyl]-N-phenyl- (9CI) (CA INDEX NAME)

c1cc(C(=O)N(C()*)C(*)*)c2c(c1)SC(=O)c3cc(Br)ccc3N2C(=O)NPh

L4 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 01 Jan 1997
 GI



AB R2502NHRL [I; R1 = (hetero)aryl, R2 = (un)substituted biphenyl, -2- or -3-furyl, -thienyl, -pyrrolyl] were prepared. Thus, 5-amino-4-bromo-3-methylisoxazoles (preparation given) was amidated by thiophene-2-sulfonyl chloride to give title compound II. Data for biol. activity of I were given.

ACCESSION NUMBER: 1996:761669 HCAPLUS

DOCUMENT NUMBER: 126:31342

TITLE: Preparation of N-isoxazolylthiophenesulfonamides and analogs as endothelin receptor antagonists
 INVENTOR(S): Chan, Ming Fai; Raju, Bore Gowda; Kois, Adams; Verner, Erik Joel; Wu, Chengde; Castillo, Rosario Silverstre; Yamamoto, Venkatachalam; Balaji, Vitukudi Narayananayenga

PATENT ASSIGNEE(S): Texas Biotechnology Corporation, USA
 SOURCE: PCT Int. Appl., 76 pp.

CODEN: PIKXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9631492 | A1 | 19961010 | WO 1996-US4759 | 19960404 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI | | | | |
| RW: BE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN | | | | |
| US 5594021 | A | 19970114 | US 1995-477223 | 19950606 |
| AU 9655367 | A1 | 19961023 | AU 1996-55367 | 19960404 |
| AU 711968 | B2 | 19991028 | | |
| EP 819125 | A1 | 19980121 | EP 1996-912600 | 19960404 |
| EP 819125 | B1 | 20030618 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI | | | | |
| BR 9604875 | A | 19980519 | BR 1996-4875 | 19960404 |
| JP 11507015 | T2 | 19990622 | JP 1996-530524 | 19960404 |
| JP 3233642 | B2 | 20011126 | | |
| NZ 306734 | A | 20000128 | NZ 1996-306734 | 19960404 |
| AT 242303 | E | 20030715 | AT 1996-912600 | 19960404 |
| PL 186854 | B1 | 20040331 | PL 1996-322707 | 19960404 |
| US 5962490 | A | 19991005 | US 1996-721183 | 19960927 |
| NO 9704577 | A | 19971204 | NO 1997-4577 | 19971003 |

| L4 | ANSWER 13 OF 14 | HCAPIUS | COPYRIGHT 2006 ACS on STN | (Continued) |
|---------------|-----------------|----------|---------------------------|-------------|
| NO 315607 | B1 | 20030929 | | |
| MX 9707630 | A | 20000331 | MX 1997-7630 | 19971003 |
| US 2001021714 | A1 | 20010913 | US 1997-913331 | 19971107 |
| US 6342610 | B2 | 20020129 | | |
| HK 1001769 | A1 | 20040130 | HK 1998-100844 | 19980205 |
| US 6331637 | B1 | 20011218 | US 1999-274280 | 19990322 |
| AU 9935803 | A1 | 19990916 | AU 1999-35803 | 19990622 |
| AU 726595 | B2 | 20001116 | | |
| US 2002091272 | A1 | 20020711 | US 2001-11610 | 20011105 |
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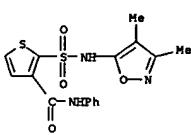
PRIORITY APPLN. INFO.:

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|----------------|----|----------|
| US 1995-416199 | A | 19950404 |
| US 1995-417075 | A | 19950404 |
| US 1995-477223 | A | 19950606 |
| US 1987-100865 | A2 | 19870925 |
| US 1990-416199 | A2 | 19900515 |
| US 1993-65202 | B2 | 19930520 |
| US 1993-100125 | B2 | 19930730 |
| US 1993-100565 | B2 | 19930730 |
| US 1993-142159 | A2 | 19931021 |
| US 1993-142552 | A2 | 19931021 |
| US 1993-142631 | B2 | 19931021 |
| US 1994-222287 | A2 | 19940405 |
| US 1994-247072 | A2 | 19940520 |
| AU 1996-55367 | A | 19960404 |
| WO 1996-US4759 | V | 19960404 |
| US 1996-721183 | A1 | 19960927 |
| US 1997-938325 | A3 | 19970926 |

OTHER SOURCE(S): MARPAT 126:31342

IT 184035-85-6P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of N-isoxazolylthiophenesulfonamides and analogs as endothelin receptor antagonists)

RN 184035-85-6 HCAPIUS
 CN 3-Thiophenecarboxamide, 2-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-N-phenyl-(9CI) (CA INDEX NAME)



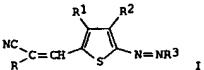
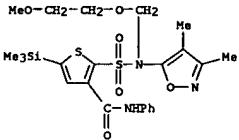
IT 184041-00-7P

RL: RCT (Reactant), SPN (Synthetic preparation), PREP (Preparation), RACT (Reactant or reagent) (preparation of N-isoxazolylthiophenesulfonamides and analogs as endothelin receptor antagonists)

RN 184041-00-7 HCAPIUS
 CN 3-Thiophenecarboxamide, 2-[(3,4-dimethyl-5-isoxazolyl)(2-methoxyethoxy)methyl]sulfonyl)-N-phenyl-5-(trimethylsilyl)-(9CI)

L4 ANSWER 14 OF 14 HCAPIUS COPYRIGHT 2006 ACS on STN (Continued)
 (CA INDEX NAME)

L4 ANSWER 14 OF 14 HCAPIUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 20 Apr 1995
 GI



AB Blue dyes were prepared having the general formula I (R = CN, alkoxycarbonyl, alkoxycarbonyl, alkenyloxycarbonyl, CONHR4, COR4; R1 = H, Cl-alkyl, phenyl; R2 = NO2, CN, alkoxycarbonyl, CONHR4, COR4; R3 = (un)substituted aminophenyl, aminquinolyl, aminonaphthyl; R4 = H, Cl-alkyl, phenyl). Thus, 2-amino-5-(2,2-dicyanovinyl)-3-nitrothiophene [95551-97-6] was diazotized and coupled with N,N-diethyl-m-toluidine [91-67-8] to give I [R = CN; R1 = H; R2 = NO2; R3 = 2,4-Me(Et2N)C6H3; R4 = 2,4,6-Cl3C6H2].

ACCESSION NUMBER: 1985:133543 HCAPIUS

DOCUMENT NUMBER: 102:133543

TITLE: Monoazo disperse dyes for polyester fibers
 PATENT ASSIGNEE(S): Gosei Senryo Gijutsu Kenkyu Kumiai, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKOKAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

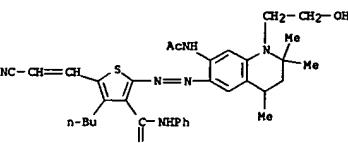
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|------|----------|-----------------|----------|
| JP 59204658 | A2 | 19841120 | JP 1983-79360 | 19830509 |
| JP 03016983 | B4 | 19910306 | JP 1983-79360 | 19830509 |

PRIORITY APPLN. INFO.: IT 95551-77-2 RL: TEM (Technical or engineered material use), USES (Uses) (dye, blue, for polyester fibers)

RN 95551-77-2 HCAPIUS
 CN 3-Thiophenecarboxamide, 2-[(7-acetylaminoo-1,2,3,4-tetrahydro-1-(2-hydroxyethyl)-2,2,4-trimethyl-6-quinolinyl)azo]-4-butyl-5-(2-cyanoethenyl)-N-phenyl-(9CI) (CA INDEX NAME)

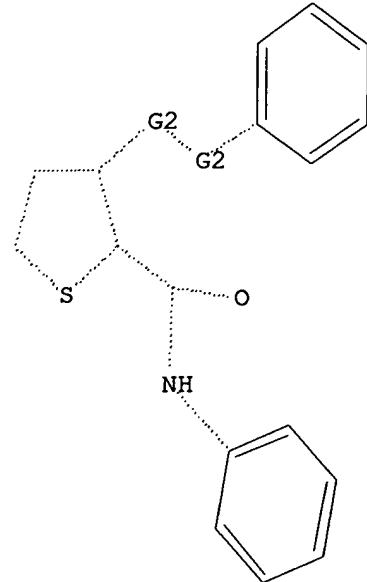


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| FULL ESTIMATED COST | 74.07 | 241.22 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -10.50 | -10.50 |

SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 09:11:28 ON 26 JUN 2006

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1 STR
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G1 H,Ak
G2 N,SO2
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Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED 267 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 4360 TO 6320
PROJECTED ANSWERS: 6 TO 266
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| COST IN U.S. DOLLARS | | ENTRY | SESSION |
| FULL ESTIMATED COST | | 166.94 | 167.15 |

FILE 'HCAPLUS' ENTERED AT 13:02:10 ON 26 JUN 2006
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 FILE LAST UPDATED: 25 Jun 2006 (20060625/ED)

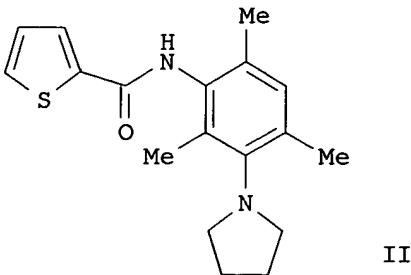
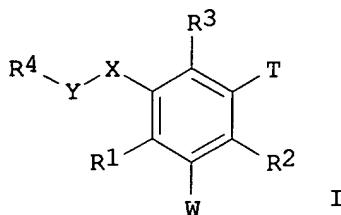
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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 04 Mar 2005
 GI



AB The invention relates to a preparation of urotensin II receptor antagonists and CCR-9 antagonists of formula I [wherein: R1, R2, and R3 are independently selected from H, halogen, alkyl, aryl, or CN, etc.; X is CH₂, O, or NH, etc.; Y is SO₂, C(O), CH₂SO₂, NHC(O), or NHSO₂, etc.; T and W are independently selected from H, (cyclo)alkyl, alkoxy, aryl, or halogen, etc.; R4 is aryl, heterocyclyl, or cycloalkyl]. For instance, thiophenecarboxamide derivative II was prepared via amidation of thiophene-2-carboxylic acid by [2,4,6-trimethyl-3-(pyrrolidin-1-yl)phenyl]amine. The invention compds. were tested for inhibition of human urotensin II-induced Ca²⁺ mobilization in UTR cells (IC₅₀ > 0.5 μM).

ACCESSION NUMBER: 2005:185392 HCPLUS
 DOCUMENT NUMBER: 142:280229
 TITLE: A preparation of urotensin II receptor antagonists and CCR-9 antagonists
 INVENTOR(S): Wu, Chengde; Anderson, C. Eric; Bui, Huong; Gao, Dixin; Kassir, Jamal; Li, Wen; Wang, Junmei; Biediger, Ronald; Chen, Jie; Market, Robert V.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 33 pp., Cont.-in-part of U.S. Ser. No. 781,442.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 2005049286 | A1 | 20050303 | US 2004-924180 | 20040823 |
| US 2004180892 | A1 | 20040916 | US 2004-781442 | 20040218 |
| PRIORITY APPLN. INFO.: | | | US 2003-448791P | P 20030220 |
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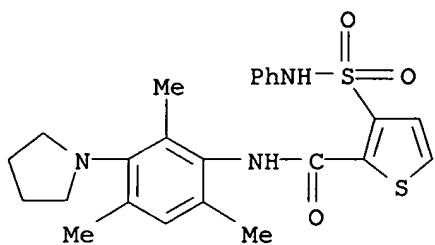
OTHER SOURCE(S): MARPAT 142:280229
 IT 749268-37-9P 749268-38-0P 847414-20-4P
 847414-21-5P 847414-22-6P 847414-24-8P
 847414-26-0P 847414-27-1P 847414-30-6P
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 847414-80-6P 847414-82-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of urotensin II receptor antagonists and CCR-9 antagonists)

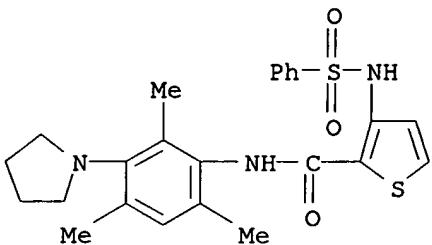
RN 749268-37-9 HCPLUS

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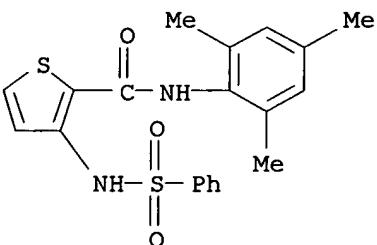
RN 749268-38-0 HCPLUS

CN 2-Thiophenecarboxamide, 3-[(phenylsulfonyl)amino]-N-[2,4,6-trimethyl-3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



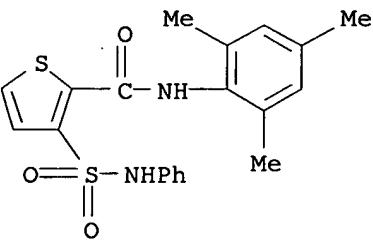
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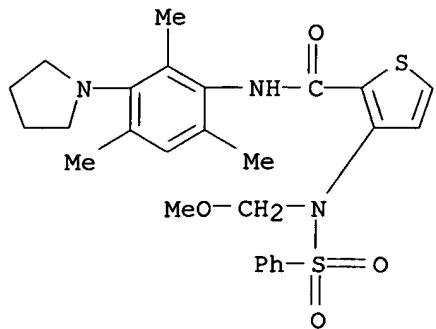
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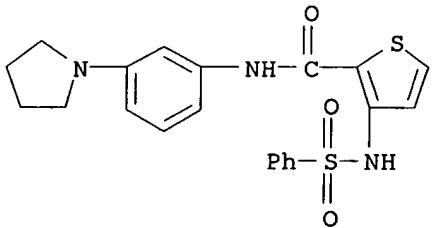
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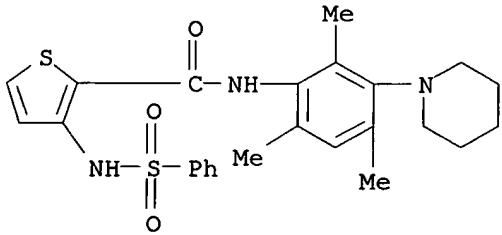
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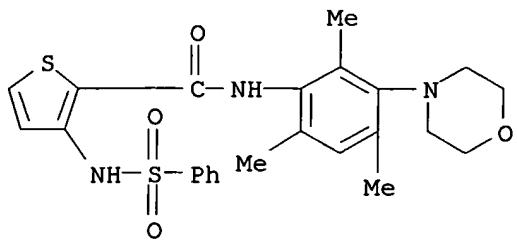
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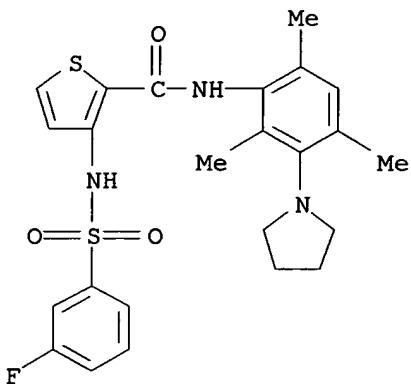
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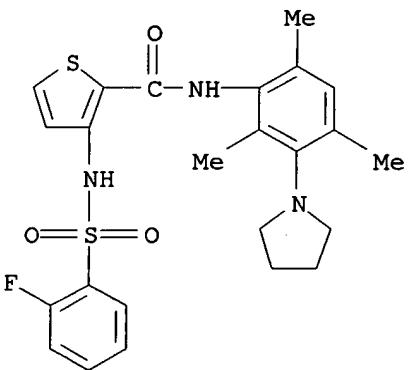
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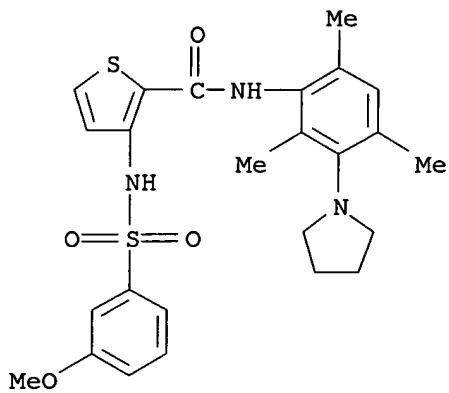
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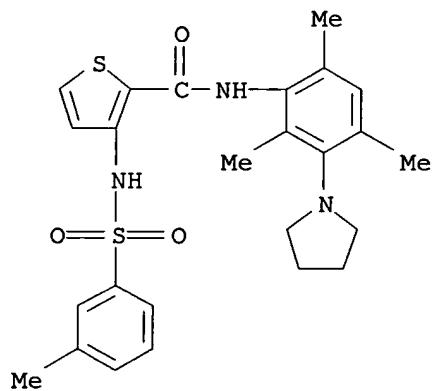
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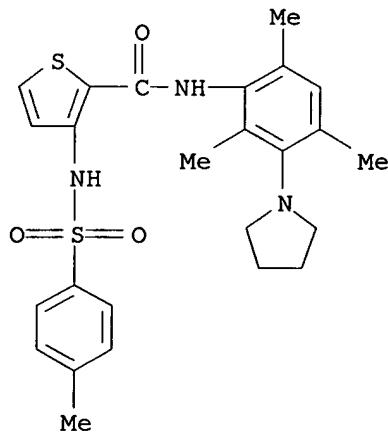
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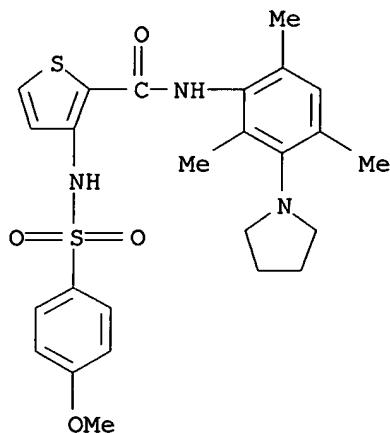
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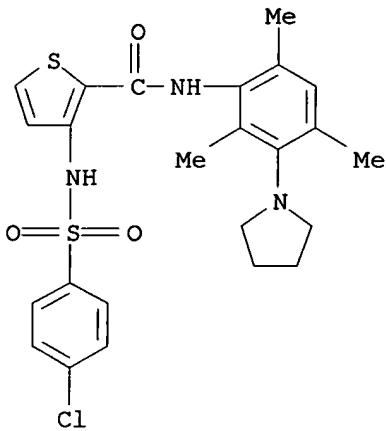
RN 847414-36-2 HCAPLUS

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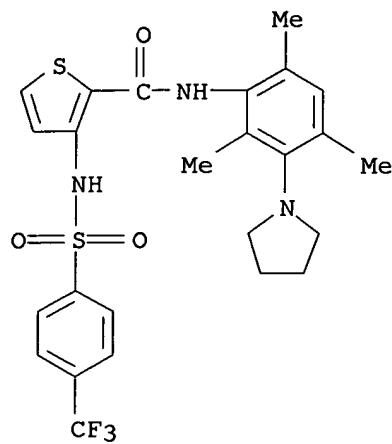
RN 847414-37-3 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[[[4-chlorophenyl]sulfonyl]amino]-N-[2,4,6-trimethyl-3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



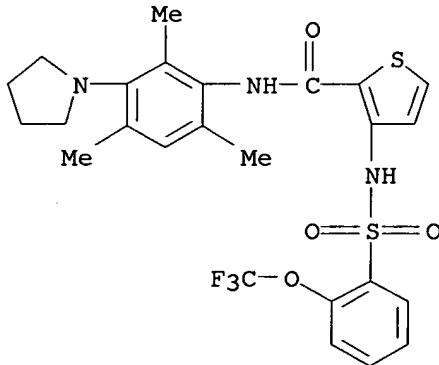
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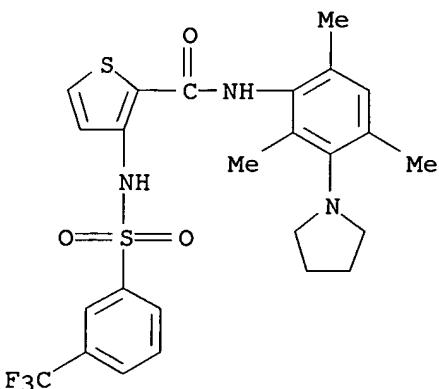
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CN 2-Thiophenecarboxamide, 3-[[[2-(trifluoromethoxy)phenyl]sulfonyl]amino]-N-[2,4,6-trimethyl-3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



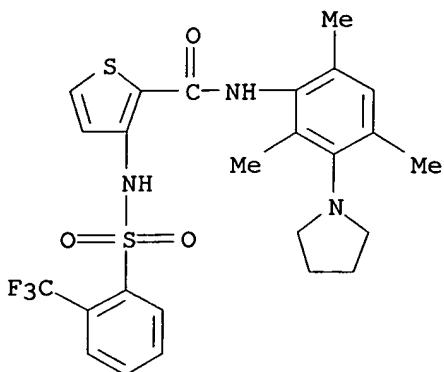
RN 847414-40-8 HCPLUS

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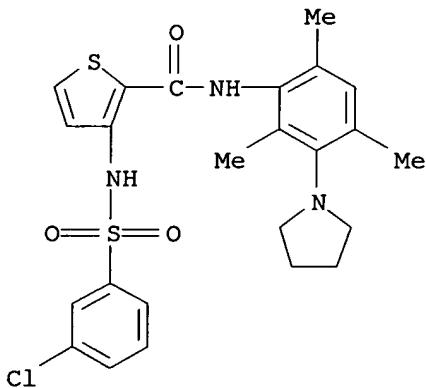
RN 847414-41-9 HCAPLUS

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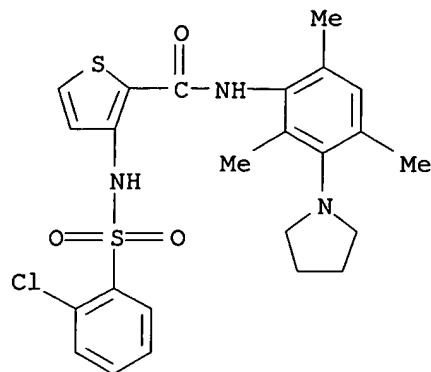
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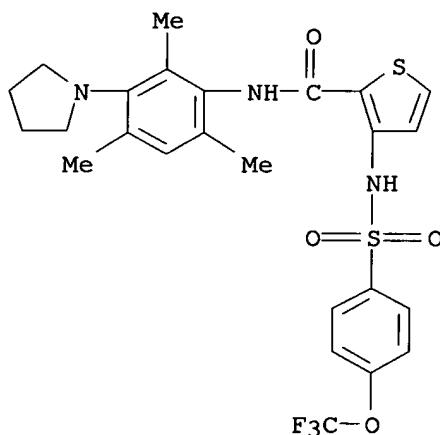
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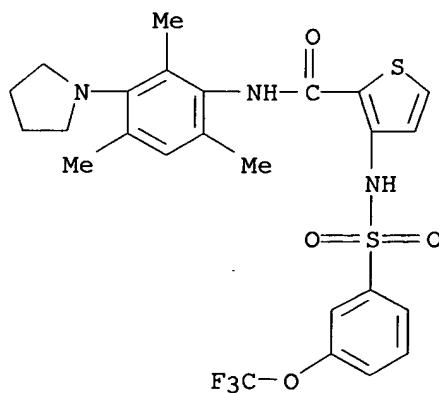
RN 847414-44-2 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[[[4-(trifluoromethoxy)phenyl]sulfonyl]amino]-N-[2,4,6-trimethyl-3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



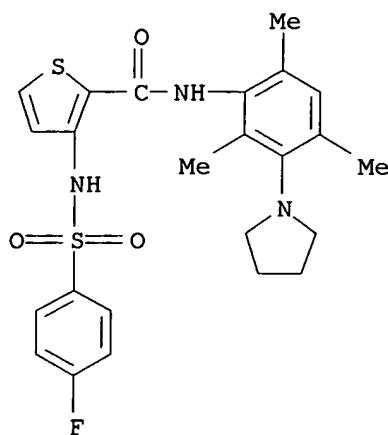
RN 847414-45-3 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[[[3-(trifluoromethoxy)phenyl]sulfonyl]amino]-N-[2,4,6-trimethyl-3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



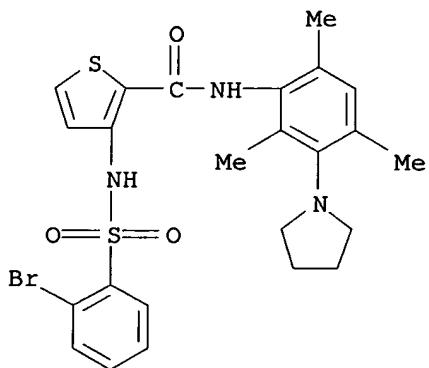
RN 847414-46-4 HCAPLUS

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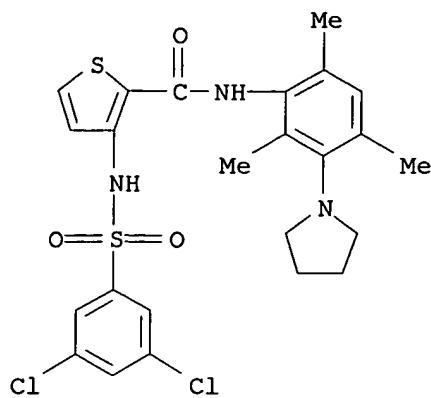
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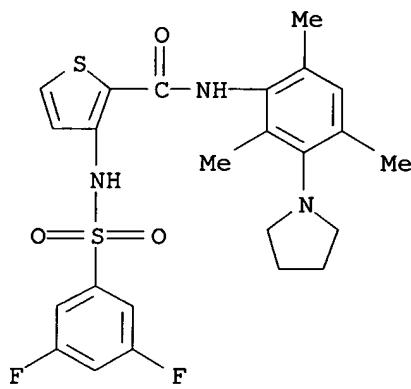
RN 847414-48-6 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[[[(3,5-dichlorophenyl)sulfonyl]amino]-N-[2,4,6-trimethyl-3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



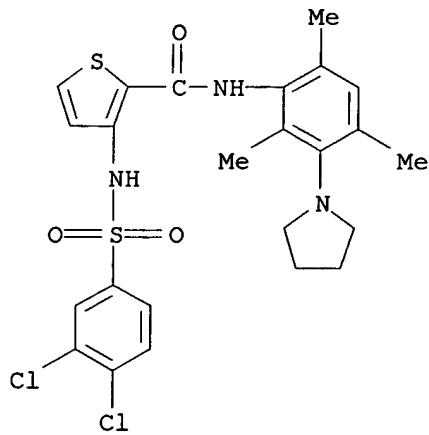
RN 847414-49-7 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[[(3,5-difluorophenyl)sulfonyl]amino]-N-[2,4,6-trimethyl-3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



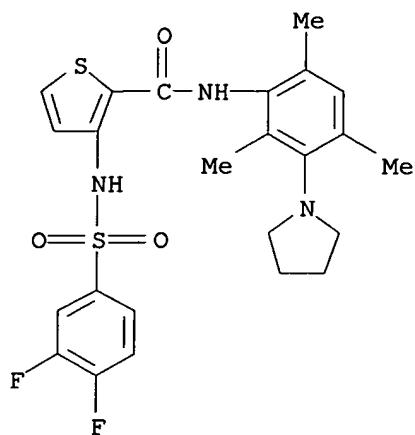
RN 847414-50-0 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[[(3,4-dichlorophenyl)sulfonyl]amino]-N-[2,4,6-trimethyl-3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



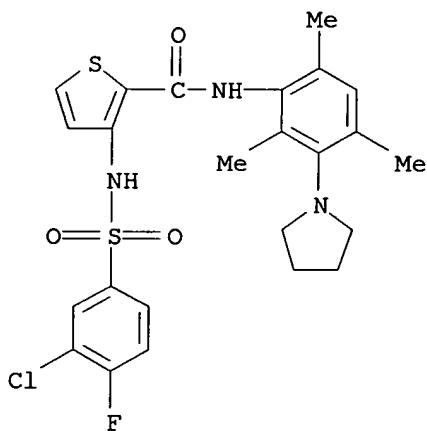
RN 847414-51-1 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[[[3,4-difluorophenyl)sulfonyl]amino]-N-[2,4,6-trimethyl-3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



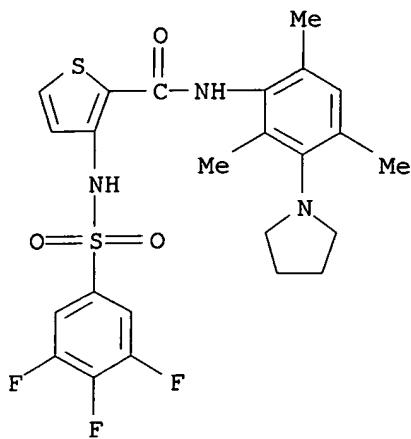
RN 847414-52-2 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[[[3-chloro-4-fluorophenyl)sulfonyl]amino]-N-[2,4,6-trimethyl-3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



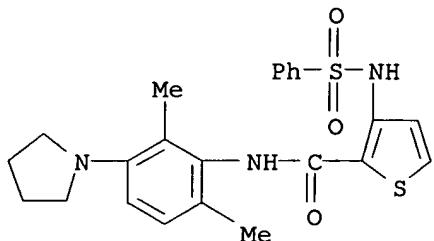
RN 847414-53-3 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[[[3,4,5-trifluorophenyl)sulfonyl]amino]-N-[2,4,6-trimethyl-3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



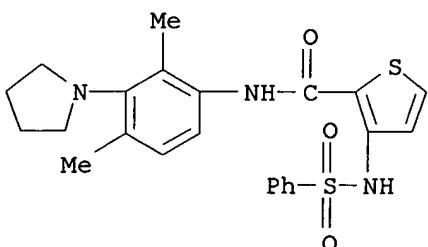
RN 847414-60-2 HCAPLUS

CN 2-Thiophenecarboxamide, N-[2,6-dimethyl-3-(1-pyrrolidinyl)phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



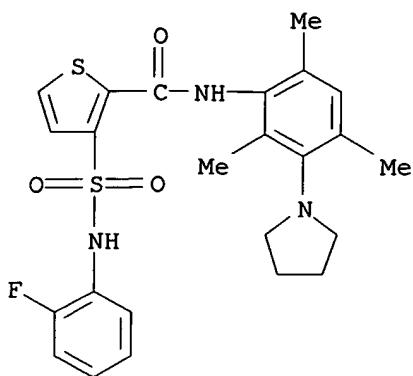
RN 847414-61-3 HCAPLUS

CN 2-Thiophenecarboxamide, N-[2,4-dimethyl-3-(1-pyrrolidinyl)phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



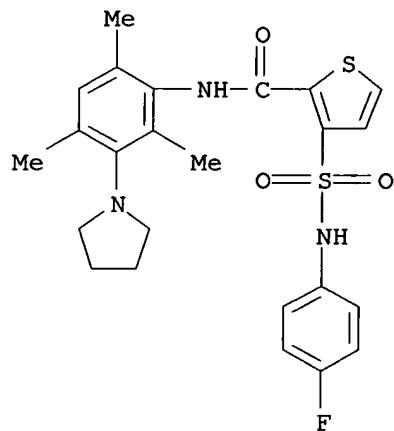
RN 847414-62-4 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[[[2-fluorophenyl]amino]sulfonyl]-N-[2,4,6-trimethyl-3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



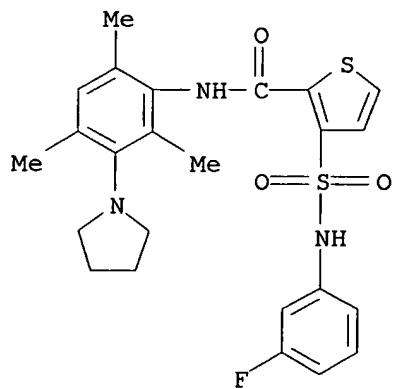
RN 847414-63-5 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[(4-fluorophenyl)amino]sulfonyl]-N-[2,4,6-trimethyl-3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)

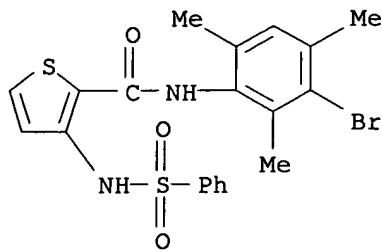


RN 847414-64-6 HCAPLUS

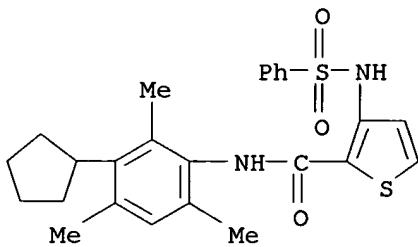
CN 2-Thiophenecarboxamide, 3-[(3-fluorophenyl)amino]sulfonyl]-N-[2,4,6-trimethyl-3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



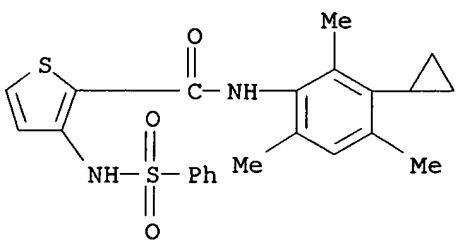
RN 847414-65-7 HCAPLUS
CN 2-Thiophenecarboxamide, N-(3-bromo-2,4,6-trimethylphenyl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



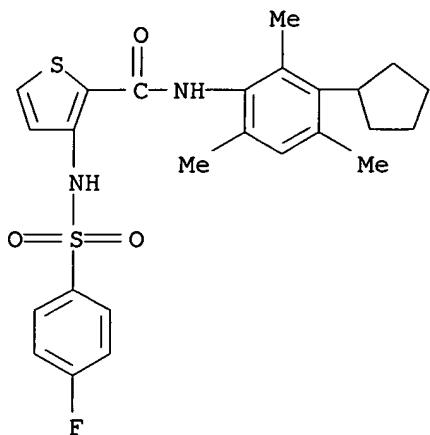
RN 847414-67-9 HCAPLUS
CN 2-Thiophenecarboxamide, N-(3-cyclopentyl-2,4,6-trimethylphenyl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 847414-70-4 HCAPLUS
CN 2-Thiophenecarboxamide, N-(3-cyclopropyl-2,4,6-trimethylphenyl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

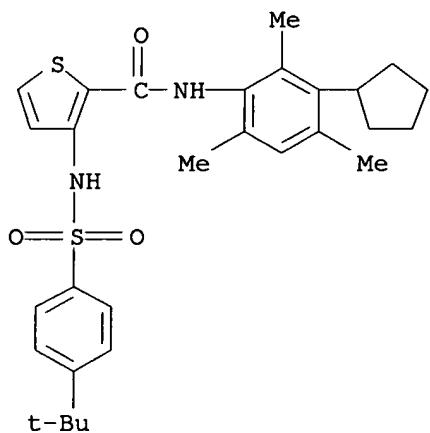


RN 847414-71-5 HCAPLUS
CN 2-Thiophenecarboxamide, N-(3-cyclopentyl-2,4,6-trimethylphenyl)-3-[[(4-fluorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



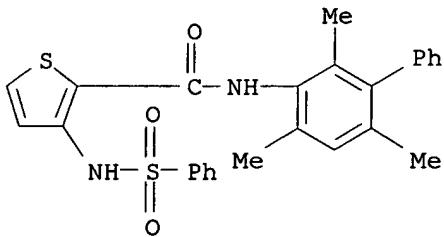
RN 847414-72-6 HCAPLUS

CN 2-Thiophenecarboxamide, N-(3-cyclopentyl-2,4,6-trimethylphenyl)-3-[(4-(1,1-dimethylethyl)phenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



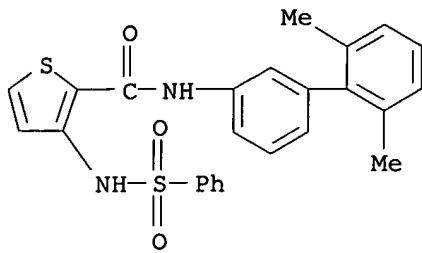
RN 847414-73-7 HCAPLUS

CN 2-Thiophenecarboxamide, N-[(phenylsulfonyl)amino]-3-[(2,4,6-trimethyl[1,1'-biphenyl]-3-yl)amino]- (9CI) (CA INDEX NAME)



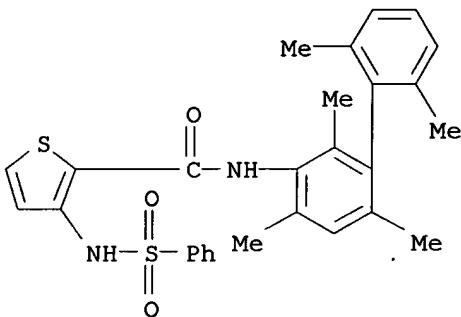
RN 847414-74-8 HCAPLUS

CN 2-Thiophenecarboxamide, N-[(2',6'-dimethyl[1,1'-biphenyl]-3-yl)amino]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



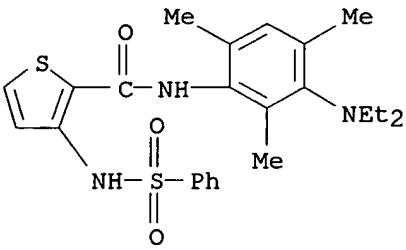
RN 847414-75-9 HCPLUS

CN 2-Thiophenecarboxamide, N-(2,2',4,6,6'-pentamethyl[1,1'-biphenyl]-3-yl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



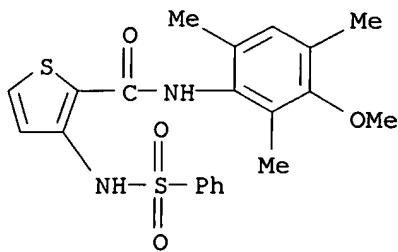
RN 847414-77-1 HCPLUS

CN 2-Thiophenecarboxamide, N-[3-(diethylamino)-2,4,6-trimethylphenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



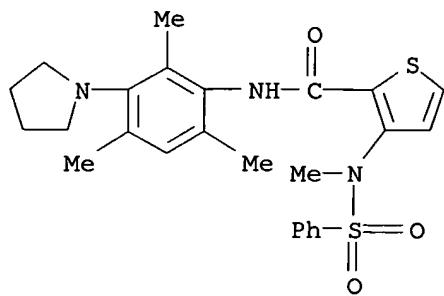
RN 847414-80-6 HCPLUS

CN 2-Thiophenecarboxamide, N-(3-methoxy-2,4,6-trimethylphenyl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 847414-82-8 HCAPLUS

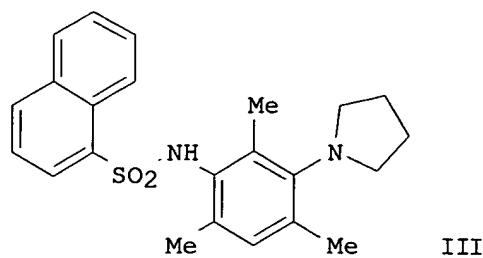
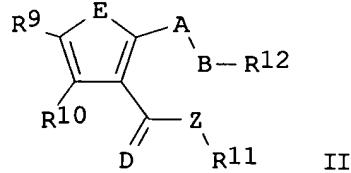
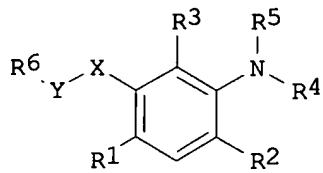
CN 2-Thiophenecarboxamide, 3-[methyl(phenylsulfonyl)amino]-N-[2,4,6-trimethyl-3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 02 Sep 2004

GI



AB The title compds. I and II [R1, R2, R3 = H, halo, alkyl, aryl, aralkyl, CN, CF₃, etc.; X = N, CH₂, or O; Y = SO₂, CO, CH₂SO₂, CH₂CO, NHCO, OCO, or NHSO₂; R4 = alkyl, aralkyl or (hetero)aryl, R5 = R1, or Z-NR7R8, or R4, R5 taken together with N can form a 5 or 6 membered ring; Z = (CH₂)_n, where n = 0-6; R6 = (hetero)aryl, Z-NR7R8; R7, R8 = H, alkyl, aryl, aralkyl or together with N form a pyrrolidine, piperazine, piperidine, or morpholine ring; E = substituted amino, O, S, CR13=CR14, or CR13=N, where R13, R14 = alkyl, (hetero)aryl, halo, OH, alkoxy, etc.; D = substituted amino, O, or S; Z = NR15 or CR15R15 where each R15 = H, alkyl, aryl, or heteroaryl; A = (substituted)amino, CO, or SO₂; when A = (substituted)amino, B = SO₂, CO₂, or C₁₆R16, where R16 = H, alkyl, aryl, or heteroaryl; when A = CO or SO₂, B = (substituted)amino; R9, R10 = H, alkyl, (hetero)aryl, halo, OH, Alkoxy, or (substituted)amino; R11, R12 = H, alkyl, or (hetero)aryl] were prepared as urotensin-II receptor antagonists and CCR-9 antagonists for the treatment of congestive heart failure, stroke, ischemic heart disease, etc. For example, reaction of 2,4,6-trimethyl-3-pyrrolidin-1-yl-phenylamine (preparation given) with 1-naphthalenesulfonyl chloride yielded compound III. The latter showed an IC₅₀ = 10 μM in the assay of human urotensin-II-induced CA²⁺ mobilization in UTR cells.

ACCESSION NUMBER: 2004:718308 HCPLUS
 DOCUMENT NUMBER: 141:243188
 TITLE: Preparation of phenylenediamine and thiophene carboxylic amide derivatives as urotensin-II receptor antagonists and CCR-9 antagonists
 INVENTOR(S): Wu, Chengde; Anderson, Eric C.; Bui, Huong; Gao, Daxin; Kassir, Jamal; Li, Wen; Wang, Junmei; Market, Robert V.
 PATENT ASSIGNEE(S): Encysive Pharmaceuticals Inc., USA
 SOURCE: PCT Int. Appl., 84 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2004073634 | A2 | 20040902 | WO 2004-US4645 | 20040218 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004212985 | A1 | 20040902 | AU 2004-212985 | 20040218 |
| CA 2515780 | AA | 20040902 | CA 2004-2515780 | 20040218 |
| EP 1610753 | A2 | 20060104 | EP 2004-712313 | 20040218 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| PRIORITY APPLN. INFO.: | | | US 2003-448791P | P 20030220 |
| | | | WO 2004-US4645 | W 20040218 |

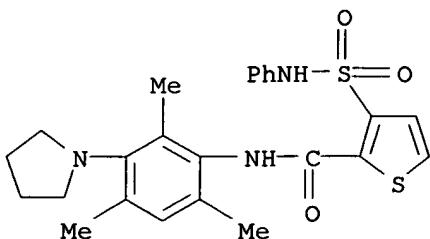
OTHER SOURCE(S): MARPAT 141:243188
 IT 749268-37-9P, 3-Phenylaminosulfonyl-N-(2,4,6-trimethyl-3-pyrrolidin-1-yl-phenyl)-thiophene-2-carboxamide 749268-38-0P, 3-Benzene sulfonylamino-N-(2,4,6-trimethyl-3-pyrrolidin-1-yl-phenyl)-thiophene-2-carboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Preparation of phenylenediamine and thiophene carboxylic amide derivs. as urotensin-II receptor antagonists and CCR-9 antagonists)

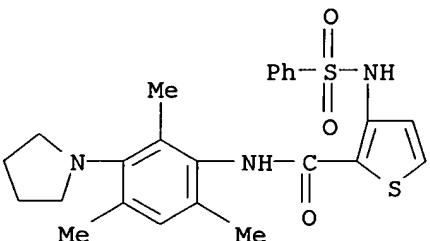
RN 749268-37-9 HCPLUS

CN 2-Thiophenecarboxamide, 3-[(phenylamino)sulfonyl]-N-[2,4,6-trimethyl-3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 749268-38-0 HCPLUS

CN 2-Thiophenecarboxamide, 3-[(phenylsulfonyl)amino]-N-[2,4,6-trimethyl-3-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



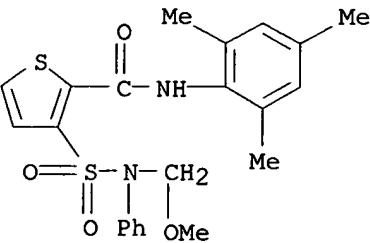
IT 749268-61-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

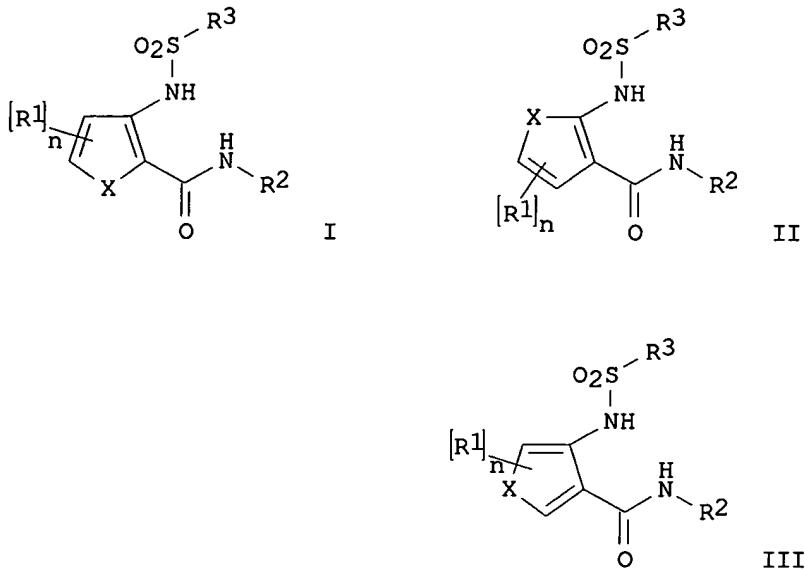
(Preparation of phenylenediamine and thiophene carboxylic amide derivs. as urotensin-II receptor antagonists and CCR-9 antagonists)

RN 749268-61-9 HCPLUS

CN 2-Thiophenecarboxamide, 3-[[[(methoxymethyl)phenylamino]sulfonyl]-N-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 12 Apr 2002
 GI



AB The title compds. [I-III; X = S, O; R1 = H, alkyl, aryl, etc.; R2, R3 = alkyl, haloalkyl, alky; interrupted by one or more O or S atoms, etc.; n = 0-3], useful for treatment of chronic renal failure and uremic bone disease, were prepared E.g., a 4-step synthesis of I [X = S; R1 = H; R2 = 4-FC6H4; R3 = Ph], starting with Me 3-aminothiophene-2-carboxylate, was presented. Biol. data were given.

ACCESSION NUMBER: 2002:275753 HCAPLUS

DOCUMENT NUMBER: 136:309843

TITLE: Preparation of thiophenes as phosphate transport inhibitors

INVENTOR(S): Weinstock, Joseph; Franz, Robert G.

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2002028353 | A2 | 20020411 | WO 2001-US31318 | 20011005 |
| WO 2002028353 | A3 | 20020711 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, | | | | |

US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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|-------------------------|----|----------|-----------------|------------|
| AU 2002013048 | A5 | 20020415 | AU 2002-13048 | 20011005 |
| PRIORITY APPLN. INFO. : | | | US 2000-238068P | P 20001005 |
| | | | WO 2001-US31318 | W 20011005 |

OTHER SOURCE(S): MARPAT 136:309843

IT 409361-91-7P 409361-92-8P 409361-93-9P
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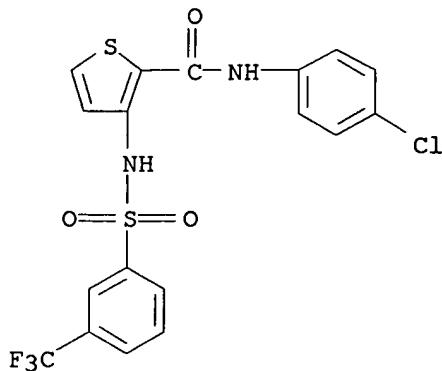
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiophenes as phosphate transport inhibitors)

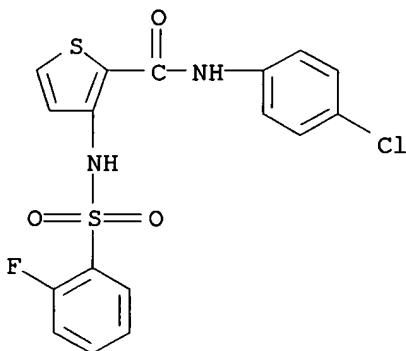
RN 409361-91-7 HCAPLUS

CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-3-[[[3-(trifluoromethyl)phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



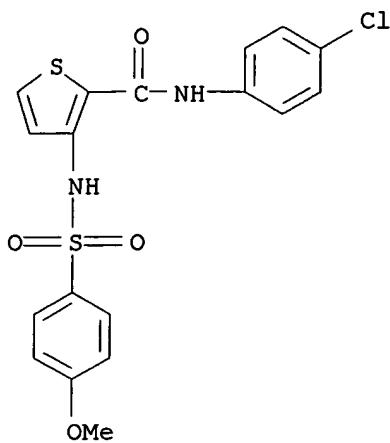
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CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-3-[[[2-fluorophenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)

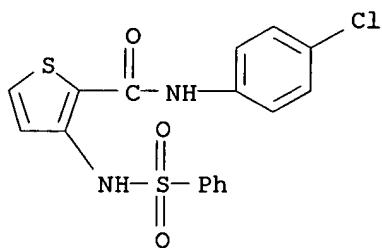


RN 409361-93-9 HCAPLUS

CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-3-[[[4-methoxyphenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)

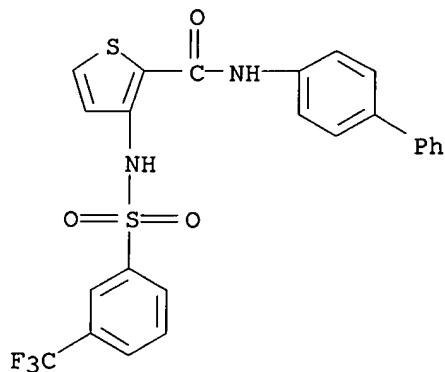


RN 409361-94-0 HCPLUS

CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-3-[(phenylsulfonyl)amino]-
(9CI) (CA INDEX NAME)

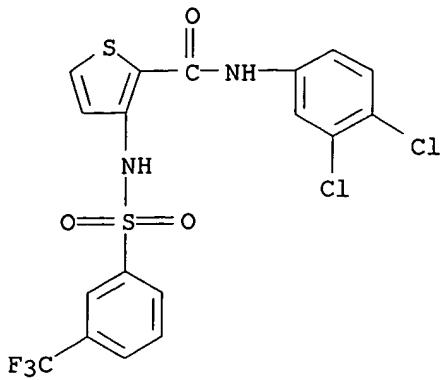
RN 409361-99-5 HCPLUS

CN 2-Thiophenecarboxamide, N-[1,1'-biphenyl]-4-yl-3-[[3-(trifluoromethyl)phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



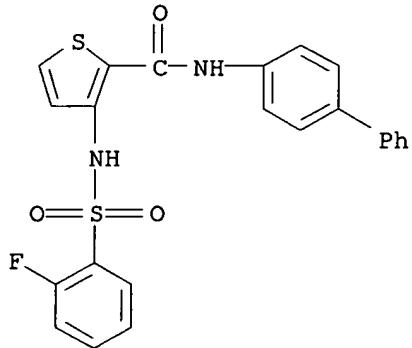
RN 409362-00-1 HCPLUS

CN 2-Thiophenecarboxamide, N-(3,4-dichlorophenyl)-3-[[3-(trifluoromethyl)phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



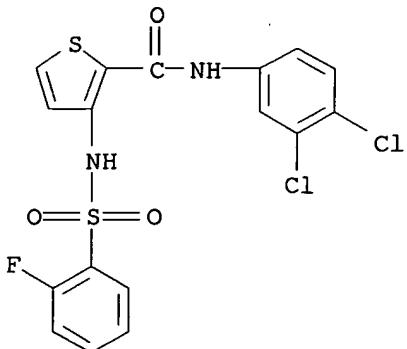
RN 409362-01-2 HCAPLUS

CN 2-Thiophenecarboxamide, N-[1,1'-biphenyl]-4-yl-3-[(2-fluorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



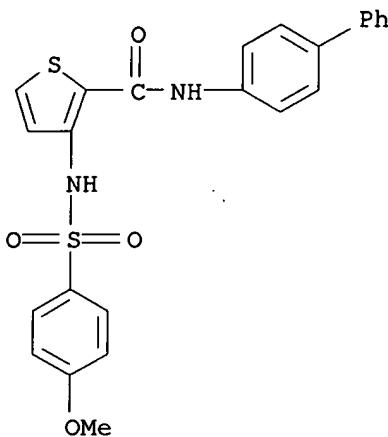
RN 409362-02-3 HCAPLUS

CN 2-Thiophenecarboxamide, N-(3,4-dichlorophenyl)-3-[(2-fluorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



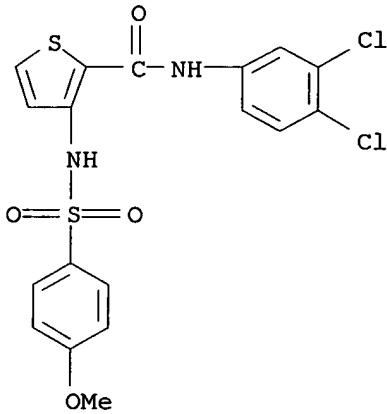
RN 409362-03-4 HCAPLUS

CN 2-Thiophenecarboxamide, N-[1,1'-biphenyl]-4-yl-3-[(4-methoxyphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



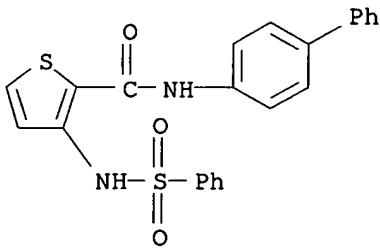
RN 409362-04-5 HCAPLUS

CN 2-Thiophenecarboxamide, N-(3,4-dichlorophenyl)-3-[(4-methoxyphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



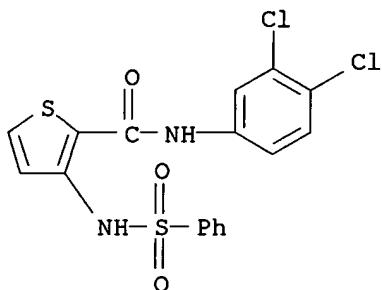
RN 409362-05-6 HCAPLUS

CN 2-Thiophenecarboxamide, N-[1,1'-biphenyl]-4-yl-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



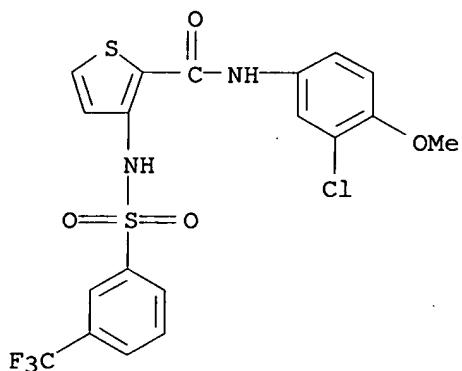
RN 409362-06-7 HCAPLUS

CN 2-Thiophenecarboxamide, N-(3,4-dichlorophenyl)-3-[(phenylsulfonyl)amino]-
(9CI) (CA INDEX NAME)



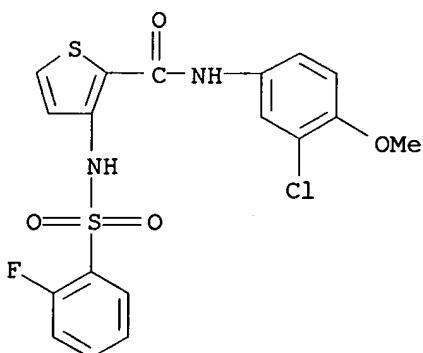
RN 409362-11-4 HCAPLUS

CN 2-Thiophenecarboxamide, N-(3-chloro-4-methoxyphenyl)-3-[[[3-(trifluoromethyl)phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)

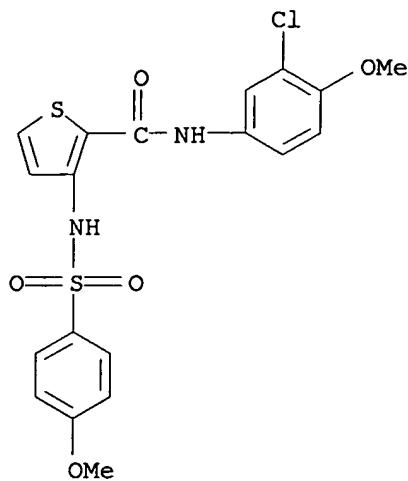


RN 409362-12-5 HCAPLUS

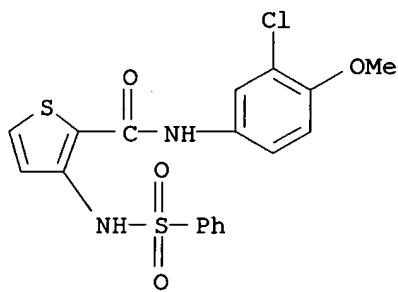
CN 2-Thiophenecarboxamide, N-(3-chloro-4-methoxyphenyl)-3-[[[2-fluorophenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



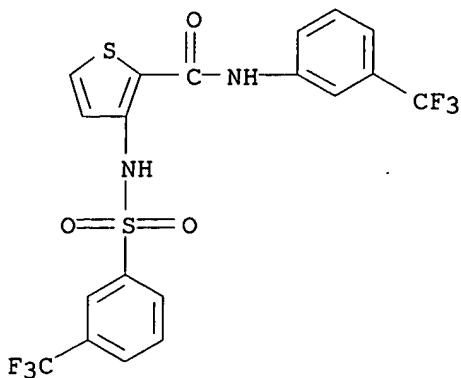
RN 409362-13-6 HCAPLUS
CN 2-Thiophenecarboxamide, N-(3-chloro-4-methoxyphenyl)-3-[(4-methoxyphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 409362-14-7 HCAPLUS
CN 2-Thiophenecarboxamide, N-(3-chloro-4-methoxyphenyl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

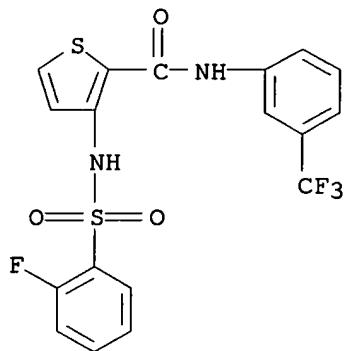


RN 409362-17-0 HCAPLUS
CN 2-Thiophenecarboxamide, N-[3-(trifluoromethyl)phenyl]-3-[[[3-(trifluoromethyl)phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



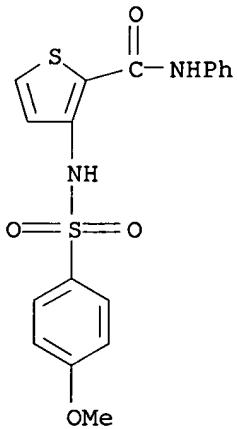
RN 409362-18-1 HCPLUS

CN 2-Thiophenecarboxamide, 3-[[[(2-fluorophenyl)sulfonyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



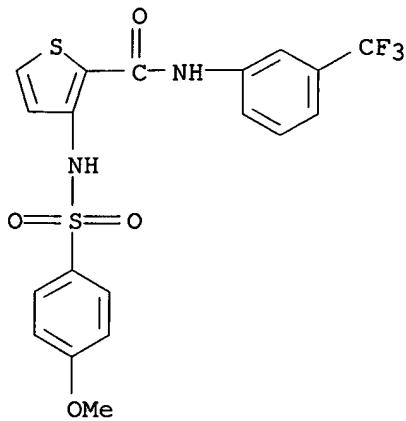
RN 409362-19-2 HCPLUS

CN 2-Thiophenecarboxamide, 3-[[[(4-methoxyphenyl)sulfonyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)



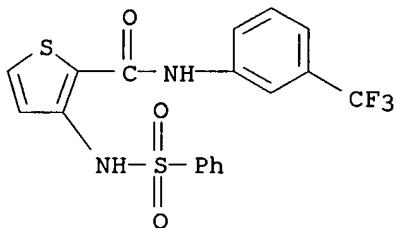
RN 409362-20-5 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[[[4-methoxyphenyl]sulfonyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



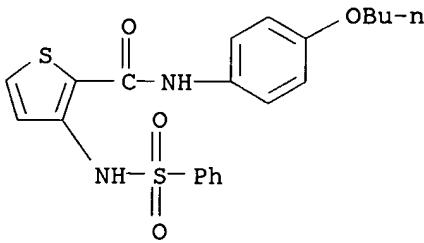
RN 409362-22-7 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[(phenylsulfonyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



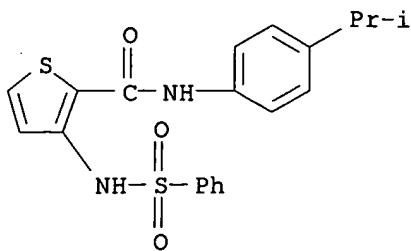
RN 409362-28-3 HCAPLUS

CN 2-Thiophenecarboxamide, N-(4-butoxyphenyl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



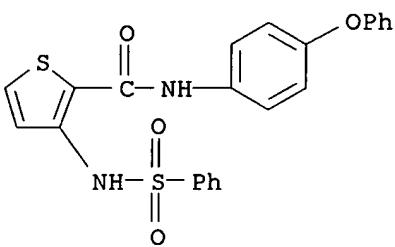
RN 409362-29-4 HCAPLUS

CN 2-Thiophenecarboxamide, N-[4-(1-methylethyl)phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



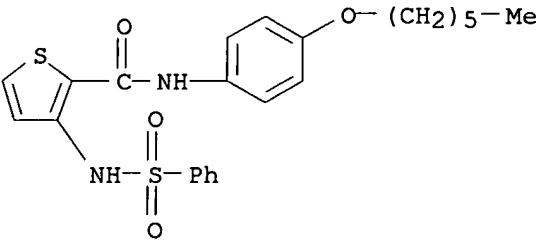
RN 409362-30-7 HCAPLUS

CN 2-Thiophenecarboxamide, N-(4-phenoxyphenyl)-3-[(phenylsulfonyl)amino]-
(9CI) (CA INDEX NAME)



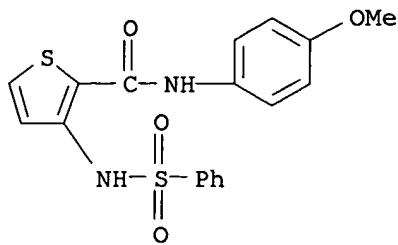
RN 409362-31-8 HCAPLUS

CN 2-Thiophenecarboxamide, N-[4-(hexyloxy)phenyl]-3-[(phenylsulfonyl)amino]-
(9CI) (CA INDEX NAME)

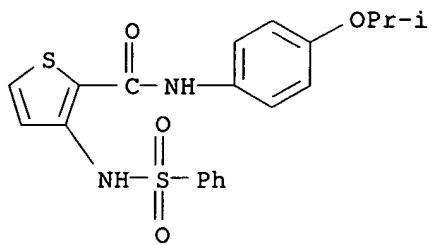


RN 409362-32-9 HCAPLUS

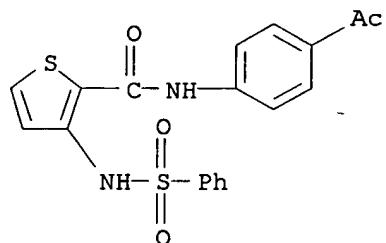
CN 2-Thiophenecarboxamide, N-(4-methoxyphenyl)-3-[(phenylsulfonyl)amino]-
(9CI) (CA INDEX NAME)



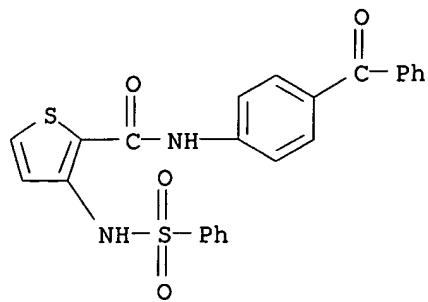
RN 409362-33-0 HCAPLUS
CN 2-Thiophenecarboxamide, N-[4-(1-methylethoxy)phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 409362-34-1 HCAPLUS
CN 2-Thiophenecarboxamide, N-(4-acetylphenyl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

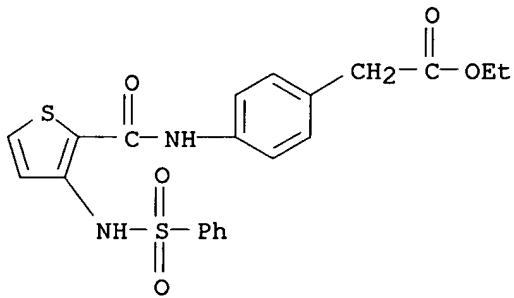


RN 409362-35-2 HCAPLUS
CN 2-Thiophenecarboxamide, N-(4-benzoylphenyl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



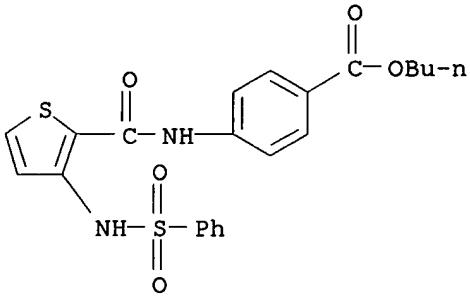
RN 409362-36-3 HCAPLUS

CN Benzeneacetic acid, 4-[[[3-[(phenylsulfonyl)amino]-2-thienyl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



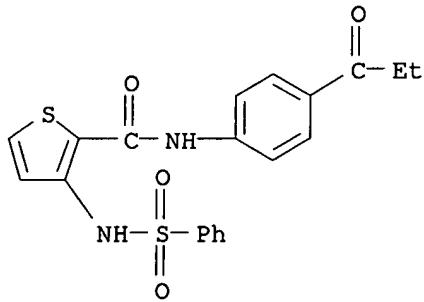
RN 409362-37-4 HCAPLUS

CN Benzoic acid, 4-[[[3-[(phenylsulfonyl)amino]-2-thienyl]carbonyl]amino]-, butyl ester (9CI) (CA INDEX NAME)



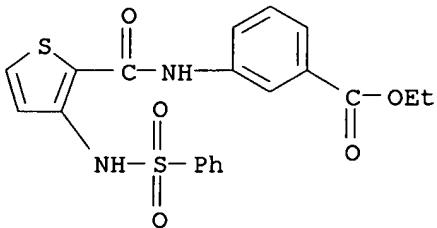
RN 409362-38-5 HCAPLUS

CN 2-Thiophenecarboxamide, N-[4-(1-oxopropyl)phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



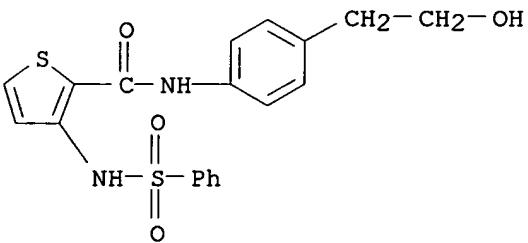
RN 409362-39-6 HCPLUS

CN Benzoic acid, 3-[[[3-[(phenylsulfonyl)amino]-2-thienyl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



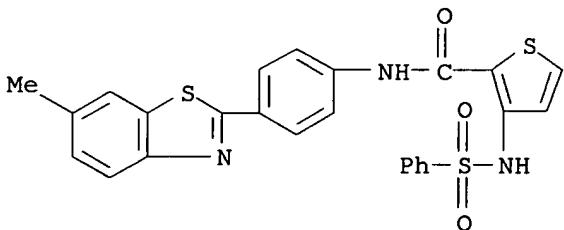
RN 409362-40-9 HCPLUS

CN 2-Thiophenecarboxamide, N-[4-(2-hydroxyethyl)phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

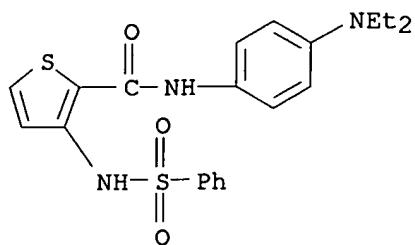


RN 409362-41-0 HCPLUS

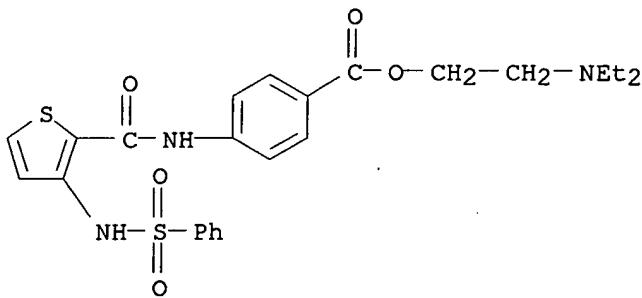
CN 2-Thiophenecarboxamide, N-[4-(6-methyl-2-benzothiazolyl)phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



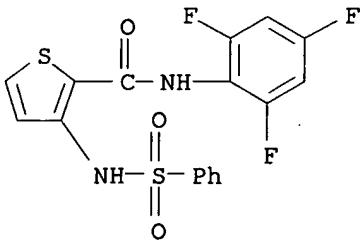
RN 409362-42-1 HCAPLUS
CN 2-Thiophenecarboxamide, N-[4-(diethylamino)phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



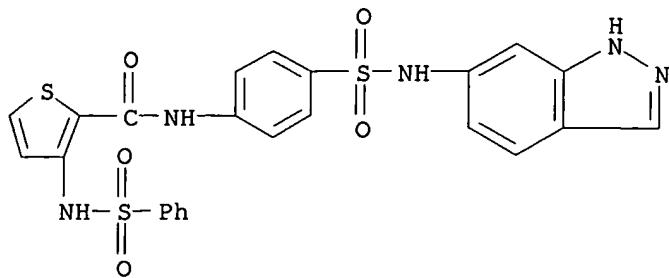
RN 409362-43-2 HCAPLUS
CN Benzoic acid, 4-[[[3-[(phenylsulfonyl)amino]-2-thienyl]carbonyl]amino]-, 2-(diethylamino)ethyl ester (9CI) (CA INDEX NAME)



RN 409362-44-3 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(phenylsulfonyl)amino]-N-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

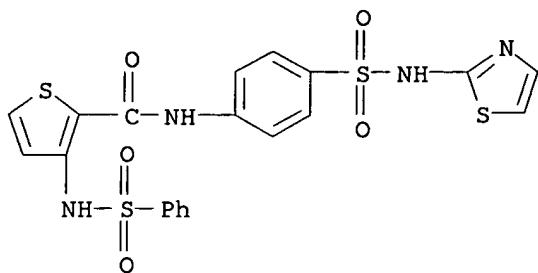


RN 409362-45-4 HCAPLUS
CN 2-Thiophenecarboxamide, N-[4-[(1H-indazol-6-ylamino)sulfonyl]phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



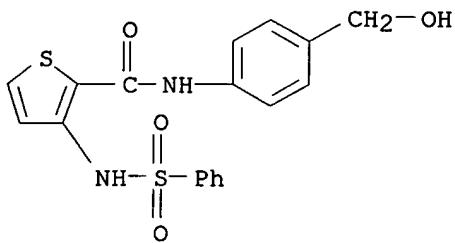
RN 409362-46-5 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[(phenylsulfonyl)amino]-N-[4- [(2-thiazolylamino)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



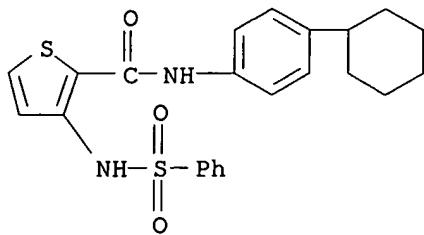
RN 409362-47-6 HCAPLUS

CN 2-Thiophenecarboxamide, N-[4- [(hydroxymethyl)phenyl] -3- [(phenylsulfonyl)amino] - (9CI) (CA INDEX NAME)

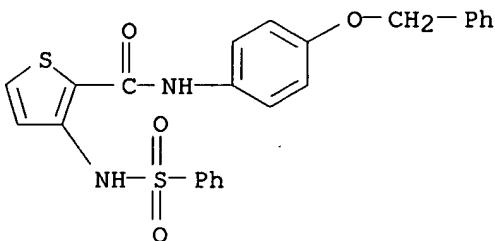


RN 409362-48-7 HCAPLUS

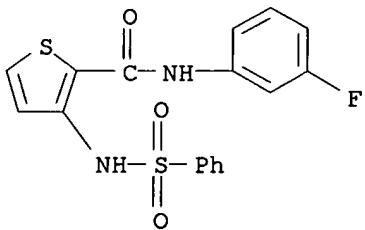
CN 2-Thiophenecarboxamide, N- (4- cyclohexylphenyl) -3- [(phenylsulfonyl)amino] - (9CI) (CA INDEX NAME)



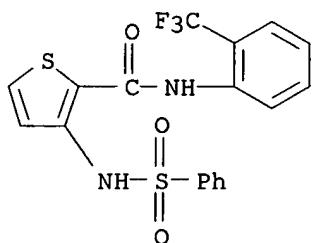
RN 409362-49-8 HCAPLUS
CN 2-Thiophenecarboxamide, N-[4-(phenylmethoxy)phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



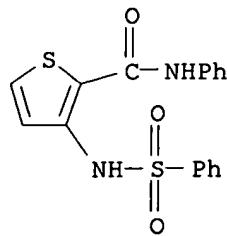
RN 409362-50-1 HCAPLUS
CN 2-Thiophenecarboxamide, N-(3-fluorophenyl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



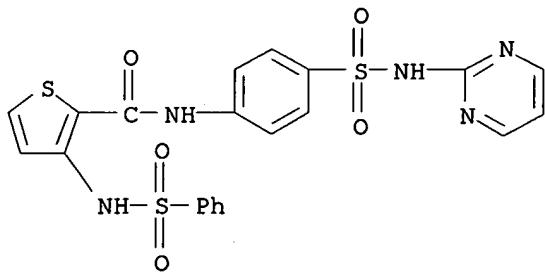
RN 409362-51-2 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(phenylsulfonyl)amino]-N-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



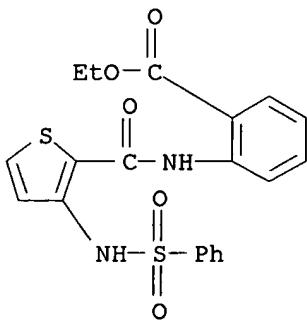
RN 409362-52-3 HCAPLUS
CN 2-Thiophenecarboxamide, N-phenyl-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



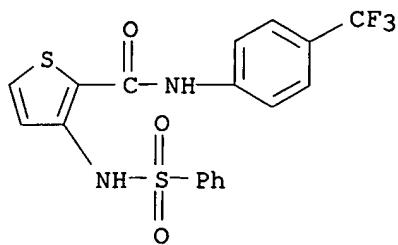
RN 409362-53-4 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(phenylsulfonyl)amino]-N-[4-[(2-pyrimidinylamino)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



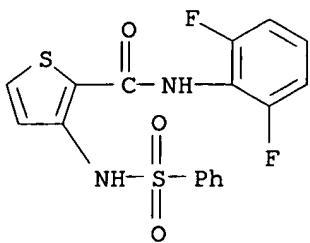
RN 409362-54-5 HCAPLUS
CN Benzoic acid, 2-[[[3-[(phenylsulfonyl)amino]-2-thienyl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



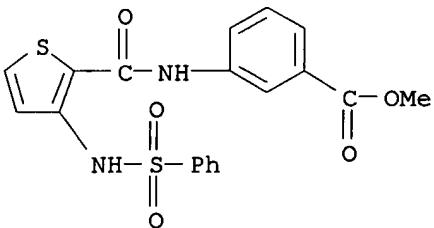
RN 409362-55-6 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(phenylsulfonyl)amino]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



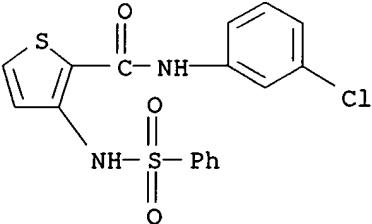
RN 409362-56-7 HCPLUS
 CN 2-Thiophencarboxamide, N-(2,6-difluorophenyl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



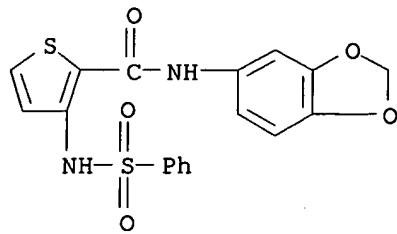
RN 409362-57-8 HCPLUS
 CN Benzoic acid, 3-[[[3-[(phenylsulfonyl)amino]-2-thienyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



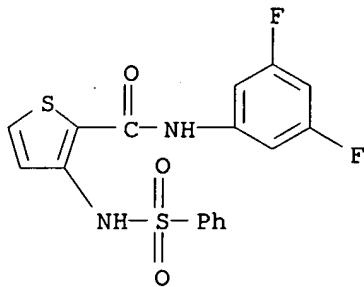
RN 409362-58-9 HCPLUS
 CN 2-Thiophencarboxamide, N-(3-chlorophenyl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



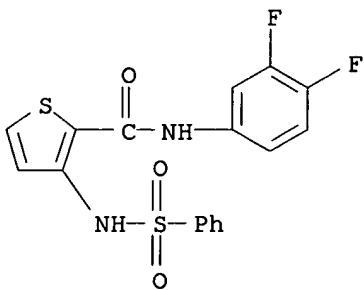
RN 409362-59-0 HCAPLUS
CN 2-Thiophenecarboxamide, N-1,3-benzodioxol-5-yl-3-[(phenylsulfonyl)amino]-
(9CI) (CA INDEX NAME)



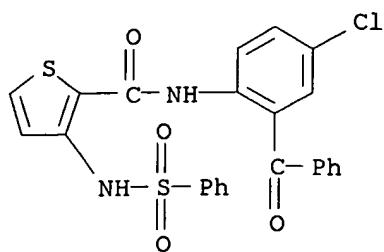
RN 409362-60-3 HCAPLUS
CN 2-Thiophenecarboxamide, N-(3,5-difluorophenyl)-3-[(phenylsulfonyl)amino]-
(9CI) (CA INDEX NAME)



RN 409362-61-4 HCAPLUS
CN 2-Thiophenecarboxamide, N-(3,4-difluorophenyl)-3-[(phenylsulfonyl)amino]-
(9CI) (CA INDEX NAME)

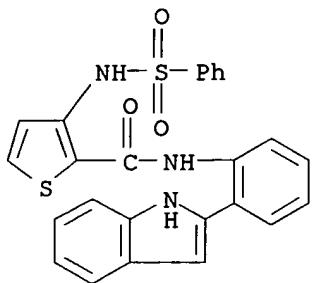


RN 409362-62-5 HCAPLUS
CN 2-Thiophenecarboxamide, N-(2-benzoyl-4-chlorophenyl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



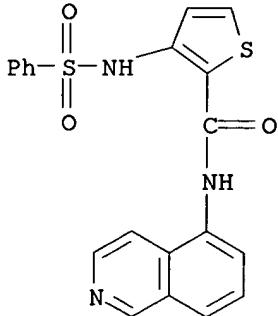
RN 409362-63-6 HCPLUS

CN 2-Thiophenecarboxamide, N-[2-(1H-indol-2-yl)phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



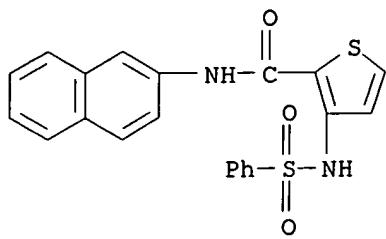
RN 409362-64-7 HCPLUS

CN 2-Thiophenecarboxamide, N-5-isoquinolinyl-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

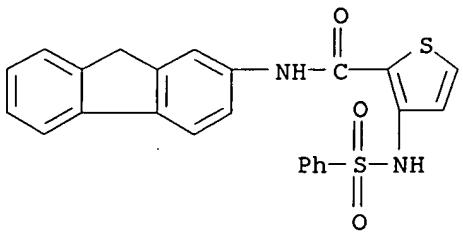


RN 409362-65-8 HCPLUS

CN 2-Thiophenecarboxamide, N-2-naphthalenyl-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

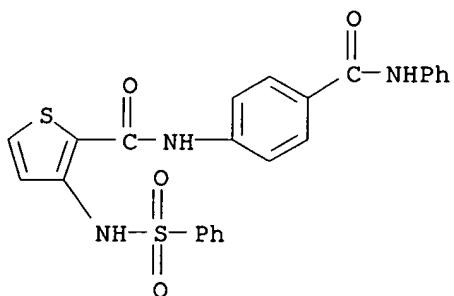


RN 409362-66-9 HCAPLUS

CN 2-Thiophenecarboxamide, N-9H-fluoren-2-yl-3-[(phenylsulfonyl)amino]- (9CI)
(CA INDEX NAME)

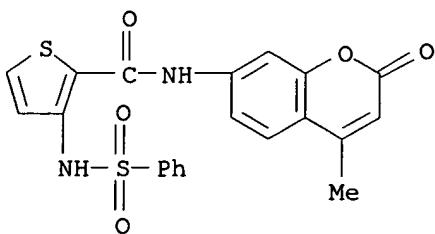
RN 409362-67-0 HCAPLUS

CN 2-Thiophenecarboxamide, N-[4-[(phenylamino)carbonyl]phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

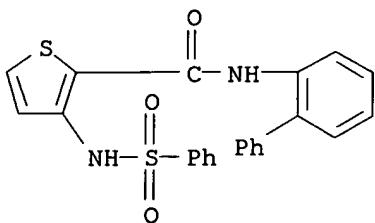


RN 409362-68-1 HCAPLUS

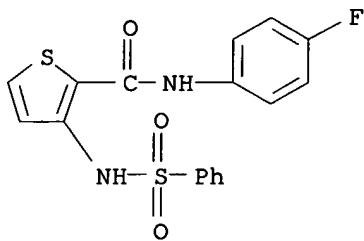
CN 2-Thiophenecarboxamide, N-(4-methyl-2-oxo-2H-1-benzopyran-7-yl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



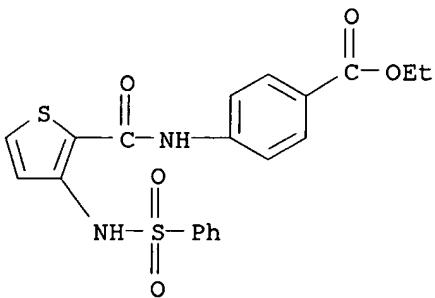
RN 409362-69-2 HCPLUS
CN 2-Thiophenecarboxamide, N-[1,1'-biphenyl]-2-yl-3-[(phenylsulfonyl)amino]-(9CI) (CA INDEX NAME)



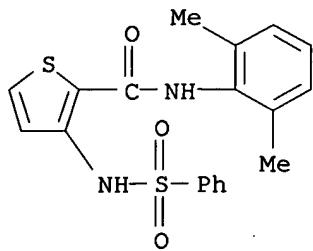
RN 409362-70-5 HCPLUS
CN 2-Thiophenecarboxamide, N-(4-fluorophenyl)-3-[(phenylsulfonyl)amino]-(9CI) (CA INDEX NAME)



RN 409362-71-6 HCPLUS
CN Benzoic acid, 4-[[[3-[(phenylsulfonyl)amino]-2-thienyl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

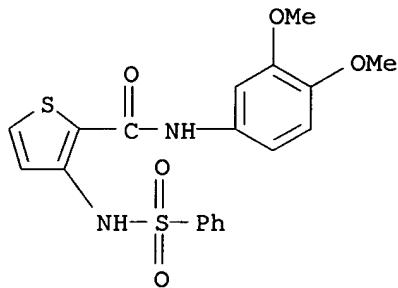


RN 409362-72-7 HCPLUS
CN 2-Thiophenecarboxamide, N-(2,6-dimethylphenyl)-3-[(phenylsulfonyl)amino]-(9CI) (CA INDEX NAME)



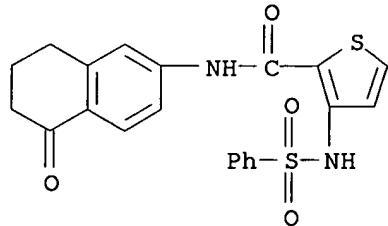
RN 409362-73-8 HCAPLUS

CN 2-Thiophenecarboxamide, N-(3,4-dimethoxyphenyl)-3-[(phenylsulfonyl)amino]-
(9CI) (CA INDEX NAME)



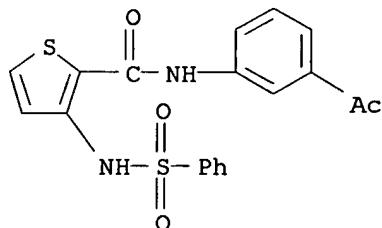
RN 409362-75-0 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[(phenylsulfonyl)amino]-N-(5,6,7,8-tetrahydro-5-oxo-2-naphthalenyl)- (9CI) (CA INDEX NAME)

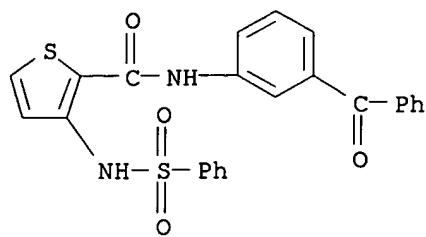


RN 409362-76-1 HCAPLUS

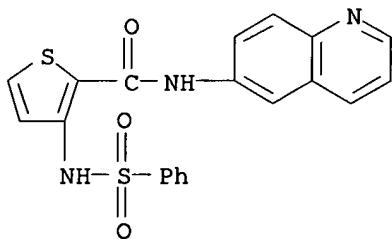
CN 2-Thiophenecarboxamide, N-(3-acetylphenyl)-3-[(phenylsulfonyl)amino]-
(9CI) (CA INDEX NAME)



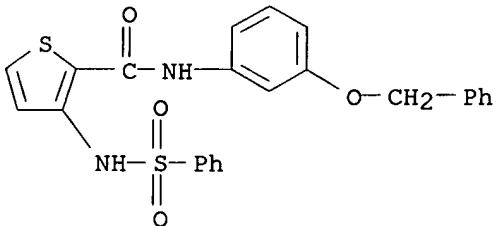
RN 409362-77-2 HCAPLUS
CN 2-Thiophenecarboxamide, N-(3-benzoylphenyl)-3-[(phenylsulfonyl)amino]-
(9CI) (CA INDEX NAME)



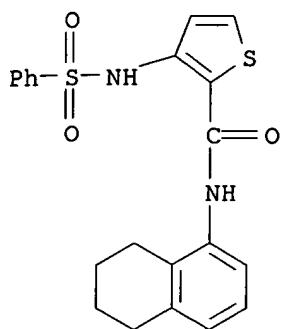
RN 409362-78-3 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(phenylsulfonyl)amino]-N-6-quinolinyl- (9CI)
(CA INDEX NAME)



RN 409362-81-8 HCAPLUS
CN 2-Thiophenecarboxamide, N-[3-(phenylmethoxy)phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

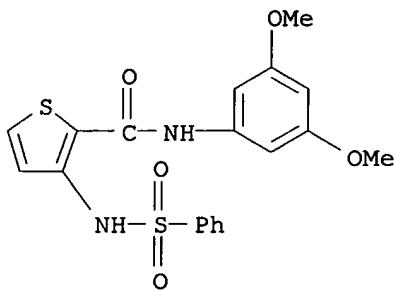


RN 409362-82-9 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(phenylsulfonyl)amino]-N-(5,6,7,8-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)



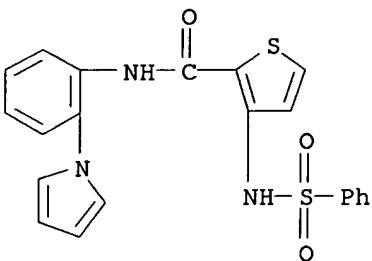
RN 409362-83-0 HCPLUS

CN 2-Thiophenecarboxamide, N-(3,5-dimethoxyphenyl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



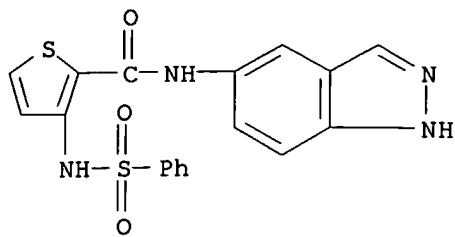
RN 409362-84-1 HCPLUS

CN 2-Thiophenecarboxamide, 3-[(phenylsulfonyl)amino]-N-[2-(1H-pyrrol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



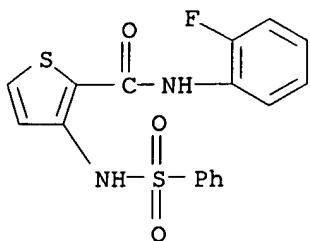
RN 409362-85-2 HCPLUS

CN 2-Thiophenecarboxamide, N-1H-indazol-5-yl-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



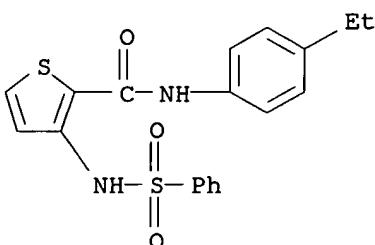
RN 409362-87-4 HCPLUS

CN 2-Thiophenecarboxamide, N-(2-fluorophenyl)-3-[(phenylsulfonyl)amino]-
(9CI) (CA INDEX NAME)



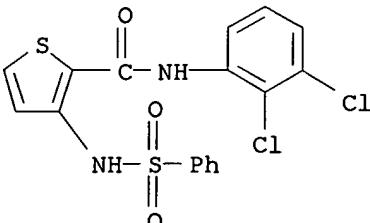
RN 409362-93-2 HCPLUS

CN 2-Thiophenecarboxamide, N-(4-ethylphenyl)-3-[(phenylsulfonyl)amino]- (9CI)
(CA INDEX NAME)

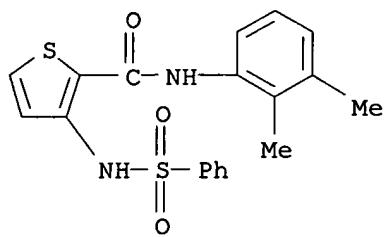


RN 409362-95-4 HCPLUS

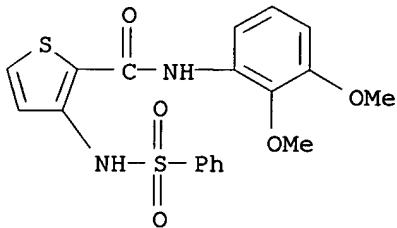
CN 2-Thiophenecarboxamide, N-(2,3-dichlorophenyl)-3-[(phenylsulfonyl)amino]-
(9CI) (CA INDEX NAME)



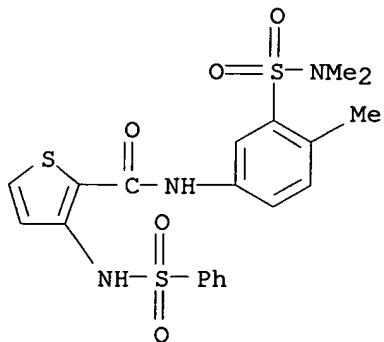
RN 409362-97-6 HCPLUS
 CN 2-Thiophenecarboxamide, N-(2,3-dimethylphenyl)-3-[(phenylsulfonyl)amino]-
 (9CI) (CA INDEX NAME)



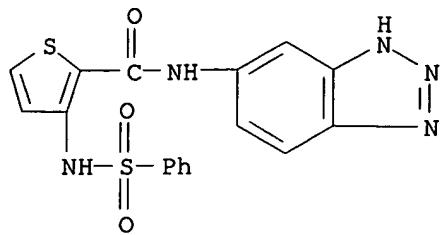
RN 409362-98-7 HCPLUS
 CN 2-Thiophenecarboxamide, N-(2,3-dimethoxyphenyl)-3-[(phenylsulfonyl)amino]-
 (9CI) (CA INDEX NAME)



RN 409363-00-4 HCPLUS
 CN 2-Thiophenecarboxamide, N-[3-[(dimethylamino)sulfonyl]-4-methylphenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

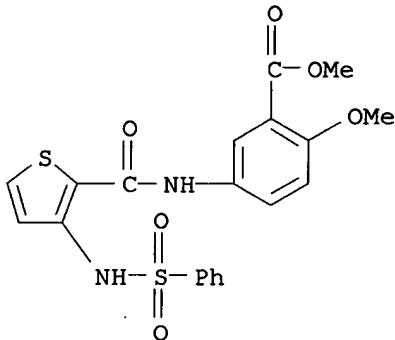


RN 409363-01-5 HCPLUS
 CN 2-Thiophenecarboxamide, N-1H-benzotriazol-5-yl-3-[(phenylsulfonyl)amino]-
 (9CI) (CA INDEX NAME)



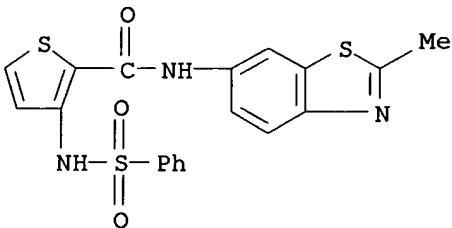
RN 409363-02-6 HCAPLUS

CN Benzoic acid, 2-methoxy-5-[[[3-[(phenylsulfonyl)amino]-2-thienyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



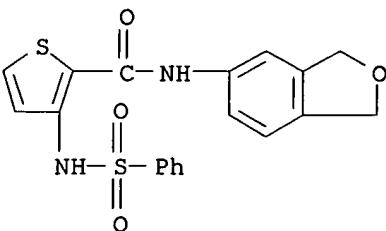
RN 409363-03-7 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-methyl-6-benzothiazolyl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

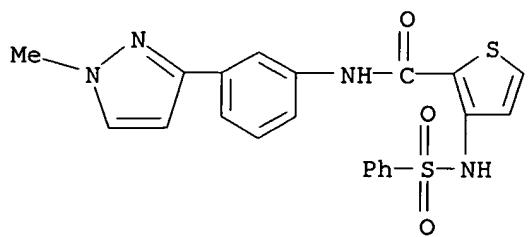


RN 409363-04-8 HCAPLUS

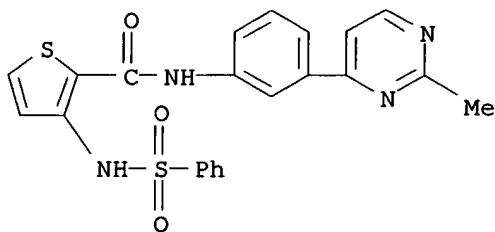
CN 2-Thiophenecarboxamide, N-(1,3-dihydro-5-isobenzofuranyl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



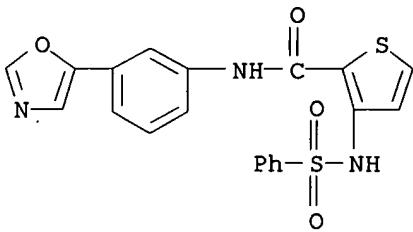
RN 409363-05-9 HCAPLUS
 CN 2-Thiophenecarboxamide, N-[3-(1-methyl-1H-pyrazol-3-yl)phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



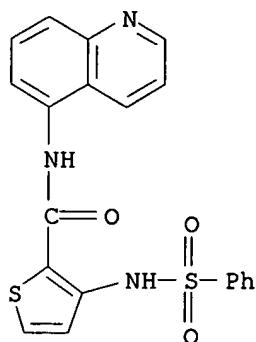
RN 409363-06-0 HCAPLUS
 CN 2-Thiophenecarboxamide, N-[3-(2-methyl-4-pyrimidinyl)phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



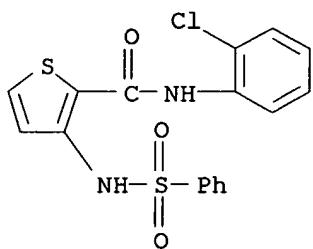
RN 409363-07-1 HCAPLUS
 CN 2-Thiophenecarboxamide, N-[3-(5-oxazolyl)phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 409363-08-2 HCAPLUS
 CN 2-Thiophenecarboxamide, 3-[(phenylsulfonyl)amino]-N-5-quinolinyl- (9CI) (CA INDEX NAME)

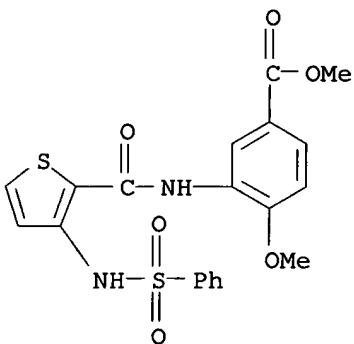


RN 409363-10-6 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2-chlorophenyl)-3-[(phenylsulfonyl)amino]-
(9CI) (CA INDEX NAME)

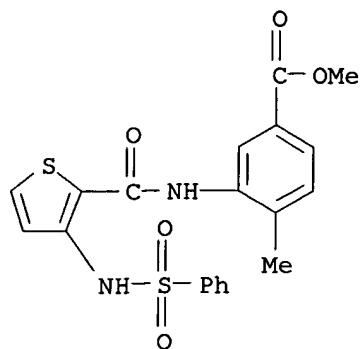
RN 409363-15-1 HCAPLUS

CN Benzoic acid, 4-methoxy-3-[[3-[(phenylsulfonyl)amino]-2-thienyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

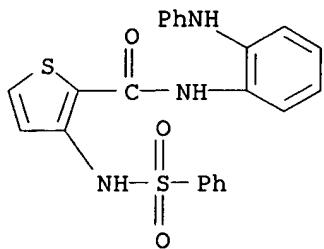


RN 409363-17-3 HCAPLUS

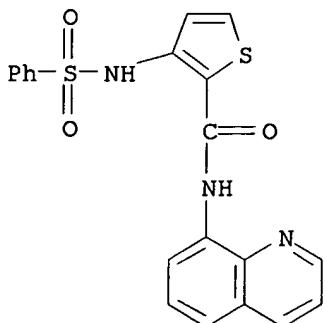
CN Benzoic acid, 4-methyl-3-[[3-[(phenylsulfonyl)amino]-2-thienyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



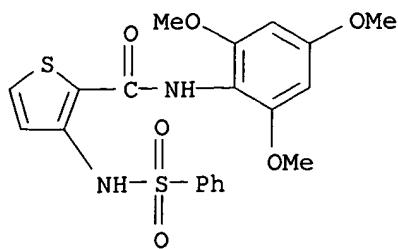
RN 409363-19-5 HCAPLUS
CN 2-Thiophenecarboxamide, N-[2-(phenylamino)phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 409363-21-9 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(phenylsulfonyl)amino]-N-8-quinolinyl- (9CI)
(CA INDEX NAME)

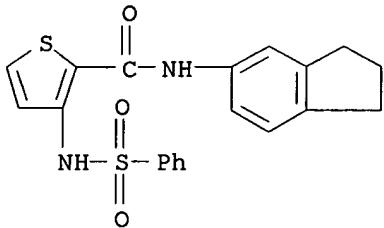


RN 409363-25-3 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(phenylsulfonyl)amino]-N-(2,4,6-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



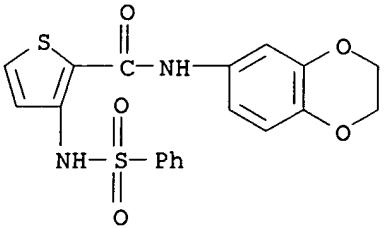
RN 409363-27-5 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2,3-dihydro-1H-inden-5-yl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



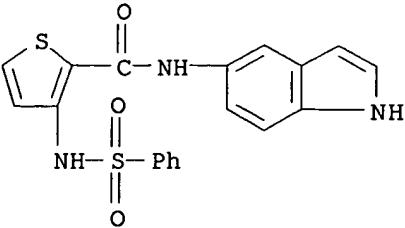
RN 409363-28-6 HCAPLUS

CN 2-Thiophenecarboxamide, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

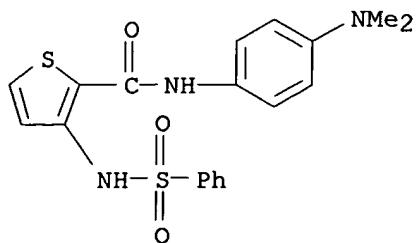


RN 409363-29-7 HCAPLUS

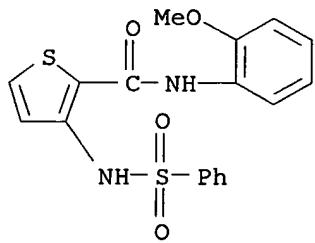
CN 2-Thiophenecarboxamide, N-1H-indol-5-yl-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



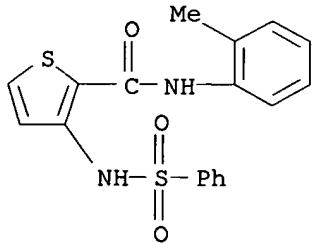
RN 409363-30-0 HCPLUS
CN 2-Thiophenecarboxamide, N-[4-(dimethylamino)phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



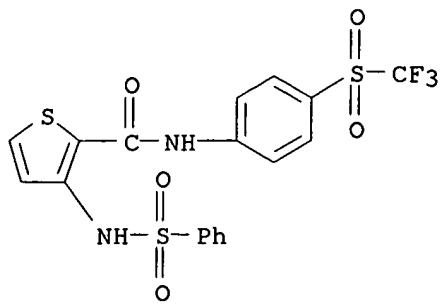
RN 409363-31-1 HCPLUS
CN 2-Thiophenecarboxamide, N-(2-methoxyphenyl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



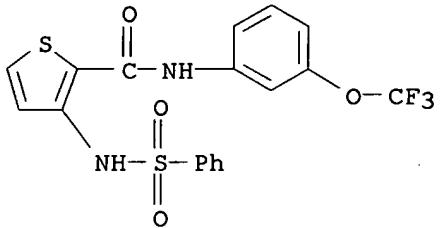
RN 409363-32-2 HCPLUS
CN 2-Thiophenecarboxamide, N-(2-methylphenyl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



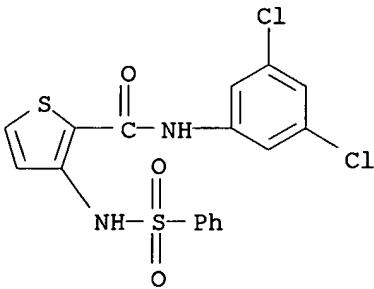
RN 409363-35-5 HCPLUS
CN 2-Thiophenecarboxamide, 3-[(phenylsulfonyl)amino]-N-[4-[(trifluoromethyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



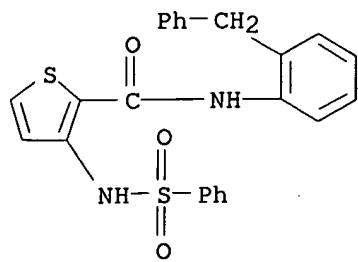
RN 409363-36-6 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(phenylsulfonyl)amino]-N-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 409363-41-3 HCAPLUS
CN 2-Thiophenecarboxamide, N-(3,5-dichlorophenyl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

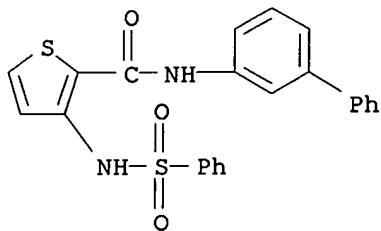


RN 409363-51-5 HCAPLUS
CN 2-Thiophenecarboxamide, N-[2-(phenylmethyl)phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



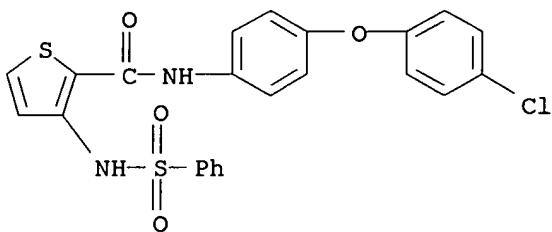
RN 409363-52-6 HCAPLUS

CN 2-Thiophenecarboxamide, N-[1,1'-biphenyl]-3-yl-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



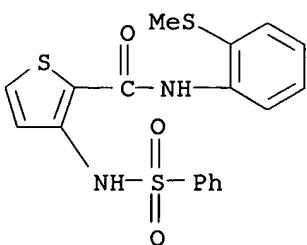
RN 409363-53-7 HCAPLUS

CN 2-Thiophenecarboxamide, N-[4-(4-chlorophenoxy)phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

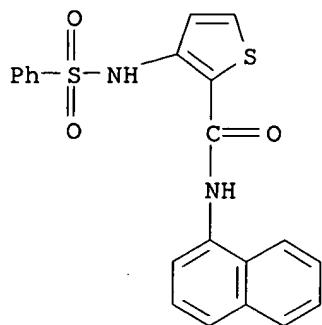


RN 409363-54-8 HCAPLUS

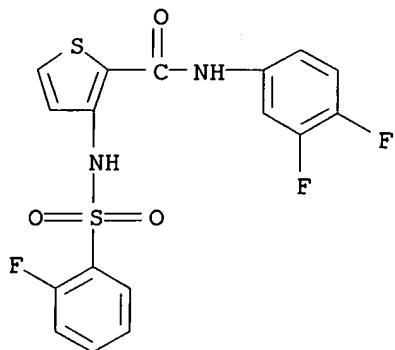
CN 2-Thiophenecarboxamide, N-[2-(methylthio)phenyl]-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



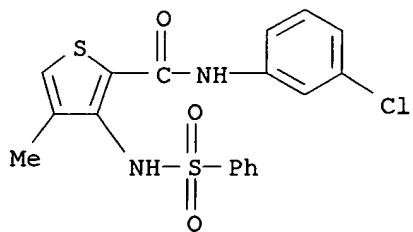
RN 409363-57-1 HCPLUS
CN 2-Thiophenecarboxamide, N-1-naphthalenyl-3-[(phenylsulfonyl)amino]- (9CI)
(CA INDEX NAME)



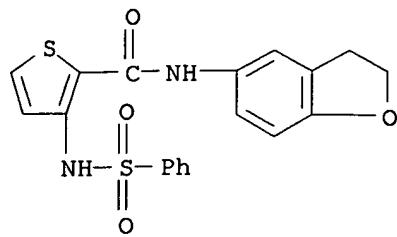
RN 409363-58-2 HCPLUS
CN 2-Thiophenecarboxamide, N-(3,4-difluorophenyl)-3-[[(2-fluorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



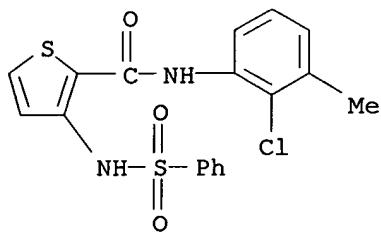
RN 409363-59-3 HCPLUS
CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-4-methyl-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



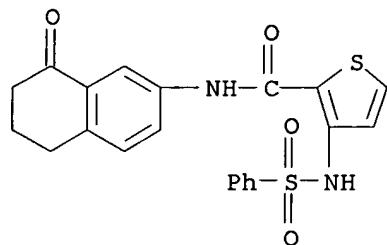
RN 409363-60-6 HCPLUS
CN 2-Thiophenecarboxamide, N-(2,3-dihydro-5-benzofuranyl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



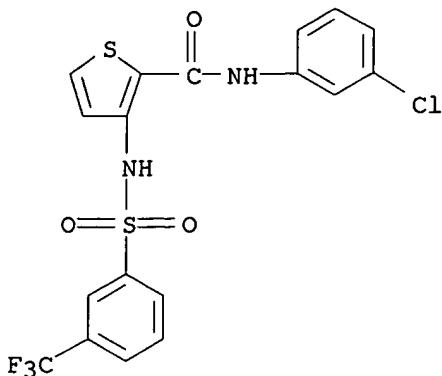
RN 409363-61-7 HCAPLUS
CN 2-Thiophenecarboxamide, N-(2-chloro-3-methylphenyl)-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 409363-62-8 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[(phenylsulfonyl)amino]-N-(5,6,7,8-tetrahydro-8-oxo-2-naphthalenyl)- (9CI) (CA INDEX NAME)

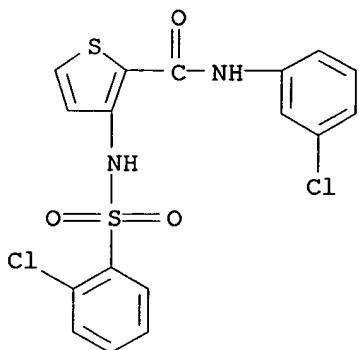


RN 409363-63-9 HCAPLUS
CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-3-[[[3-(trifluoromethyl)phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



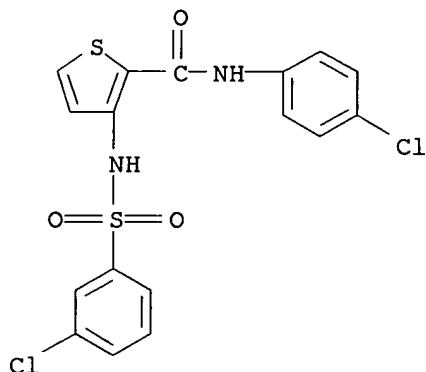
RN 409363-64-0 HCAPLUS

CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-3-[[(2-chlorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 409363-65-1 HCAPLUS

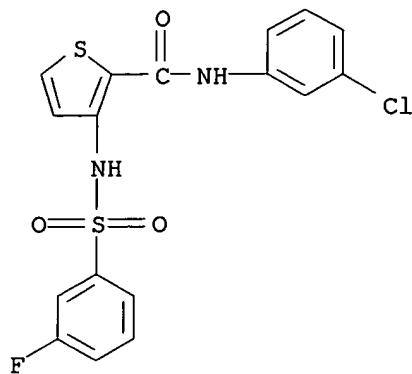
CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-3-[[(3-chlorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 409363-66-2 HCAPLUS

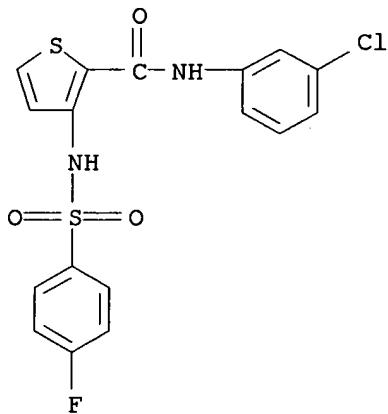
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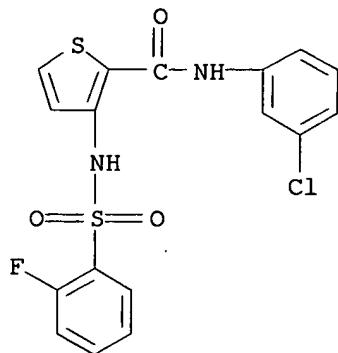
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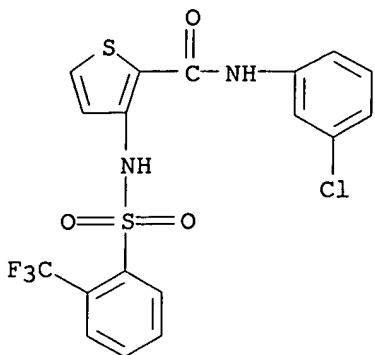
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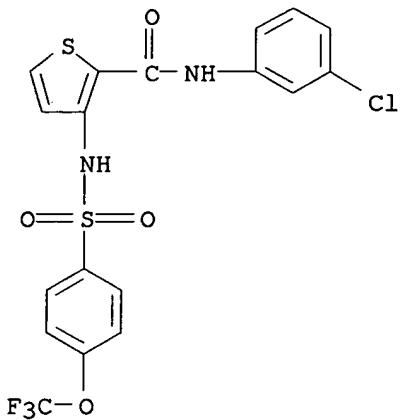
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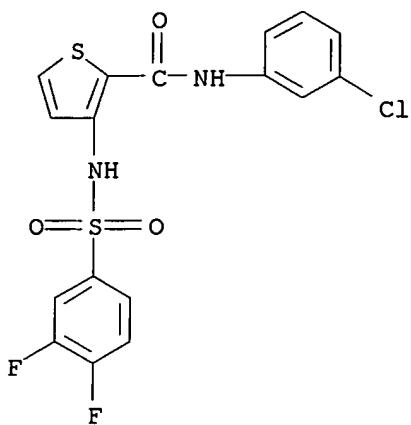
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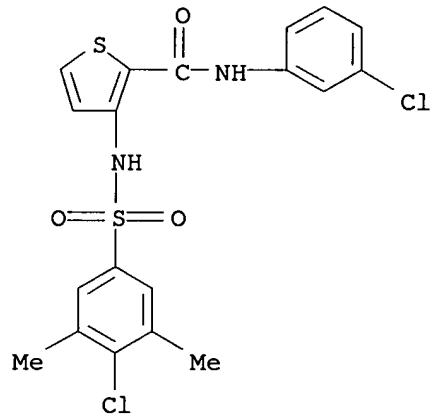
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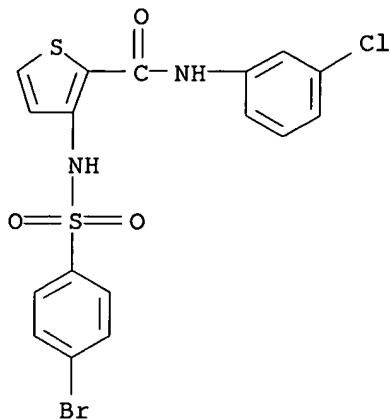
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CN 2-Thiophenecarboxamide, 3-[[(4-chloro-3,5-dimethylphenyl)sulfonyl]amino]-N-(3-chlorophenyl)- (9CI) (CA INDEX NAME)



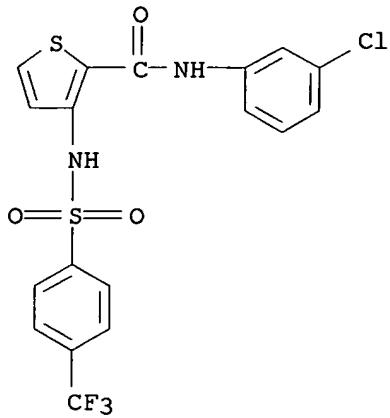
RN 409363-74-2 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[[(4-bromophenyl)sulfonyl]amino]-N-(3-chlorophenyl)- (9CI) (CA INDEX NAME)



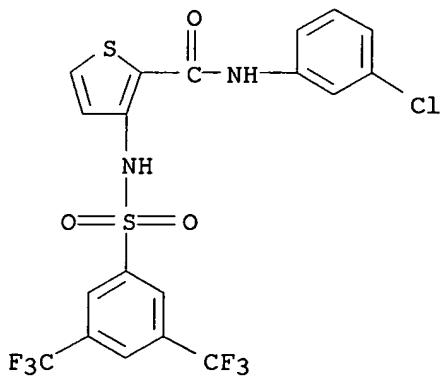
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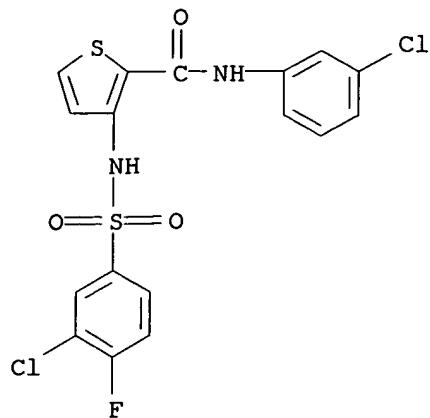
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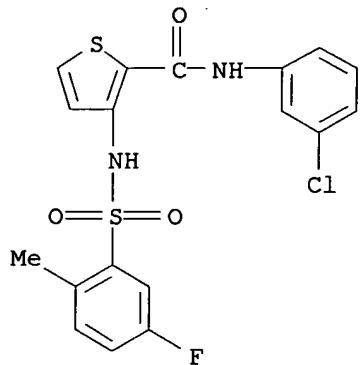
RN 409363-77-5 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[[[3-chloro-4-fluorophenyl)sulfonyl]amino]-N-(3-chlorophenyl)- (9CI) (CA INDEX NAME)



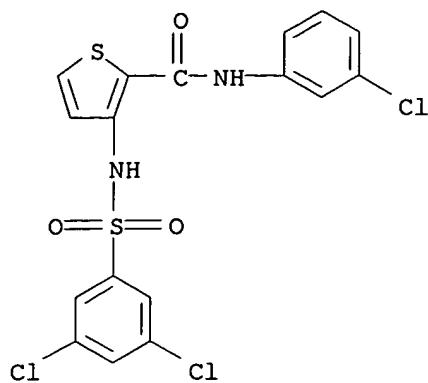
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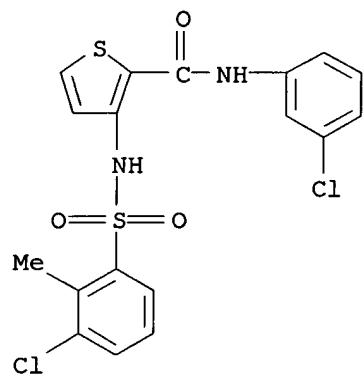
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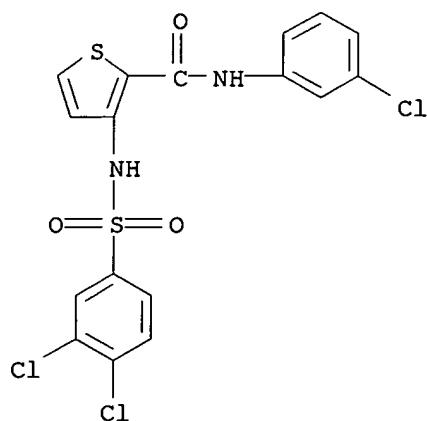
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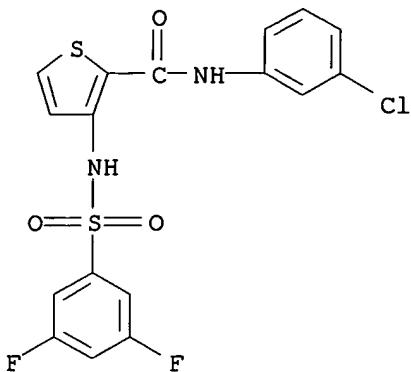
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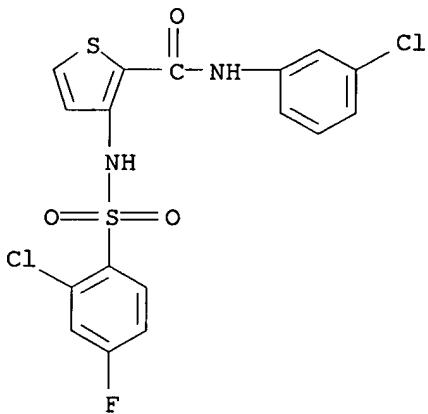
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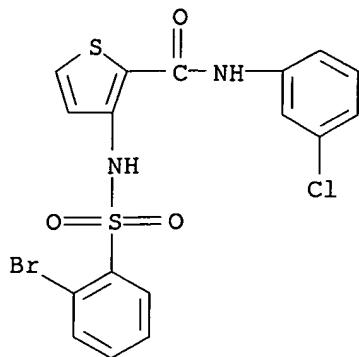
RN 409363-83-3 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[(2-chloro-4-fluorophenyl)sulfonyl]amino]-N-(3-chlorophenyl)- (9CI) (CA INDEX NAME)



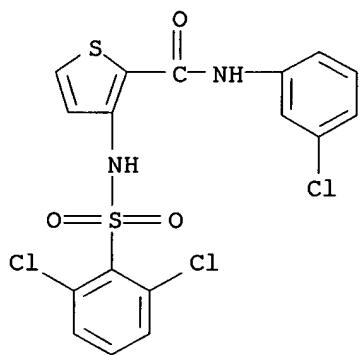
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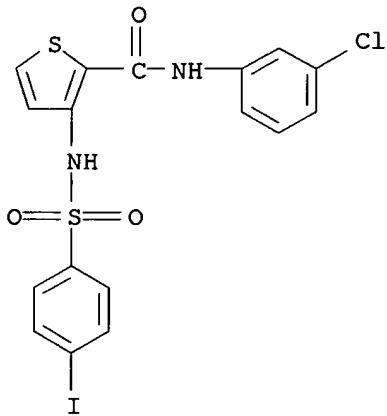
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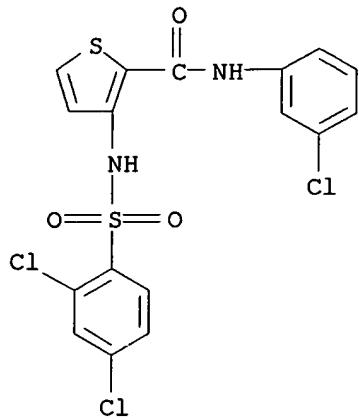


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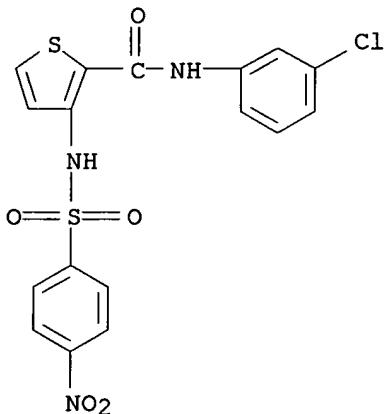
CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-3-[(4-iodophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



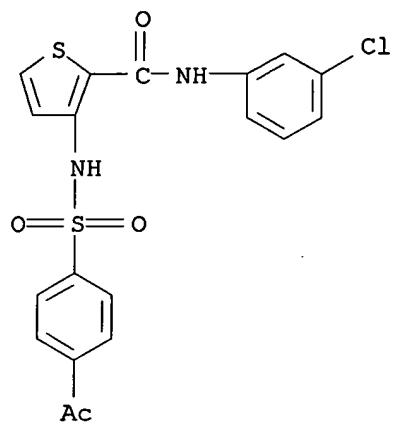
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CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-3-[(2,4-dichlorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 409363-88-8 HCPLUS
CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-3-[(4-nitrophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

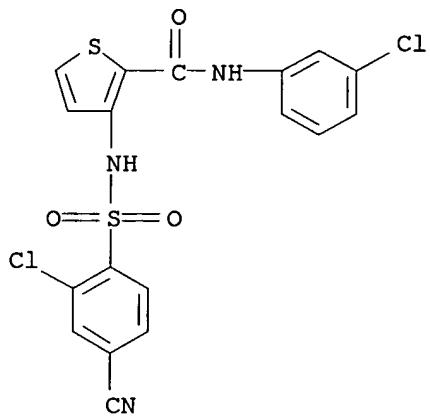


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CN 2-Thiophenecarboxamide, 3-[(4-acetylphenyl)sulfonyl]amino]-N-(3-chlorophenyl)- (9CI) (CA INDEX NAME)



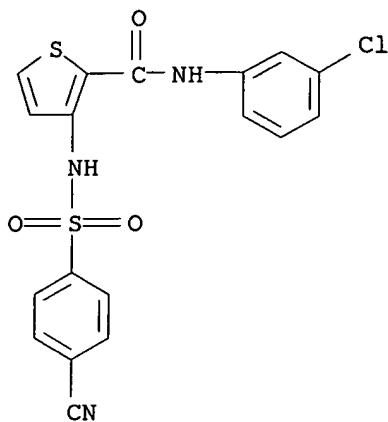
RN 409363-90-2 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[(4-chloro-2-cyanophenyl)sulfonyl]amino-N-(3-chlorophenyl)- (9CI) (CA INDEX NAME)



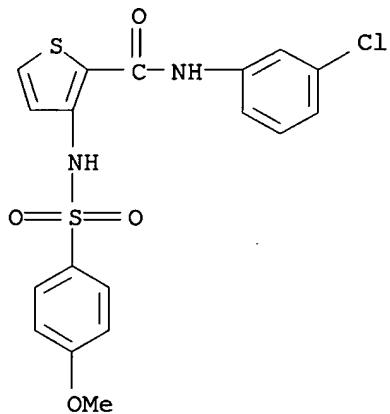
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CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-3-[(4-cyanophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



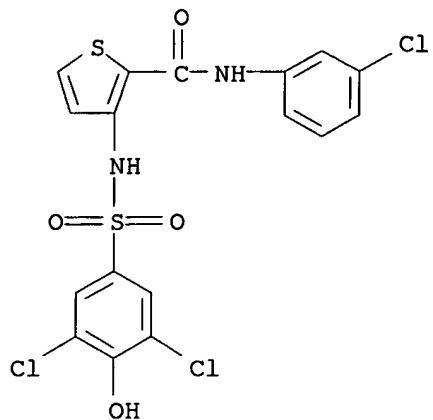
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CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-3-[(4-methoxyphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

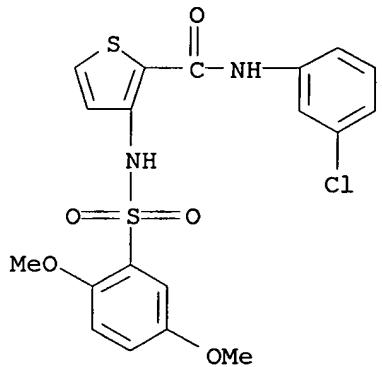


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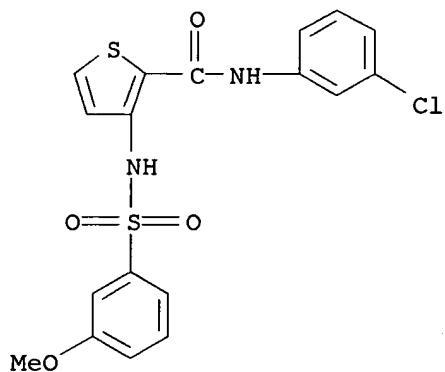
CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-3-[(3,5-dichloro-4-hydroxyphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



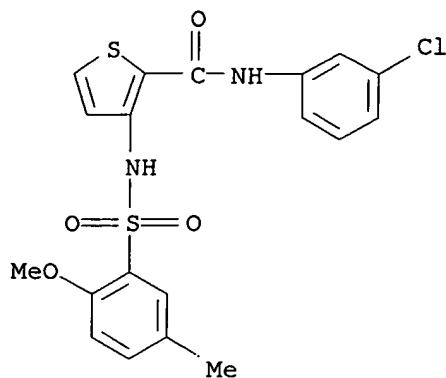
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CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-3-[(2,5-dimethoxyphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



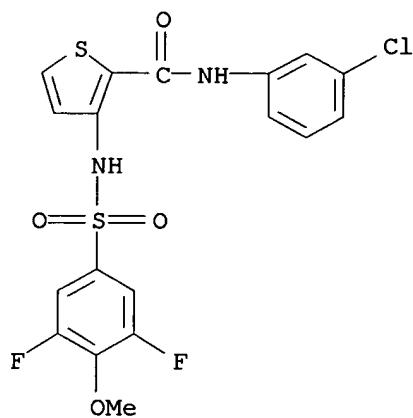
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CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-3-[(3-methoxyphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



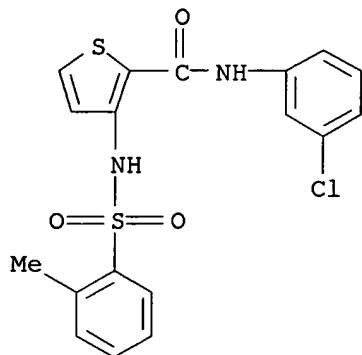
RN 409363-96-8 HCAPLUS
CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-3-[(2-methoxy-5-methylphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 409363-97-9 HCAPLUS
CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-3-[(3,5-difluoro-4-methoxyphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

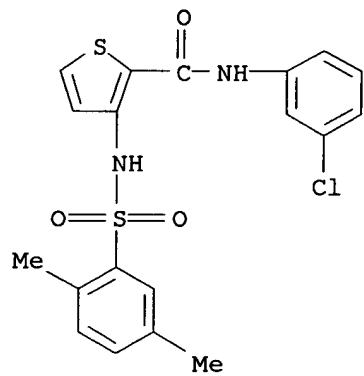


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CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-3-[(2-methylphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



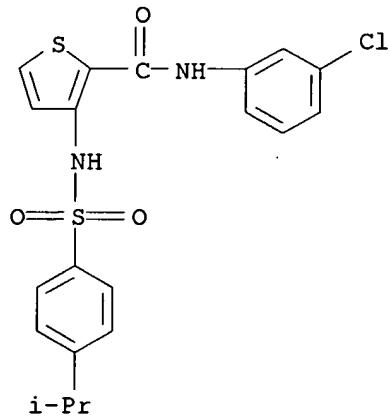
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CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-3-[(2,5-dimethylphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



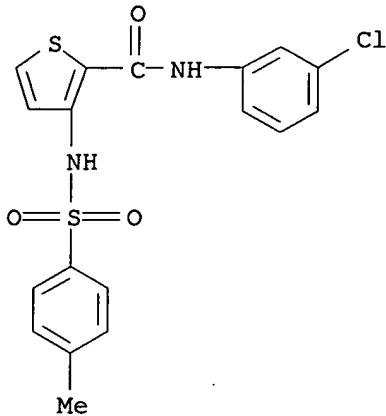
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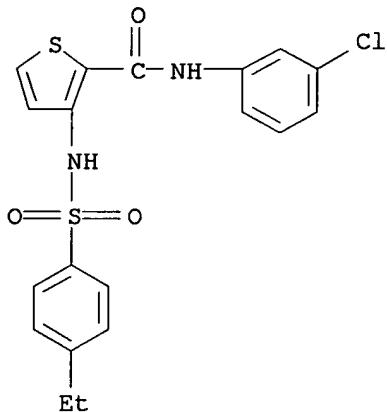
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CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-3-[(4-methylphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



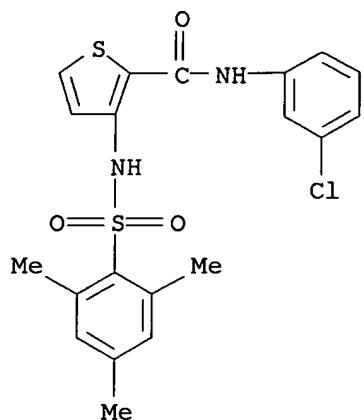
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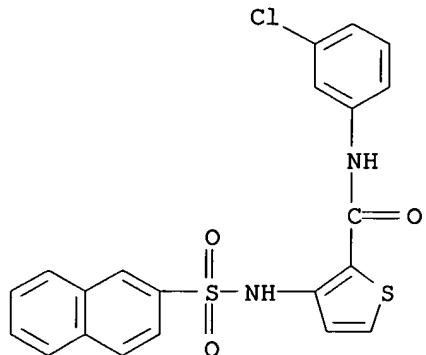
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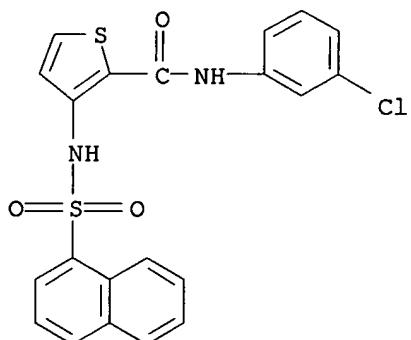
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CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-3-[(2-naphthalenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



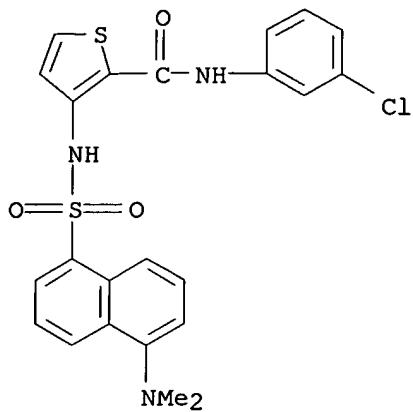
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CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-3-[(1-naphthalenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



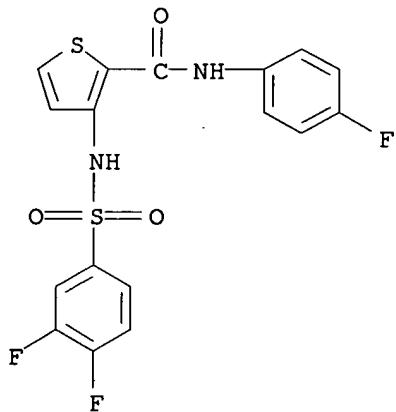
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CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-3-[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



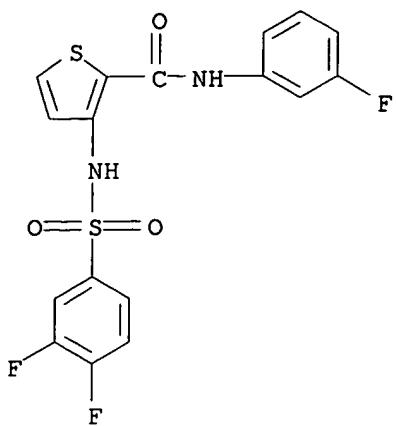
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CN 2-Thiophenecarboxamide, 3-[[(3,4-difluorophenyl)sulfonyl]amino]-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



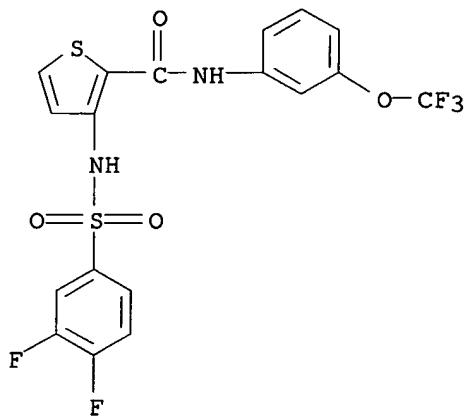
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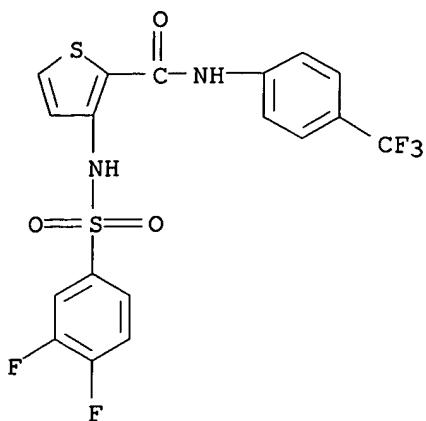
RN 409364-33-6 HCAPLUS

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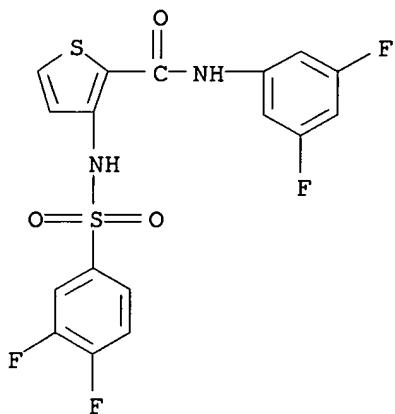
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CN 2-Thiophenecarboxamide, 3-[[[3,4-difluorophenyl]sulfonyl]amino]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



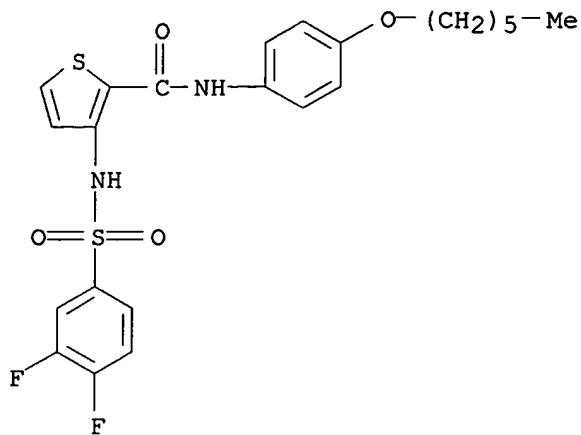
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CN 2-Thiophencarboxamide, N-(3,5-difluorophenyl)-3-[(3,4-difluorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



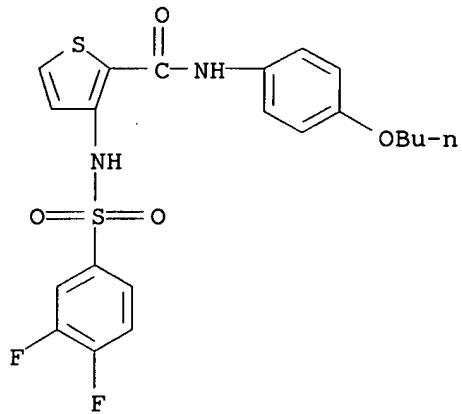
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CN 2-Thiophencarboxamide, 3-[(3,4-difluorophenyl)sulfonyl]amino]-N-[4-(hexyloxy)phenyl]- (9CI) (CA INDEX NAME)



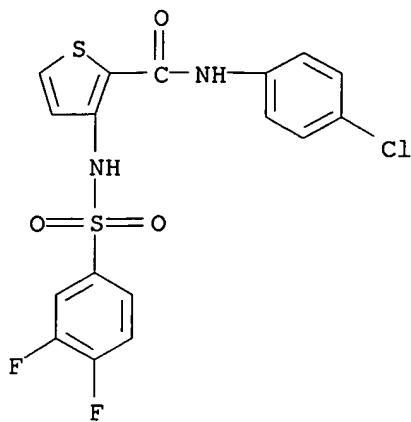
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CN 2-Thiophenecarboxamide, N-(4-butoxyphenyl)-3-[(3,4-difluorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



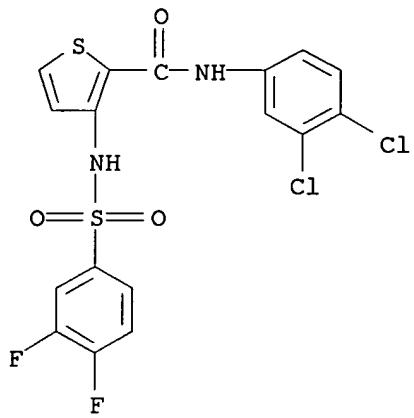
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CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-3-[(3,4-difluorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



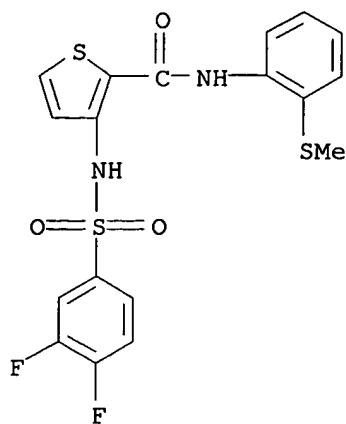
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CN 2-Thiophenecarboxamide, N-(3,4-dichlorophenyl)-3-[(3,4-difluorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



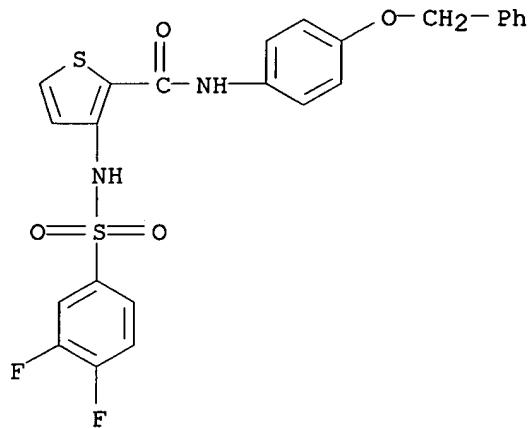
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CN 2-Thiophenecarboxamide, 3-[(3,4-difluorophenyl)sulfonyl]amino]-N-[2-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



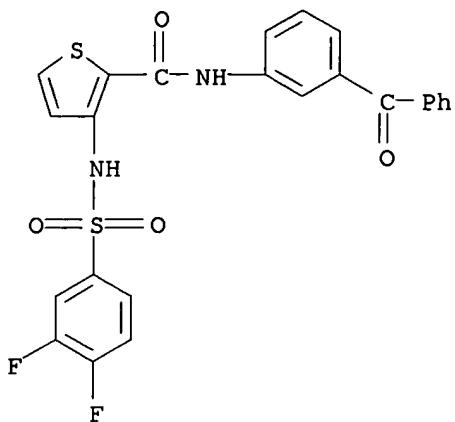
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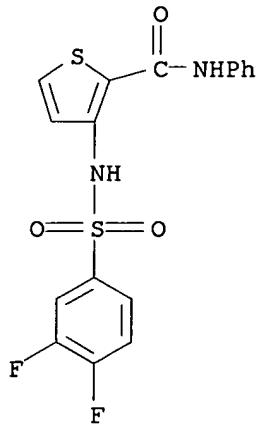
RN 409364-51-8 HCPLUS

CN 2-Thiophenecarboxamide, N-(3-benzoylphenyl)-3-[[(3,4-difluorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



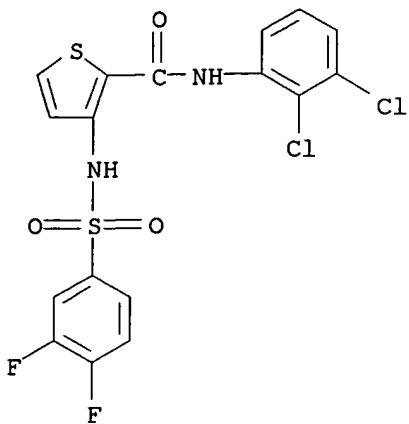
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CN 2-Thiophenecarboxamide, 3-[[(3,4-difluorophenyl)sulfonyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)



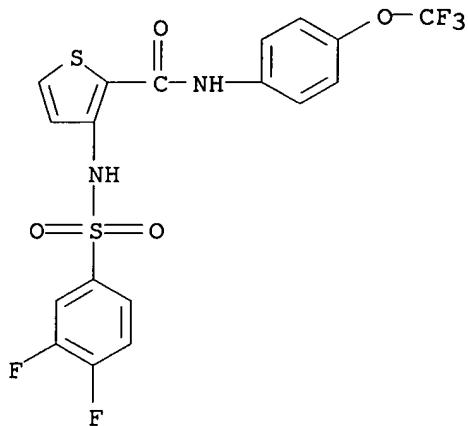
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CN 2-Thiophenecarboxamide, N-(2,3-dichlorophenyl)-3-[[(3,4-difluorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



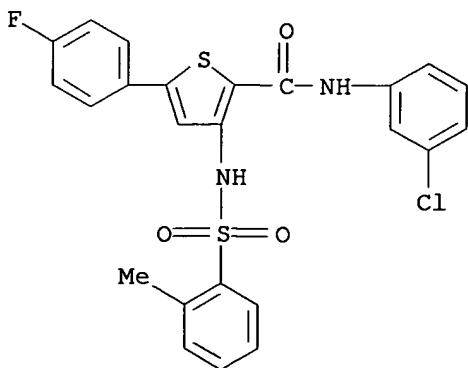
RN 409364-56-3 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[[[(3,4-difluorophenyl)sulfonyl]amino]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



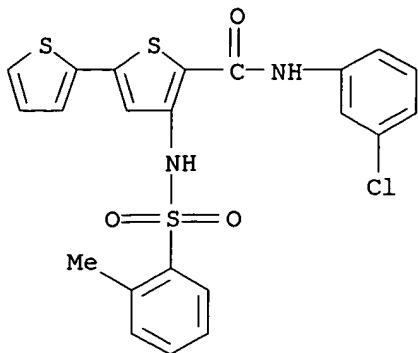
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CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-5-(4-fluorophenyl)-3-[[[(2-methylphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



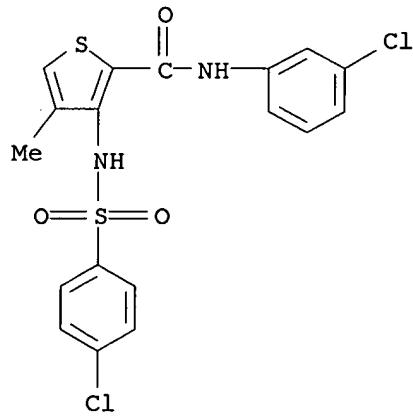
RN 409364-65-4 HCAPLUS

CN [2,2'-Bithiophene]-5-carboxamide, N-(3-chlorophenyl)-4-[(2-methylphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



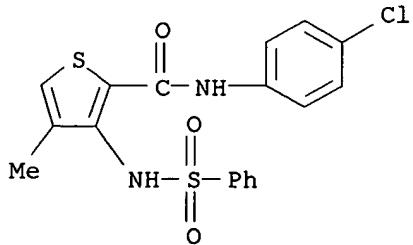
RN 409364-66-5 HCAPLUS

CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-3-[(4-chlorophenyl)sulfonyl]amino-4-methyl- (9CI) (CA INDEX NAME)

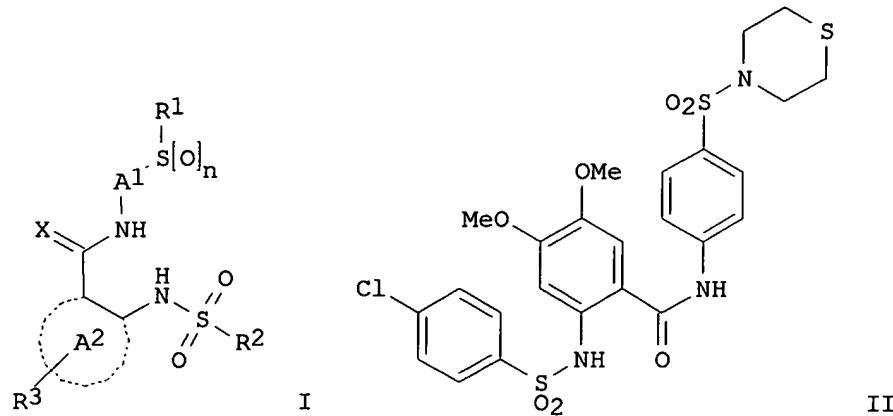


RN 409364-81-4 HCAPLUS

CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-4-methyl-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 23 Jan 2000
GI



AB The title compds. [I; A1 = (un)substituted phenylene, naphthylene, heteroarylene; ring A2 comprises the carbon atoms which carry the groups C(:X)NH and NHSO_2R_2 is a benzene, naphthalene, (un)saturated 3-7 membered carbocycle, etc.; R1 = (un)substituted aryl, heterocyclyl, C1-18 alkyl; R2 = (un)substituted aryl, heterocyclyl, C1-10 alkyl, etc.; R3 = H, halo, CF₃, etc.; n = 0-2; X = O, NH], useful for the therapy and prophylaxis of diseases, for example of cardiovascular diseases such as hypertension, angina pectoris, cardiac insufficiency, thromboses or atherosclerosis, were prepared. The compds. I are capable of modulating the body's production of cyclic guanosine monophosphate (cGMP) and are generally suitable for the therapy and prophylaxis of diseases which are associated with a disturbed cGMP balance. Thus, reacting 4-{{[2-(4-chlorophenylsulfonyl)-4,5-dimethoxybenzoyl]amino}benzenesulfonyl fluoride (preparation given) with thiomorpholine afforded 65% II which showed 34.8-fold stimulation ([cGMP]_{test} substance/[cGMP]_{control}) at 50 μM .

ACCESSION NUMBER: 2000:53572 HCPLUS
DOCUMENT NUMBER: 132:93104
TITLE: Preparation of sulfur substituted
sulfonylaminocarboxylic acid N-arylamides as
modulators of cyclic guanosine monophosphate (cGMP)
production
INVENTOR(S): Schindler, Ursula; Schonafinger, Karl; Strobel,
Hartmut
PATENT ASSIGNEE(S): Hoechst Marion Roussel Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| | | | | |
|---|----|----------|------------------|------------|
| WO 2000002851 | A1 | 20000120 | WO 1999-EP4426 | 19990625 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 19830430 | A1 | 20000113 | DE 1998-19830430 | 19980708 |
| DE 19903126 | A1 | 20000803 | DE 1999-19903126 | 19990127 |
| CA 2336807 | AA | 20000120 | CA 1999-2336807 | 19990625 |
| AU 9946160 | A1 | 20000201 | AU 1999-46160 | 19990625 |
| AU 761983 | B2 | 20030612 | | |
| BR 9911914 | A | 20010327 | BR 1999-11914 | 19990625 |
| EP 1095016 | A1 | 20010502 | EP 1999-929318 | 19990625 |
| EP 1095016 | B1 | 20051109 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| JP 2002520309 | T2 | 20020709 | JP 2000-559082 | 19990625 |
| JP 3786579 | B2 | 20060614 | | |
| RU 2234497 | C2 | 20040820 | RU 2001-103645 | 19990625 |
| AT 309206 | E | 20051115 | AT 1999-929318 | 19990625 |
| NO 2001000013 | A | 20010301 | NO 2001-13 | 20010102 |
| PRIORITY APPLN. INFO.: | | | DE 1998-19830430 | A 19980708 |
| | | | DE 1999-19903126 | A 19990127 |
| | | | WO 1999-EP4426 | W 19990625 |

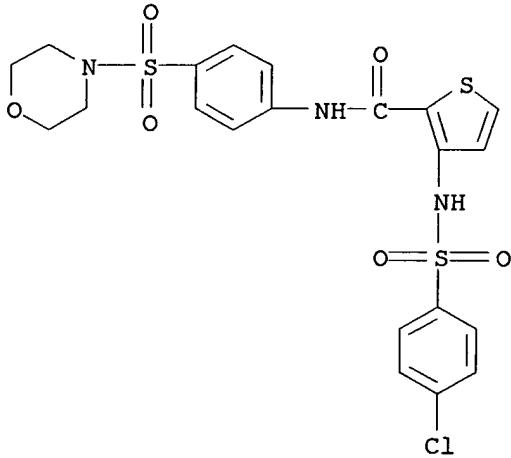
OTHER SOURCE(S): MARPAT 132:93104

IT 254877-23-1P 254877-27-5P 254878-40-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfur substituted sulfonylaminocarboxylic acid N-arylamides as modulators of cyclic guanosine monophosphate (cGMP) production)

RN 254877-23-1 HCPLUS

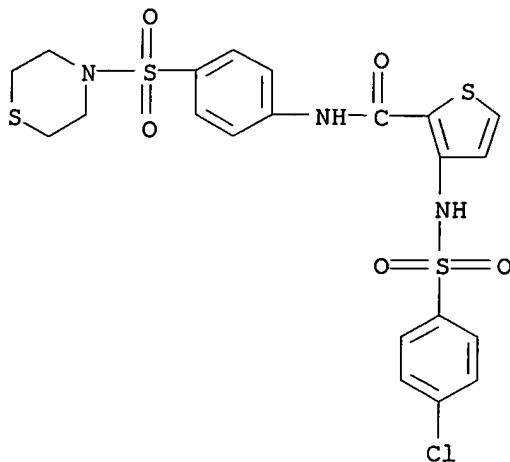
CN 2-Thiophenecarboxamide, 3-[[[(4-chlorophenyl)sulfonyl]amino]-N-[4-(4-morpholinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 254877-27-5 HCPLUS

CN 2-Thiophenecarboxamide, 3-[[[(4-chlorophenyl)sulfonyl]amino]-N-[4-(4-

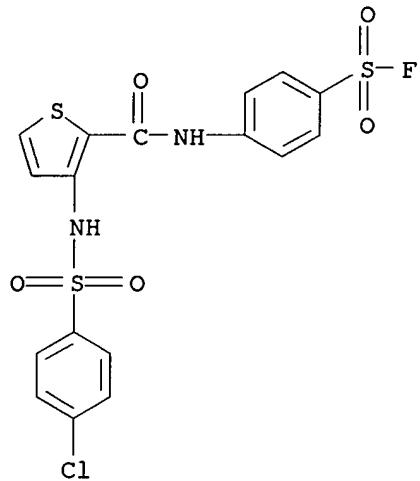
thiomorpholinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 254878-40-5 HCAPLUS

CN Benzenesulfonyl fluoride, 4-[[[3-[[[(4-chlorophenyl)sulfonyl]amino]-2-thienyl]carbonyl]amino]-2-

(9CI) (CA INDEX NAME)

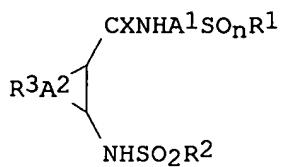


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 13 Jan 2000

GI



AB Title compds. [I; A1 = (substituted) phenylene, naphthylene, heteroarylene; A2 = atoms to form Ph, naphthyl, carbocyclyl, heterocyclyl rings; R1 = (substituted) aryl, heterocyclyl, alkyl; R2 = R1, amino; R3 = ≥ 1 of H, halo, CF₃, OH, alkoxy, alkoxyalkoxy, aryloxy, NO₂, cyano, amino, CO₂H, etc.; X = O, NH, etc.; n = 0-2], were prepared Thus, 4-[[2-(4-chlorophenylsulfonylamino)-4,5-dimethoxybenzoyl]amino]benzenesulfonyl fluoride was heated in thiomorpholine at 90° for 30 min. to give 65% 2-(4-chlorophenylsulfonylamino)-4,5-dimethoxy-N-[4-(thiomorpholin-4-sulfonyl)phenyl]benzamide. The latter at 50 μ M gave 34.8-fold stimulation of soluble guanylate cyclase.

ACCESSION NUMBER: 2000:31524 HCPLUS
 DOCUMENT NUMBER: 132:93102
 TITLE: Preparation of arylsulfonylaminoarylaminides as guanylate cyclase activators.
 INVENTOR(S): Schindler, Ursula; Schoenafinger, Karl; Strobel, Hartmut
 PATENT ASSIGNEE(S): Hoechst Marion Roussel Deutschland G.m.b.H., Germany
 SOURCE: Ger. Offen., 24 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-------------------|----------|
| DE 19830430 | A1 | 20000113 | DE 1998-19830430 | 19980708 |
| CA 2336807 | AA | 20000120 | CA 1999-2336807 | 19990625 |
| WO 2000002851 | A1 | 20000120 | WO 1999-EP4426 | 19990625 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 9946160 | A1 | 20000201 | AU 1999-46160 | 19990625 |
| AU 761983 | B2 | 20030612 | | |
| BR 9911914 | A | 20010327 | BR 1999-11914 | 19990625 |
| EP 1095016 | A1 | 20010502 | EP 1999-929318 | 19990625 |
| EP 1095016 | B1 | 20051109 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| TR 200100147 | T2 | 20010521 | TR 2001-200100147 | 19990625 |
| JP 2002520309 | T2 | 20020709 | JP 2000-559082 | 19990625 |
| JP 3786579 | B2 | 20060614 | | |
| RU 2234497 | C2 | 20040820 | RU 2001-103645 | 19990625 |
| AT 309206 | E | 20051115 | AT 1999-929318 | 19990625 |
| EP 1614678 | A2 | 20060111 | EP 2005-21577 | 19990625 |
| EP 1614678 | A3 | 20060322 | | |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI, CY

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|-------------------------------|----|------------------|----------------|----------|
| ES 2251200 | T3 | 20060416 | ES 1999-929318 | 19990625 |
| US 6335334 | B1 | 20020101 | US 1999-349933 | 19990708 |
| ZA 2000007486 | A | 20020104 | ZA 2000-7486 | 20001214 |
| NO 2001000013 | A | 20010301 | NO 2001-13 | 20010102 |
| US 2002061887 | A1 | 20020523 | US 2001-994730 | 20011128 |
| US 6881735 | B2 | 20050419 | | |
| US 2004186145 | A1 | 20040923 | US 2004-816143 | 20040402 |
| JP 2006143737 | A2 | 20060608 | JP 2005-343295 | 20051129 |
| PRIORITY APPLN. INFO.: | | | | |
| | | DE 1998-19830430 | A 19980708 | |
| | | DE 1999-19903126 | A 19990127 | |
| | | EP 1999-929318 | A3 19990625 | |
| | | JP 2000-559082 | A3 19990625 | |
| | | WO 1999-EP4426 | W 19990625 | |
| | | US 1999-349933 | A3 19990708 | |
| | | US 2001-994730 | A3 20011128 | |

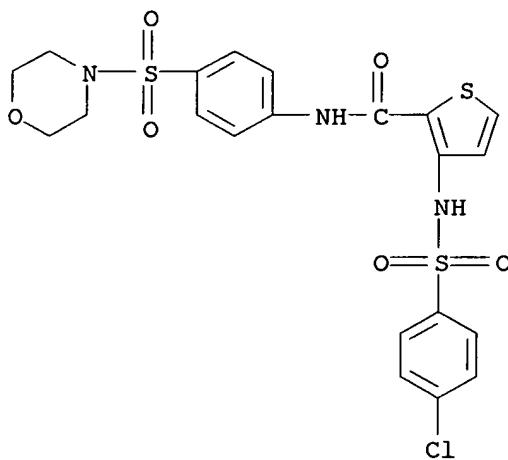
OTHER SOURCE(S): MARPAT 132:93102

IT 254877-23-1P 254877-27-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylsulfonylaminoaryl amides as guanylate cyclase activators)

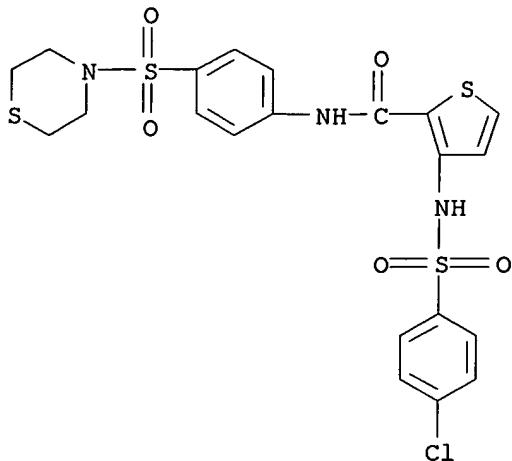
RN 254877-23-1 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[[[(4-chlorophenyl)sulfonyl]amino]-N-[4-(4-morpholinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 254877-27-5 HCAPLUS

CN 2-Thiophenecarboxamide, 3-[[[(4-chlorophenyl)sulfonyl]amino]-N-[4-(4-thiomorpholinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



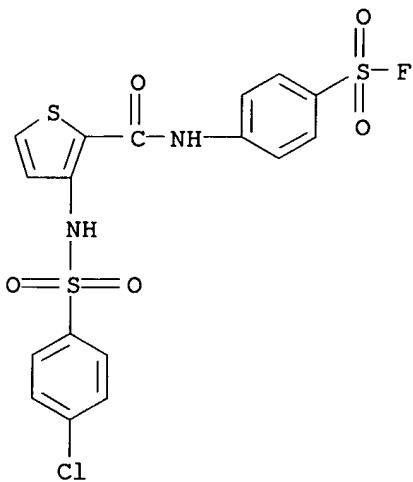
IT 254878-40-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylsulfonylaminoaryl amides as guanylate cyclase activators)

RN 254878-40-5 HCAPLUS

CN Benzenesulfonyl fluoride, 4-[[[3-[[[(4-chlorophenyl)sulfonyl]amino]-2-thienyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION

FULL ESTIMATED COST

28.08 195.23

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION

CA SUBSCRIBER PRICE

-3.75 -3.75

STN INTERNATIONAL LOGOFF AT 13:02:31 ON 26 JUN 2006

